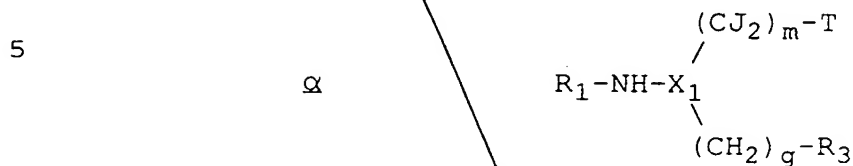


- 753 -

CLAIMS

We claim:

1. A compound represented by the formula:



wherein:

10 X_1 is $-CH$;

g is 0 or 1;

each J is independently selected from the group consisting of $-H$, $-OH$, and $-F$, provided that when a first and second J are bound to a C and said first J is $-OH$, said second J is $-H$;

15

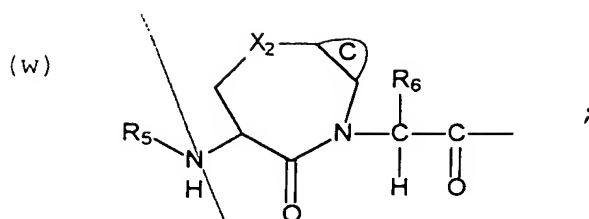
m is 0, 1, or 2;

T is $-OH$, $-CO-CO_2H$, $-CO_2H$, or any bioisosteric replacement for $-CO_2H$;

R_1 is selected from the group consisting of the following formulae, in which any ring may optionally be singly or multiply substituted at any carbon by Q_1 , at any nitrogen by R_5 , or at any atom by $=O$, $-OH$, $-CO_2H$, or halogen; and any saturated ring may optionally be unsaturated at one or two bonds;

20

- 754 -



wherein each ring C is independently chosen from
the group consisting of benzo, pyrido, thieno, pyrrolo,
5 furano, thiazolo, isothiazolo, oxazolo, isoxazolo,
pyrimido, imidazolo, cyclopentyl, and cyclohexyl;

R₃ is:

-CN,
-CH=CH-R₉,
10 -CH=N-O-R₉,
-(CH₂)₁₋₃-T₁-R₉,
-CJ₂-R₉,
-CO-R₁₃, or
-CO-CO-N^{/R₅}_{\R₁₀};
15

each R₄ is independently selected from the group
consisting of:

-H,
20 -Ar₁,
-R₉,
-T₁-R₉, and
-(CH₂)_{1,2,3}-T₁-R₉;

each T₁ is independently selected from the group
consisting of:

CH=CH-,
25 -O-,

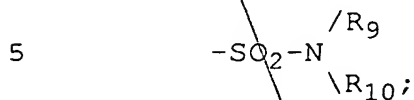
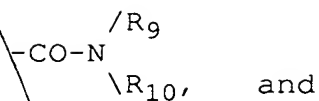
- 755 -

~~-S-,
 -SO-,
 -SO₂-,
 -NR₁₀-,
 5 -NR₁₀-CO-,
 -CO-,
 -O-CO-,
 -CO-O-,
 -CO-NR₁₀-,
 10 -O-CO-NR₁₀-,
 -NR₁₀-CO-O-,
 -NR₁₀-CO-NR₁₀-,
 -SO₂-NR₁₀-,
 -NR₁₀-SO₂-, and
 15 -NR₁₀-SO₂-NR₁₀-;~~

each R₅ is independently selected from the group consisting of:

~~-H,
 -Ar₁,
 20 -CO-Ar₁,
 -SO₂-Ar₁,
 -CO-NH₂,
 -SO₂-NH₂,
 -R₉,
 25 -CO-R₉,
 -CO-O-R₉,
 -SO₂-R₉,
 /Ar₁
 -CO-N
 30 \R₁₀,
 /Ar₁
 -SO₂-N
 \R₁₀,~~

- 756 -



R₆ is:

-H

-Ar₁,

10 -R₉,

-(CH₂)_{1,2,3}-T₁-R₉, or

an α-amino acid side chain residue;

each R₉ is a C₁₋₆ straight or branched alkyl group optionally singly or multiply substituted with -OH, -F, or =O and optionally substituted with one or two Ar₁ groups;

15

each R₁₀ is independently selected from the group consisting of -H or a C₁₋₆ straight or branched alkyl group;

20 each R₁₃ is independently selected from the group consisting of -Ar₂, -R₄ and -N-OH

R₅;

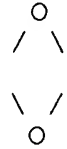
each Ar₁ is a cyclic group independently selected from the set consisting of an aryl group which contains 6, 10, 12, or 14 carbon atoms and between 1 and 3 rings, a cycloalkyl group which contains between 3 and 15 carbon atoms and between 1 and 3 rings, said cycloalkyl group being optionally benzofused, and a heterocycle group containing between 5 and 15 ring

25

30

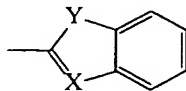
- 757 -

atoms and between 1 and 3 rings, said heterocycle group containing at least one heteroatom group selected from -O-, -S-, -SO-, -SO₂-, =N-, and -NH-, said heterocycle group optionally containing one or more double bonds,
 5 said heterocycle group optionally comprising one or more aromatic rings, and said cyclic group optionally being singly or multiply substituted with -NH₂, -CO₂H, -Cl, -F, -Br, -I, -NO₂, -CN,

10 =O, -OH, -perfluoro C₁₋₃ alkyl,  or -Q₁;

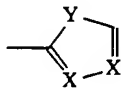
each Ar₂ is independently selected from the
 15 following group, in which any ring may optionally be singly or multiply substituted by -Q₁ and -Q₂:

(hh)



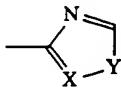
;

(ii)



;

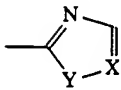
(jj)



; and

20

(kk)



;

- 758 -

each Q_1 is independently selected from the group consisting of:

$-\text{Ar}_1$

$-\text{O}-\text{Ar}_1$

5

$-\text{R}_9$,

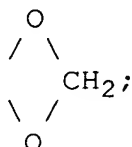
$-\text{T}_1-\text{R}_9$,

and

$-(\text{CH}_2)_{1,2,3}-\text{T}_1-\text{R}_9$;

each Q_2 is independently selected from the group consisting of $-\text{OH}$, $-\text{NH}_2$, $-\text{CO}_2\text{H}$, $-\text{Cl}$, $-\text{F}$, $-\text{Br}$, $-\text{I}$, $-\text{NO}_2$, $-\text{CN}$, $-\text{CF}_3$, and

10



15

provided that when $-\text{Ar}_1$ is substituted with a Q_1 group which comprises one or more additional $-\text{Ar}_1$ groups, said additional $-\text{Ar}_1$ groups are not substituted with Q_1 ;

20

each X is independently selected from the group consisting of $=\text{N}-$, and $=\text{CH}-$;

each X_2 is independently selected from the group consisting of $-\text{O}-$, $-\text{CH}_2-$, $-\text{NH}-$, $-\text{S}-$, $-\text{SO}-$, and $-\text{SO}_2-$;

25

each Y is independently selected from the group consisting of $-\text{O}-$, $-\text{S}-$, and $-\text{NH}$;

provided that when

g is 0,

J is $-\text{H}$,

m is 1,

30

T is $-\text{CO}_2\text{H}$,

- 759 -

X_2 is O,

R_5 is benzyloxycarbonyl, and

ring C is benzo,

then R_3 cannot be $-CO-R_{13}$ when:

5 R_{13} is $-CH_2-O-Ar_1$ and

Ar_1 is 1-phenyl-3-trifluoromethyl-
pyrazole-5-yl wherein the phenyl is optionally
substituted with a chlorine atom;

or when

10 R_{13} is $-CH_2-O-CO-Ar_1$, wherein

Ar_1 is 2,6-dichlorophenyl.

2. The compound according to claim 1,
wherein:

15 X_1 is $-CH$;

g is 0;

J is $-H$;

m is 0 or 1 and T is $-CO-CO_2H$, or any bioisosteric
replacement for $-CO_2H$, or

20 m is 1 and T is $-CO_2H$;

ring C is benzo optionally substituted with
 $-C_{1-3}$ alkyl, $-O-C_{1-3}$ alkyl, $-Cl$, $-F$ or $-CF_3$;

R_5 is:

25 $-CO-Ar_1$

$-SO_2-Ar_1$,

$-CO-NH_2$,

$-CO-NH-Ar_1$

$-CO-R_9$,

- 760 -

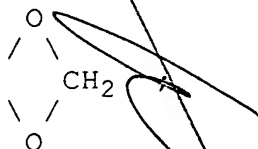
-CO-O-R₉,
 -SO₂-R₉, or
 -CO-NH-R₉,

R₇ is -H and R₆ is: -H,
 -R₉, or
 -Ar₁;

R₉ is a C₁₋₆ straight or branched alkyl group optionally substituted with =O and optionally substituted with -Ar₁;

R₁₀ is H or a -C₁₋₃ straight or branched alkyl group;

Ar₁ is phenyl, naphthyl, pyridyl, benzothiazolyl, thienyl, benzothienyl, benzoxazolyl, 2-indanyl, or indolyl optionally substituted with -O-C₁₋₃ alkyl, -NH-C₁₋₃ alkyl, -N-(C₁₋₃ alkyl)₂, -Cl, -F, -CF₃, -C₁₋₃ alkyl, or



Q₁ is R₉ or -(CH₂)_{0,1,2}-T₁-(CH₂)_{0,1,2}-Ar₁, wherein T₁ is -O- or -S-;

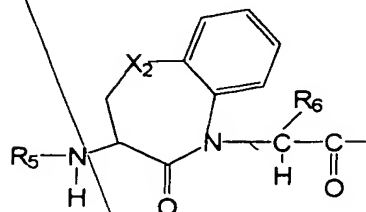
each X is independently selected from the group consisting of =N-, and =CH-;

each X₂ is independently selected from the group consisting of -O-, -CH₂-, -NH-, -S-, -SO-, and -SO₂-.

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3. The compound according to claims 1 or 2,
wherein the R_1 group is:

(w1)



; wherein

5 X_2 is:

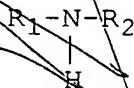
-O- ,
-S- ,
-SO₂-, or
-NH-;

10 optionally substituted with R_5 or Q_1 at X_2 when X_2
is -NH-; and

ring C is benzo substituted with -C₁₋₃ alkyl,
-O-C₁₋₃ alkyl, -Cl, -F or -CF₃.

15 4. A compound represented by the formula:

(I)

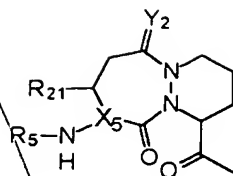


wherein:

20 R_1 is selected from the group consisting of the
following formulae:

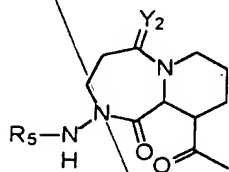
- 762 -

(e10)



;

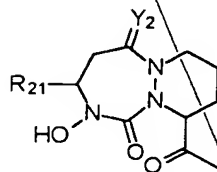
(e11)



;

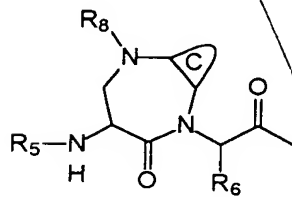
5

(e12)



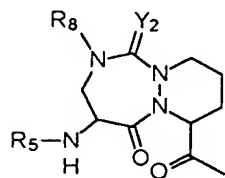
;

(w2)



;

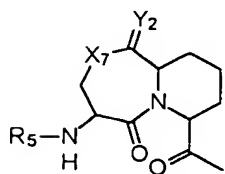
(y1)



;

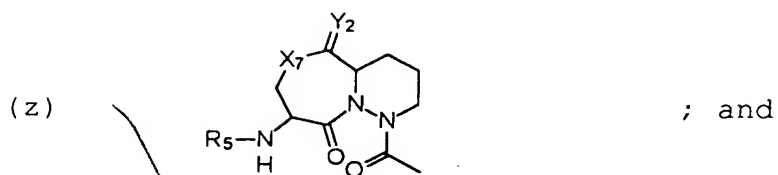
10

(y2)



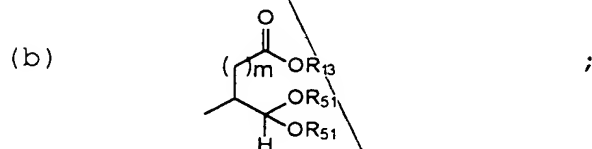
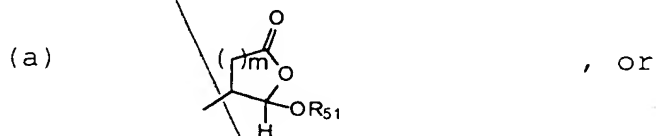
;

- 763 -



ring C is chosen from the group consisting of
benzo, pyrido, thieno, pyrrolo, furano, thiazolo,
5 isothiazolo, oxazolo, isoxazolo, pyrimido, imidazolo,
cyclopentyl, and cyclohexyl;

R₂ is:

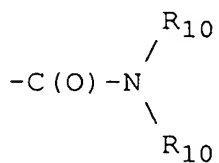


10 m is 1 or 2;

R₅ is selected from the group consisting of:

-C(O)-R₁₀,

-C(O)O-R₉,

15 

20 -S(O)₂-R₉,
-C(O)-CH₂-O-R₉,

- 764 -

-C(O)C(O)-R_{10} ,
 -R_9 ,
 -H , and
 -C(O)C(O)-OR_{10} ;

5 X_5 is -CH- or -N- ;

Y_2 is H_2 or O ;

X_7 is $\text{-N(R}_8\text{)-}$ or -O- ;

10

R_6 is selected from the group consisting of -H and -CH_3 ;

R_8 is selected from the group consisting of:

15

-C(O)-R_{10} ,
 -C(O)O-R_9 ,
 -C(O)-N(H)-R_{10} ,

$\text{-S(O)}_2\text{-R}_9$,

$\text{-S(O)}_2\text{-NH-R}_{10}$,

20

$\text{-C(O)-CH}_2\text{-OR}_{10}$,

-C(O)C(O)-R_{10} ,

$\text{-C(O)-CH}_2\text{N(R}_{10}\text{)(R}_{10}\text{)}$,

$\text{-C(O)-CH}_2\text{C(O)-O-R}_9$,

$\text{-C(O)-CH}_2\text{C(O)-R}_9$,

25

-H , and

$\text{-C(O)-C(O)-OR}_{10}$;

each R_9 is independently selected from the group
 consisting of -Ar_3 and a -C_{1-6} straight or branched
 alkyl group optionally substituted with -Ar_3 , wherein
 30 the -C_{1-6} alkyl group is optionally unsaturated;

- 765 -

each R_{10} is independently selected from the group consisting of $-H$, $-Ar_3$, a $-C_{3-6}$ cycloalkyl group, and a $-C_{1-6}$ straight or branched alkyl group optionally substituted with $-Ar_3$, wherein the $-C_{1-6}$ alkyl group is optionally unsaturated;

R_{13} is selected from the group consisting of H , Ar_3 , and a C_{1-6} straight or branched alkyl group optionally substituted with $-Ar_3$, $-CONH_2$, $-OR_5$, $-OH$, $-OR_9$, or $-CO_2H$;

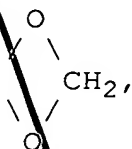
each R_{51} is independently selected from the group consisting of R_9 , $-C(O)-R_9$, $-C(O)-N(H)-R_9$, or each R_{51} taken together forms a saturated 4-8 member carbocyclic ring or heterocyclic ring containing $-O-$, $-S-$, or $-NH-$;

each R_{21} is independently selected from the group consisting of $-H$ or a $-C_{1-6}$ straight or branched alkyl group;

each Ar_3 is a cyclic group independently selected from the set consisting of an acyl group which contains 6, 10, 12, or 14 carbon atoms and between 1 and 3 rings and an aromatic heterocycle group containing between 5 and 15 ring atoms and between 1 and 3 rings, said heterocyclic group containing at least one heteroatom group selected from $-O-$, $-S-$, $-SO-$, SO_2 , $=N-$, and $-NH-$, said heterocycle group optionally containing one or more double bonds, said heterocycle group optionally comprising one or more aromatic rings, and said cyclic group optionally being singly or multiply substituted by $-Q_1$;

- 766 -

each Q_1 is independently selected from the group consisting of $-NH_2$, $-CO_2H$, $-Cl$, $-F$, $-Br$, $-I$, $-NO_2$, $-CN$, $=O$, $-OH$, $-perfluoro\ C_{1-3}\ alkyl$, R_5 , $-OR_5$, $-NHR_5$, $-OR_9$, $-NHR_9$, $-R_9$, $-C(O)-R_{10}$, and



provided that when $-Ar_3$ is substituted with a Q_1 group which comprises one or more additional $-Ar_3$ groups, said additional $-Ar_3$ groups are not substituted with another $-Ar_3$.

5. The compound according to claim 4, wherein R_5 is selected from the group consisting of:

$-C(O)-R_{10}$,
 $-C(O)O-R_9$, and
 $-C(O)-NH-R_{10}$.

6. The compound according to claim 4, wherein R_5 is selected from the group consisting of:

$-S(O)_2-R_9$,
 $-S(O)_2-NH-R_{10}$,
 $-C(O)-C(O)-R_{10}$,
 $-R_9$, and
 $-C(O)-C(O)-OR_{10}$.

7. The compound according to claims 5 or 6, wherein:

m is 1;

- 767 -

5 R_{13} is H or a C_{1-4} straight or branched alkyl group
 optionally substituted with $-Ar_3$, $-OH$, $-OR_9$, $-CO_2H$,
 wherein the R_9 is a C_{1-4} branched or straight chain
 alkyl group; wherein Ar_3 is morpholinyl or phenyl,
 wherein the phenyl is optionally substituted with Q_1 ;

R_{21} is $-H$ or $-CH_3$;

R_{51} is a C_{1-6} straight or branched alkyl group
 optionally substituted with $-Ar_3$, wherein Ar_3 is
 phenyl, optionally substituted by $-Q_1$;

10 each Ar_3 cyclic group is independently selected
 from the set consisting of phenyl, naphthyl, thienyl,
 quinolinyl, isoquinolinyl, pyrazolyl, thiazolyl,
 isoxazolyl, benzotriazolyl, benzimidazolyl,
 thienothienyl, imidazolyl, thiadiazolyl,
 15 benzo[b]thiophenyl, pyridyl, benzofuranyl, and indolyl,
 and said cyclic group optionally being singly or
 multiply substituted by $-Q_1$;

20 each Q_1 is independently selected from the group
 consisting of $-NH_2$, $-Cl$, $-F$, $-Br$, $-OH$, $-R_9$, $-NH-R_5$
 wherein R_5 is $-C(O)-R_{10}$ or $-S(O)_2-R_9$, $-OR_5$ wherein R_5 is
 $-C(O)-R_{10}$, $-OR_9$, $-NHR_9$, and



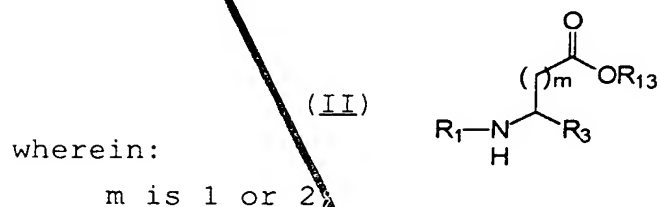
wherein each R_9 and R_{10} are independently a $-C_{1-6}$
 straight or branched alkyl group optionally substituted
 with $-Ar_3$ wherein Ar_3 is phenyl;

30

- 768 -

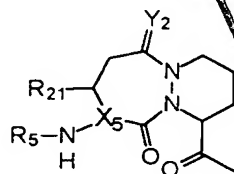
provided that when $-\text{Ar}_3$ is substituted with a Q_1 group which comprises one or more additional $-\text{Ar}_3$ groups, said additional $-\text{Ar}_3$ groups are not substituted with another $-\text{Ar}_3$.

5 8. A compound represented by the formula:



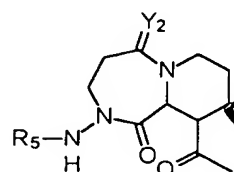
10 R_1 is selected from the group consisting of the following formulae:

(e10)



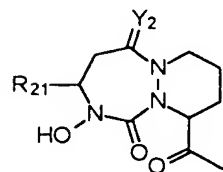
, wherein X_5 is N;

(e11)

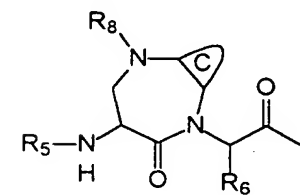


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(e12)

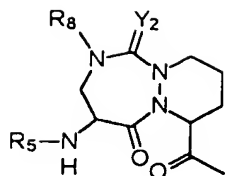


(w2)



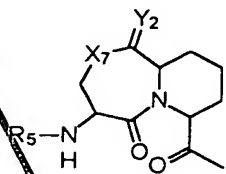
- 769 -

(y1)



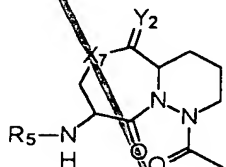
;

(y2)



;

(z)



; and

ring C is chosen from the group consisting of
benzo, pyrido, thieno, pyrrolo, furano, thiazolo,
isothiazolo, oxazolo, isoxazolo, pyrimido, imidazolo,
cyclopentyl, and cyclohexyl;

R₃ is selected from the group consisting of:

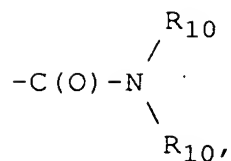
- CN,
- C(O)-H,
- C(O)-CH₂-T₁-R₁₁,
- C(O)-CH₂-F,
- C=N-O-R₉, and
- CO-Ar₂;

R₅ is selected from the group consisting of:

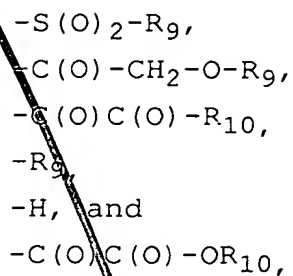
- C(O)-R₁₀,
- C(O)O-R₉,

- 770 -

5



10



Y_2 is H_2 or O ;

X_7 is $-N(R_8)-$ or $-O-$;

15

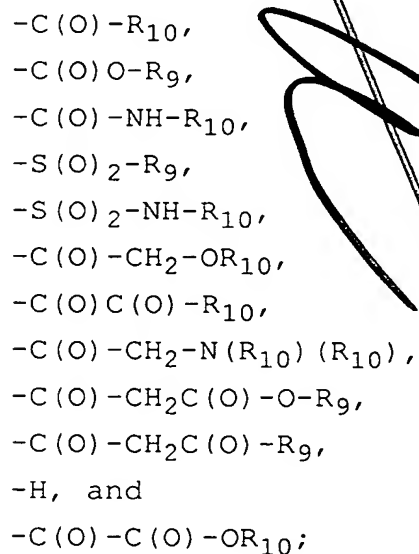
each T_1 is independently selected from the group consisting of $-O-$, $-S-$, $-S(O)-$, and $-S(O)_2-$;

R_6 is selected from the group consisting of $-H$ and $-CH_3$;

20

R_8 is selected from the group consisting of:

25



30

- 771 -

each R_9 is independently selected from the group consisting of $-Ar_3$ and a $-C_{1-6}$ straight or branched alkyl group optionally substituted with $-Ar_3$, wherein the $-C_{1-6}$ alkyl group is optionally unsaturated;

5 each R_{10} is independently selected from the group consisting of $-H$, $-Ar_3$, a $-C_{3-6}$ cycloalkyl group, and a $-C_{1-6}$ straight or branched alkyl group optionally substituted with $-Ar_3$, wherein the $-C_{1-6}$ alkyl group is optionally unsaturated;

10 each R_{11} is independently selected from the group consisting of:

$-Ar_4$,
 $-(CH_2)_{1-3}-Ar_4$,
 $-H$, and
15 $-C(O)-Ar_4$;

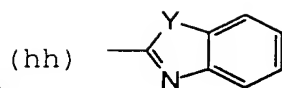
R_{13} is selected from the group consisting of H , Ar_3 , and a C_{1-6} straight or branched alkyl group optionally substituted with $-Ar_3$, $-CONH_2$, $-OR_5$, $-OH$, $-OR_9$, or $-CO_2H$;

20 OR_{13} is optionally $-N(H)-OH$;

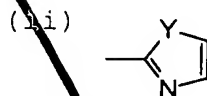
 each R_{21} is independently selected from the group consisting of $-H$ or a $-C_{1-6}$ straight or branched alkyl group;

25 Ar_2 is independently selected from the following group, in which any ring may optionally be singly or multiply substituted by $-Q_1$ or phenyl, optionally substituted by Q_1 :

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, and



5 wherein each Y is independently selected from the group consisting of O and S;

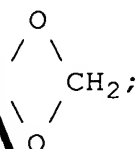
each Ar₃ is a cyclic group independently selected from the set consisting of an aryl group which contains 6, 10, 12, or 14 carbon atoms and between 1 and 3 rings and an aromatic heterocycle group containing between 5 and 15 ring atoms and between 1 and 3 rings, said heterocyclic group containing at least one heteroatom group selected from -O-, -S-, -SO-, SO₂, =N-, and -NH-, -N(R₅)-, and -N(R₉)- said heterocycle group optionally containing one or more double bonds, said heterocycle group optionally comprising one or more aromatic rings, and said cyclic group optionally being singly or multiply substituted by -Q₁;

each Ar₄ is a cyclic group independently selected from the set consisting of an aryl group which contains 6, 10, 12, or 14 carbon atoms and between 1 and 3 rings, and a heterocycle group containing between 5 and 15 ring atoms and between 1 and 3 rings, said heterocyclic group containing at least one heteroatom group selected from -O-, -S-, -SO-, SO₂, =N-, -NH-, -N(R₅)-, and -N(R₉)- said heterocycle group optionally containing one or more double bonds, said heterocycle group optionally comprising one or more aromatic rings, and said cyclic group optionally being singly or multiply substituted by -Q₁;

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each Q_1 is independently selected from the group consisting of $-NH_2$, $-CO_2H$, $-Cl$, $-F$, $-Br$, $-I$, $-NO_2$, $-CN$, $=O$, $-OH$, $-perfluoro\ C_{1-3}\ alkyl$, R_5 , $-OR_5$, $-NHR_5$, $-OR_9$, $-NHR_9$, $-R_9$, $-C(O)-R_{10}$, and

5



10

provided that when $-Ar_3$ is substituted with a Q_1 group which comprises one or more additional $-Ar_3$ groups, said additional $-Ar_3$ groups are not substituted with another $-Ar_3$.

15

9. The compound according to claim 8, wherein R_1 is (e11).

10. The compound according to claim 8, wherein R_1 is (e12).

20

11. The compound according to claim 8, wherein R_1 is (y1).

12. The compound according to claim 8, wherein R_1 is (y2).

13. The compound according to claim 8, wherein R_1 is (z).

25

14. The compound according to claim 8, wherein R_1 is (w2).

15. The compound according to claim 14, wherein:

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m is 1;

ring C is benzo, pyrido, or thieno;

R₃ is selected from the group consisting of
-C(O)-H, -C(O)-Ar₂, and -C(O)CH₂-T₁-R₁₁;

5 R₅ is selected from the group consisting of:

-C(O)-R₁₀, wherein R₁₀ is -Ar₃;

-C(O)O-R₉, wherein R₉ is -CH₂-Ar₃;

-C(O)C(O)-R₁₀, wherein R₁₀ is -Ar₃;

10 -R₉, wherein R₉ is a C₁₋₂ alkyl group
substituted with -Ar₃; and

-C(O)C(O)-OR₁₀, wherein R₁₀ is -CH₂Ar₃;

T₁ is O or S;

R₆ is H;

15 R₈ is selected from the group consisting -C(O)-R₁₀,
-C(O)-CH₂-OR₁₀, and -C(O)CH₂-N(R₁₀)(R₁₀), wherein R₁₀ is
H, CH₃, or -CH₂CH₃;

R₁₁ is selected from the group consisting of -Ar₄,
-(CH₂)₁₋₃-Ar₄, and -C(O)-Ar₄;

20 R₁₃ is H or a C₁₋₄ straight or branched alkyl group
optionally substituted with -Ar₃, -OH, -OR₉, -CO₂H,
wherein the R₉ is a C₁₋₄ branched or straight chain
alkyl group; wherein Ar₃ is morpholinyl or phenyl,
wherein the phenyl is optionally substituted with Q₁;

25 Ar₂ is (hh);

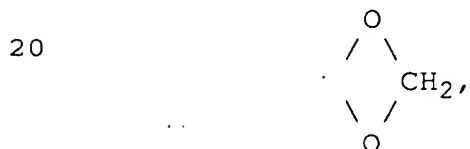
- 775 -

Y is O;

each Ar₃ cyclic group is independently selected from the set consisting of phenyl, naphthyl, thienyl, quinolinyl, isoquinolinyl, thiazolyl, benzimidazolyl, thienothienyl, thiadiazolyl, benzotriazolyl, benzo[b]thiophenyl, benzofuranyl, and indolyl, and said cyclic group optionally being singly or multiply substituted by -Q₁;

each Ar₄ cyclic group is independently selected from the set consisting of phenyl, tetrazolyl, naphthyl, pyridinyl, oxazolyl, pyrimidinyl, and indolyl, and said cyclic group optionally being singly or multiply substituted by -Q₁;

each Q₁ is independently selected from the group consisting of -NH₂, -Cl, -F, -Br, -OH, -R₉, -NH-R₅ wherein R₅ is -C(O)-R₁₀ or -S(O)₂-R₉, -OR₅ wherein R₅ is -C(O)-R₁₀, -OR₉, -NHR₉, and



wherein each R₉ and R₁₀ are independently a -C₁₋₆ straight or branched alkyl group optionally substituted with -Ar₃ wherein Ar₃ is phenyl;

provided that when -Ar₃ is substituted with a Q₁ group which comprises one or more additional -Ar₃ groups, said additional -Ar₃ groups are not substituted with another -Ar₃.

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16. The compound according to claim 8,
wherein R_1 is (e10) and X_5 is N.

17. The compound according to claim 16,
wherein R_3 is CO-Ar_2 .

5 18. The compound according to claim 16,
wherein R_3 is $-\text{C}(\text{O})-\text{CH}_2-\text{T}_1-\text{R}_{11}$ and R_{11} is $-(\text{CH}_2)_{1-3}-\text{Ar}_4$.

19. The compound according to claim 16,
wherein:

10 R_3 is $-\text{C}(\text{O})-\text{CH}_2-\text{T}_1-\text{R}_{11}$;
 T_1 is O; and
 R_{11} is $-\text{C}(\text{O})-\text{Ar}_4$.

20. The compound according to claim 16,
wherein R_3 is $-\text{C}(\text{O})-\text{H}$.

15 21. The compound according to claim 16,
wherein R_3 is $-\text{CO}-\text{CH}_2-\text{T}_1-\text{R}_{11}$ and R_{11} is $-\text{Ar}_4$.

22. The compound according to any one of
claims 19-21, wherein R_5 is selected from the group
consisting of:

20 $-\text{C}(\text{O})-\text{R}_{10}$,
 $-\text{C}(\text{O})\text{O}-\text{R}_9$, and
 $-\text{C}(\text{O})-\text{NH}-\text{R}_{10}$.

23. The compound according to claim 22,
wherein:

25 m is 1;
 T_1 is O or S,

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provided that when R_3 is $-C(O)-CH_2-T_1-R_{11}$, T_1 is O;

R_{13} is H or a C_{1-4} straight or branched alkyl group optionally substituted with $-Ar_3$, $-OH$, $-OR_9$, $-CO_2H$,
5 wherein the R_9 is a C_{1-4} branched or straight chain alkyl group; wherein Ar_3 is morpholinyl or phenyl, wherein the phenyl is optionally substituted with Q_1 ;

R_{21} is $-H$ or $-CH_3$;

Ar_2 is (hh);

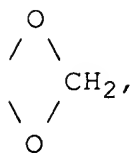
10 Y is O;

each Ar_3 cyclic group is independently selected from the set consisting of phenyl, naphthyl, thienyl, quinolinyl, isoquinolinyl, pyrazolyl, thiazolyl,
15 isoxazolyl, benzotriazolyl, benzimidazolyl, thienothienyl, imidazolyl, thiadiazolyl, benzo[b]thiophenyl, pyridyl, benzofuranyl, and indolyl, and said cyclic group optionally being singly or multiply substituted by $-Q_1$;

20 each Ar_4 cyclic group is independently selected from the set consisting of phenyl, tetrazolyl, pyridinyl, oxazolyl, naphthyl, pyrimidinyl, and thienyl, and said cyclic group optionally being singly or multiply substituted by $-Q_1$;

25 each Q_1 is independently selected from the group consisting of $-NH_2$, $-Cl$, $-F$, $-Br$, $-OH$, $-R_9$, $-NH-R_5$ wherein R_5 is $-C(O)-R_{10}$ or $-S(O)_2-R_9$, $-OR_5$ wherein R_5 is $-C(O)-R_{10}$, $-OR_9$, $-NHR_9$, and

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5

wherein each R_9 and R_{10} are independently a $-C_{1-6}$ straight or branched alkyl group optionally substituted with $-Ar_3$, wherein Ar_3 is phenyl;

10

provided that when $-Ar_3$ is substituted with a Q_1 group which comprises one or more additional $-Ar_3$ groups, said additional $-Ar_3$ groups are not substituted with another $-Ar_3$.

15

24. The compound according to any one of claims 19-21, wherein R_5 is selected from the group consisting of:

20

$-S(O)_2-R_9$,
 $-S(O)_2-NH-R_{10}$,
 $-C(O)-C(O)-R_{10}$,
 $-R_9$, and
 $-C(O)-C(O)-OR_{10}$.

25. The compound according to claim 24, wherein:

25

m is 1;

T_1 is O or S,

provided that when R_3 is $-C(O)-CH_2-T_1-R_{11}$, T_1 is O;

30

R_{13} is H or a C_{1-4} straight or branched alkyl group optionally substituted with $-Ar_3$, $-OH$, $-OR_9$, $-CO_2H$,

- 779 -

wherein the R_9 is a C_{1-4} branched or straight chain alkyl group; wherein Ar_3 is morpholinyl or phenyl, wherein the phenyl is optionally substituted with Q_1 ;

R_2 is -H or -CH₃;

5 Ar_2 is (hh);

Y is O;

each Ar_3 cyclic group is independently selected from the set consisting of phenyl, naphthyl, thienyl, quinolinyl, isoquinolinyl, pyrazolyl, thiazolyl, isoxazolyl, benzothiazolyl, benzimidazolyl, thienothienyl, imidazolyl, thiadiazolyl, benzo[b]thiophenyl, pyridyl, benzofuranyl, and indolyl, and said cyclic group optionally being singly or multiply substituted by - Q_1 ;

each Ar_4 cyclic group is independently selected from the set consisting of phenyl, tetrazolyl, pyridinyl, oxazolyl, naphthyl, pyrimidinyl, and thienyl, and said cyclic group optionally being singly or multiply substituted by - Q_1 ;

each Q_1 is independently selected from the group consisting of -NH₂, -Cl, -F, -Br, -OH, - R_9 , -NH- R_5 wherein R_5 is -C(O)- R_{10} or -S(O)₂- R_9 , -OR₅ wherein R_5 is -C(O)- R_{10} , -OR₉, -NHR₉, and



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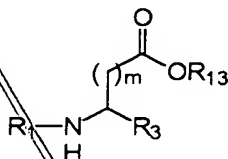
wherein each R_9 and R_{10} are independently a $-C_{1-6}$ straight or branched alkyl group optionally substituted with $-Ar_3$ wherein Ar_3 is phenyl;

5 provided that when $-Ar_3$ is substituted with a Q_1 group which comprises one or more additional $-Ar_3$ groups, said additional $-Ar_3$ groups are not substituted with another $-Ar_3$.

26. A compound represented by the formula:

10

(II)



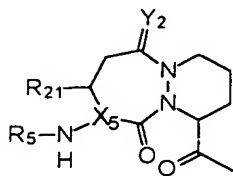
wherein:

m is 1 or 2;

R_1 is:

(e10)

15



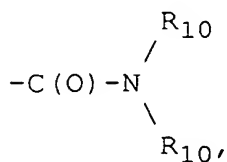
R_3 is $-\text{CO}-Ar_2$;

R_5 is selected from the group consisting of:

$-\text{C}(=\text{O})-R_{10}$,

$-\text{C}(=\text{O})\text{O}-R_9$,

20



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5

-S(O)₂-R₉,
-C(O)-CH₂-O-R₉,
-C(O)C(O)-R₁₀,
-R₉,
-H, and
-C(O)C(O)-OR₁₀,

X₅ is CH;

Y₂ is R₂ or O;

10

each R₉ is independently selected from the group consisting of -Ar₃ and a -C₁₋₆ straight or branched alkyl group optionally substituted with -Ar₃, wherein the -C₁₋₆ alkyl group is optionally unsaturated;

15

each R₁₀ is independently selected from the group consisting of -H, -Ar₃, a -C₃₋₆ cycloalkyl group, and a -C₁₋₆ straight or branched alkyl group optionally substituted with -Ar₃, wherein the -C₁₋₆ alkyl group is optionally unsaturated;

20

R₁₃ is selected from the group consisting of H, Ar₃, and a C₁₋₆ straight or branched alkyl group optionally substituted with -Ar₃, -CONH₂, -OR₅, -OH, -OR₉, or -CO₂H;

OR₁₃ is optionally -N(H)-OH;

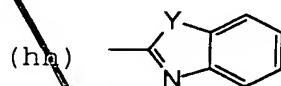
25

each R₂₁ is independently selected from the group consisting of -H or a -C₁₋₆ straight or branched alkyl group;

Ar₂ is independently selected from the following

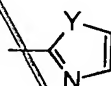
- 782 -

group, in which any ring may optionally be singly or multiply substituted by $-Q_1$ or phenyl, optionally substituted by Q_1 :



, and

5 (ii)



wherein each Y is independently selected from the group consisting of O and S;

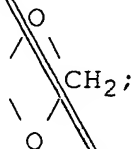
each Ar_3 is a cyclic group independently selected from the set consisting of an aryl group which contains 6, 10, 12, or 14 carbon atoms and between 1 and 3 rings and an aromatic heterocycle group containing between 5 and 15 ring atoms and between 1 and 3 rings, said heterocyclic group containing at least one heteroatom group selected from $-O-$, $-S-$, $-SO-$, SO_2 , $=N-$, and $-NH-$, $-N(R_5)-$, and $-N(R_9)-$ said heterocycle group optionally containing one or more double bonds, said heterocycle group optionally comprising one or more aromatic rings, and said cyclic group optionally being singly or multiply substituted by $-Q_1$;

each Ar_4 is a cyclic group independently selected from the set consisting of an aryl group which contains 6, 10, 12, or 14 carbon atoms and between 1 and 3 rings, and a heterocycle group containing between 5 and 15 ring atoms and between 1 and 3 rings, said heterocyclic group containing at least one heteroatom group selected from $-O-$, $-S-$, $-SO-$, SO_2 , $=N-$, $-NH-$, $-N(R_5)-$, and $-N(R_9)-$ said heterocycle group optionally

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containing one or more double bonds, said heterocycle group optionally comprising one or more aromatic rings, and said cyclic group optionally being singly or multiply substituted by -Q₁;

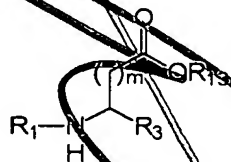
5 each Q₁ is independently selected from the group consisting of -NH₂, -CO₂H, -Cl, -F, -Br, -I, -NO₂, -CN, =O, -OH, -perfluoro C₁₋₃ alkyl, R₅, -OR₅, -NHR₅, -OR₉, -NHR₉, -R₉, -C(O)-R₁₀, and



15 provided that when $-Ar_3$ is substituted with a Q_1 group which comprises one or more additional $-Ar_3$ groups, said additional $-Ar_3$ groups are not substituted with another $-Ar_3$.

27. A compound represented by the formula:

20



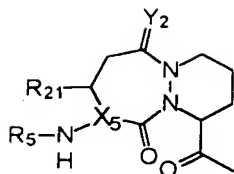
wherein:

m is 1 or 2;

R_1 is:

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(310)



R_3 is $-C(O)-CH_2-T_1-R_{11}$ and R_{11} is $-(CH_2)_{1-3}-Ar_4$;

R_5 is selected from the group consisting of:

$-C(O)-R_{10}$,

$-C(O)O-R_9$,

$-C(O)-N \begin{array}{c} R_{10} \\ / \end{array}$

$-C(O)-N \begin{array}{c} R_{10} \\ / \end{array}$

$-S(O)_2-R_9$,

$-C(O)-CH_2-O-R_9$,

$-C(O)C(O)-R_{10}$,

$-R_9$,

$-H$, and

$-C(O)C(O)-OR_{10}$,

X_5 is CH ;

Y_2 is H_2 or O ;

each T_1 is independently selected from the group consisting of $-O-$, $-S-$, $-S(O)-$, and $-S(O)_2-$;

each R_9 is independently selected from the group consisting of $-Ar_3$ and a $-C_{1-6}$ straight or branched alkyl group optionally substituted with $-Ar_3$, wherein the $-C_{1-6}$ alkyl group is optionally unsaturated;

each R_{10} is independently selected from the group

- 785 -

consisting of -H, -Ar₃, a -C₃₋₆ cycloalkyl group, and a -C₁₋₆ straight or branched alkyl group optionally substituted with -Ar₃, wherein the -C₁₋₆ alkyl group is optionally unsaturated;

5 R₁₃ is selected from the group consisting of H, Ar₃, and a C₁₋₆ straight or branched alkyl group optionally substituted with -Ar₃, -CONH₂, -OR₅, -OH, -OR₉, or -CO₂H;

OR₁₃ is optionally -N(H)-OH;

10 each R₂₁ is independently selected from the group consisting of -H or a -C₁₋₆ straight or branched alkyl group;

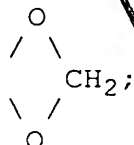
15 each Ar₃ is a cyclic group independently selected from the set consisting of an aryl group which contains 6, 10, 12, or 14 carbon atoms and between 1 and 3 rings and an aromatic heterocycle group containing between 5 and 15 ring atoms and between 1 and 3 rings, said heterocyclic group containing at least one heteroatom group selected from -O-, -S-, -SO-, SO₂, =N-, and -NH-,
20 -N(R₅)-, and -N(R₉)- said heterocycle group optionally containing one or more double bonds, said heterocycle group optionally comprising one or more aromatic rings, and said cyclic group optionally being singly or multiply substituted by -Q₁;

25 each Ar₄ is a cyclic group independently selected from the set consisting of an aryl group which contains 6, 10, 12, or 14 carbon atoms and between 1 and 3 rings, and a heterocycle group containing between 5 and 15 ring atoms and between 1 and 3 rings, said

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heterocyclic group containing at least one heteroatom group selected from -O-, -S-, -SO-, SO₂, =N-, -NH-, -N(R₉)-, and -N(R₉)- said heterocycle group optionally containing one or more double bonds, said heterocycle group optionally comprising one or more aromatic rings, and said cyclic group optionally being singly or multiply substituted by -Q₁;

each Q₁ is independently selected from the group consisting of -NH₂, -CO₂H, -Cl, -F, -Br, -I, -NO₂, -CN, =O, -OH, -perfluoro C₁₋₃ alkyl, -R₅, -OR₅, -NHR₅, -OR₉, -NHR₉, -R₉, -C(O)-R₁₀, and



provided that when -Ar₃ is substituted with a Q₁ group which comprises one or more additional -Ar₃ groups, said additional -Ar₃ groups are not substituted with another -Ar₃.

28. The compound according to claims 26 or 27, wherein R₅ is selected from the group consisting of:

-C(O)-R₁₀,
-C(O)O-R₉, and
-C(O)-NH-R₁₀.

29. The compound according to claim 28, wherein:

m is 1;

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T₁ is O or S;

R₁₃ is H or a C₁₋₄ straight or branched alkyl group optionally substituted with -Ar₃, -OH, -OR₉, -CO₂H, wherein the R₉ is a C₁₋₄ branched or straight chain alkyl group; wherein Ar₃ is morpholinyl or phenyl, wherein the phenyl is optionally substituted with Q₁;

R₂₁ is -H or -CH₃;

Ar₂ is (hh);

Y is O;

10

each Ar₃ cyclic group is independently selected from the set consisting of phenyl, naphthyl, thienyl, quinolinyl, isoquinolinyl, pyrazolyl, thiazolyl, isoxazolyl, benzotriazolyl, benzimidazolyl, thienothienyl, imidazolyl, thiadiazolyl, benzo[b]thiophenyl, pyridyl, benzofuranyl, and indolyl, and said cyclic group optionally being singly or multiply substituted by -Q₁;

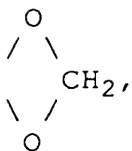
20

each Ar₄ cyclic group is independently selected from the set consisting of phenyl, tetrazolyl, pyridinyl, oxazolyl, naphthyl, pyrimidinyl, and thienyl, and said cyclic group optionally being singly or multiply substituted by -Q₁;

25

each Q₁ is independently selected from the group consisting of -NH₂, -Cl, -F, -Br, -OH, -R₉, -NH-R₅ wherein R₅ is -C(O)-R₁₀ or -S(O)₂-R₉, -OR₅ wherein R₅ is -C(O)-R₁₀, -OR₉, -NHR₉, and

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5

wherein each R_9 and R_{10} are independently a $-C_{1-6}$ straight or branched alkyl group optionally substituted with $-Ar_3$ wherein Ar_3 is phenyl;

10

provided that when $-Ar_3$ is substituted with a Q_1 group which comprises one or more additional $-Ar_3$ groups, said additional $-Ar_3$ groups are not substituted with another $-Ar_3$.

15

30. The compound according to claims 26 or 27, wherein R_5 is selected from the group consisting of:

20

$-S(O)_2-R_9$,
 $-S(O)_2-NH-R_{10}$,
 $-C(O)-C(O)-R_{10}$,
 $-R_9$, and
 $-C(O)-C(O)-OR_{10}$.

31. The compound according to claim 30, wherein:

25

m is 1;

T_1 is O or S;

30

R_{13} is H or a C_{1-4} straight or branched alkyl group optionally substituted with $-Ar_3$, $-OH$, $-OR_9$, $-CO_2H$, wherein the R_9 is a C_{1-4} branched or straight chain alkyl group; wherein Ar_3 is morpholinyl or phenyl,

- 789 -

wherein the phenyl is optionally substituted with Q_1 ;

R_{21} is -H or -CH₃;

Ar_2 is (hh);

Y is O;

5

each Ar_3 cyclic group is independently selected from the set consisting of phenyl, naphthyl, thienyl, quinolinyl, isoquinolinyl, pyrazolyl, thiazolyl, isoxazolyl, benzotriazolyl, benzimidazolyl, thienothienyl, imidazolyl, thiadiazolyl, benzo[b]thiophenyl, pyridyl, benzofuranyl, and indolyl, and said cyclic group optionally being singly or multiply substituted by - Q_1 ;

10

each Ar_4 cyclic group is independently selected from the set consisting of phenyl, tetrazolyl, pyridinyl, oxazolyl, naphthyl, pyrimidinyl, and thienyl, and said cyclic group optionally being singly or multiply substituted by - Q_1 ;

15

each Q_1 is independently selected from the group consisting of -NH₂, -Cl, -F, -Br, -OH, -R₉, -NH-R₅ wherein R₅ is -C(O)-R₁₀ or -S(O)₂-R₉, -OR₅ wherein R₅ is -C(O)-R₁₀, -OR₉, -NHR₉, and

20



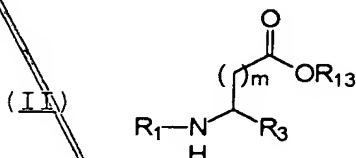
wherein each R₉ and R₁₀ are independently a -C₁₋₆ straight or branched alkyl group optionally substituted

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with $-\text{Ar}_3$ wherein Ar_3 is phenyl;

provided that when $-\text{Ar}_3$ is substituted with a Q_1 group which comprises one or more additional $-\text{Ar}_3$ groups, said additional $-\text{Ar}_3$ groups are not substituted with another $-\text{Ar}_3$.

32. A compound represented by the formula:

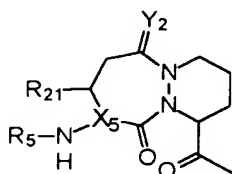


wherein:

m is 1 or 2;

R_1 is:

(e10)



R_3 is $-\text{C}(\text{O})-\text{CH}_2-\text{T}_1-\text{R}_{11}$; T_1 is O; and R_{11} is $-\text{C}(\text{O})-\text{Ar}_4$;

R_5 is selected from the group consisting of:

$-\text{S}(\text{O})_2-\text{R}_9$,
 $-\text{S}(\text{O})_2-\text{NH}-\text{R}_{10}$,
 $-\text{C}(\text{O})-\text{C}(\text{O})-\text{R}_{10}$,
 $-\text{R}_9$, and
 $-\text{C}(\text{O})-\text{C}(\text{O})-\text{OR}_{10}$;

X_5 is CH;

- 791 -

Y₂ is H₂ or O;

each R₉ is independently selected from the group consisting of -Ar₃ and a -C₁₋₆ straight or branched alkyl group optionally substituted with -Ar₃, wherein the -C₁₋₆ alkyl group is optionally unsaturated;

each R₁₀ is independently selected from the group consisting of -H, -Ar₃, a -C₃₋₆ cycloalkyl group, and a -C₁₋₆ straight or branched alkyl group optionally substituted with -Ar₃, wherein the -C₁₋₆ alkyl group is optionally unsaturated;

R₁₃ is selected from the group consisting of H, Ar₃, and a C₁₋₆ straight or branched alkyl group optionally substituted with -Ar₃, -CONH₂, -OR₅, -OH, -OR₉, or -CO₂H;

OR₁₃ is optionally -N(H)-OH;

each R₂₁ is independently selected from the group consisting of -H or a -C₁₋₆ straight or branched alkyl group;

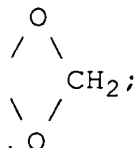
each Ar₃ is a cyclic group independently selected from the set consisting of an aryl group which contains 6, 10, 12, or 14 carbon atoms and between 1 and 3 rings and an aromatic heterocycle group containing between 5 and 15 ring atoms and between 1 and 3 rings, said heterocyclic group containing at least one heteroatom group selected from -O-, -S-, -SO-, SO₂, =N-, and -NH-, -N(R₅)-, and -N(R₉)- said heterocycle group optionally containing one or more double bonds, said heterocycle group optionally comprising one or more aromatic rings,

- 792 -

and said cyclic group optionally being singly or multiply substituted by $-Q_1$;

each Ar_4 is a cyclic group independently selected from the set consisting of an aryl group which contains 6, 10, 12, or 14 carbon atoms and between 1 and 3 rings, and a heterocycle group containing between 5 and 15 ring atoms and between 1 and 3 rings, said heterocyclic group containing at least one heteroatom group selected from $-O-$, $-S-$, $-SO-$, SO_2 , $=N-$, $-NH-$, $-N(R_5)-$, and $-N(R_6)-$ said heterocycle group optionally containing one or more double bonds, said heterocycle group optionally comprising one or more aromatic rings, and said cyclic group optionally being singly or multiply substituted by $-Q_1$;

each Q_1 is independently selected from the group consisting of $-NH_2$, $-CO_2H$, $-Cl$, $-F$, $-Br$, $-I$, $-NO_2$, $-CN$, $=O$, $-OH$, $-perfluoro\ C_{1-3}\ alkyl$, R_5 , $-OR_5$, $-NHR_5$, $-OR_9$, $-NHR_9$, $-R_9$, $-C(O)-R_{10}$, and



provided that when $-Ar_3$ is substituted with a Q_1 group which comprises one or more additional $-Ar_3$ groups, said additional $-Ar_3$ groups are not substituted with another $-Ar_3$.

33. A compound represented by the formula:

- 794 -

-C₁₋₆ straight or branched alkyl group optionally substituted with -Ar₃, wherein the -C₁₋₆ alkyl group is optionally unsaturated;

5 R₁₃ is selected from the group consisting of H, Ar₃, and a C₁₋₆ straight or branched alkyl group optionally substituted with -Ar₃, -CONH₂, -OR₅, -OH, -OR₉, or -CO₂H;

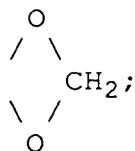
OR₁₃ is optionally -N(H)-OH;

10 each R₂₁ is independently selected from the group consisting of -H or a -C₁₋₆ straight or branched alkyl group;

15 each Ar₃ is a cyclic group independently selected from the set consisting of an aryl group which contains 6, 10, 12, or 14 carbon atoms and between 1 and 3 rings and an aromatic heterocycle group containing between 5 and 15 ring atoms and between 1 and 3 rings, said heterocyclic group containing at least one heteroatom group selected from -O-, -S-, -SO-, SO₂, =N-, and -NH-, -N(R₅)-, and -N(R₉)- said heterocycle group optionally
20 containing one or more double bonds, said heterocycle group optionally comprising one or more aromatic rings, and said cyclic group optionally being singly or multiply substituted by -Q₁;

25 each Q₁ is independently selected from the group consisting of -NH₂, -CO₂H, -Cl, -F, -Br, -I, -NO₂, -CN, =O, -OH, -perfluoro C₁₋₃ alkyl, R₅, -OR₅, -NHR₅, -OR₉, -NHR₉, -R₉, -C(O)-R₁₀, and

- 795 -



5

provided that when $-\text{Ar}_3$ is substituted with a Q_1 group which comprises one or more additional $-\text{Ar}_3$ groups, said additional $-\text{Ar}_3$ groups are not substituted with another $-\text{Ar}_3$.

10

34. The compound according to claims 32 or 33, wherein:

m is 1;

15

R_{13} is H or a C_{1-4} straight or branched alkyl group optionally substituted with $-\text{Ar}_3$, $-\text{OH}$, $-\text{OR}_9$, $-\text{CO}_2\text{H}$, wherein the R_9 is a C_{1-4} branched or straight chain alkyl group; wherein Ar_3 is morpholinyl or phenyl, wherein the phenyl is optionally substituted with Q_1 ;

20

R_{21} is $-\text{H}$ or $-\text{CH}_3$;

25

each Ar_3 cyclic group is independently selected from the set consisting of phenyl, naphthyl, thienyl, quinolinyl, isoquinolinyl, pyrazolyl, thiazolyl, isoxazolyl, benzotriazolyl, benzimidazolyl, thienothienyl, imidazolyl, thiadiazolyl, benzo[b]thiophenyl, pyridyl, benzofuranyl, and indolyl, and said cyclic group optionally being singly or multiply substituted by $-\text{Q}_1$;

30

each Ar_4 cyclic group is independently selected from the set consisting of phenyl, tetrazolyl,

- 796 -

pyridinyl, oxazolyl, naphthyl, pyrimidinyl, and thienyl, and said cyclic group optionally being singly or multiply substituted by $-Q_1$;

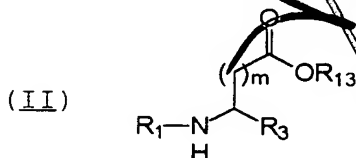
each Q_1 is independently selected from the group consisting of $-NH_2$, $-Cl$, $-F$, $-Br$, $-OH$, $-R_9$, $-NH-R_5$ wherein R_5 is $-C(O)-R_{10}$ or $-S(O)_2-R_9$, $-OR_5$ wherein R_5 is $-C(O)-R_{10}$, $-OR_9$, $-NHR_9$, and



wherein each R_9 and R_{10} are independently a $-C_{1-6}$ straight or branched alkyl group optionally substituted with $-Ar_3$ wherein Ar_3 is phenyl;

provided that when $-Ar_3$ is substituted with a Q_1 group which comprises one or more additional $-Ar_3$ groups, said additional $-Ar_3$ groups are not substituted with another $-Ar_3$.

35. A compound represented by the formula:



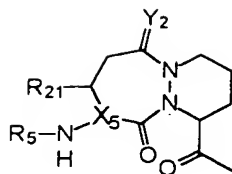
wherein:

m is 1;

R_1 is:

- 797 -

(e10)



R₃ is -CO-CH₂-T₁-R₁₁ and R₁₁ is -Ar₄;

R₅ is selected from the group consisting of:

-C(O)-R₁₀,
 -C(O)O-R₉, and
 -C(O)-NH-R₁₀;

X₅ is CH;

Y₂ is O;

T₁ is O or S;

each R₉ is independently selected from the group consisting of -Ar₃ and a -C₁₋₆ straight or branched alkyl group optionally substituted with -Ar₃, wherein the -C₁₋₆ alkyl group is optionally unsaturated;

each R₁₀ is independently selected from the group consisting of -H, -Ar₃, a -C₃₋₆ cycloalkyl group, and a -C₁₋₆ straight or branched alkyl group optionally substituted with -Ar₃, wherein the -C₁₋₆ alkyl group is optionally unsaturated;

R₁₃ is H or a C₁₋₄ straight or branched alkyl group optionally substituted with -Ar₃, -OH, -OR₉, -CO₂H, wherein the R₉ is a C₁₋₄ branched or straight chain alkyl group; wherein Ar₃ is morpholinyl or phenyl,

- 798 -

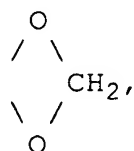
wherein the phenyl is optionally substituted with Q_1 ;

R_{21} is -H or -CH₃;

each Ar_3 cyclic group is independently selected from the set consisting of phenyl, naphthyl, thienyl, quinolinyl, isoquinolinyl, pyrazolyl, thiazolyl, isoxazolyl, benzotriazolyl, benzimidazolyl, thienothienyl, imidazolyl, thiadiazolyl, benzo[b]thiophenyl, pyridyl, benzofuranyl, and indolyl, and said cyclic group optionally being singly or multiply substituted by $-Q_1$;

each Ar_4 cyclic group is independently selected from the set consisting of phenyl, tetrazolyl, pyridinyl, oxazolyl, naphthyl, pyrimidinyl, and thienyl, and said cyclic group optionally being singly or multiply substituted by $-Q_1$;

each Q_1 is independently selected from the group consisting of -NH₂, -Cl, -F, -Br, -OH, -R₉, -NH-R₅ wherein R₅ is -C(O)-R₁₀ or -S(O)₂-R₉, -OR₅ wherein R₅ is -C(O)-R₁₀, -OR₉, -NHR₉, and



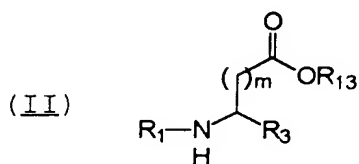
wherein each R₉ and R₁₀ are independently a -C₁₋₆ straight or branched alkyl group optionally substituted with -Ar₃ wherein Ar₃ is phenyl;

provided that when -Ar₃ is substituted with a Q_1 group which comprises one or more additional -Ar₃

- 799 -

groups, said additional $-\text{Ar}_3$ groups are not substituted with another $-\text{Ar}_3$.

36. A compound represented by the formula:

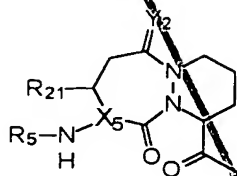


wherein:

m is 1;

R_1 is:

(e10)



R_3 is $-\text{CO}-\text{CH}_2-\text{T}_1-\text{R}_{11}$ and R_{11} is $-\text{Ar}_4$;

R_5 is selected from the group consisting of:

$-\text{S}(\text{O})_2-\text{R}_9$,

$-\text{S}(\text{O})_2-\text{NH}-\text{R}_{10}$,

$-\text{C}(\text{O})-\text{C}(\text{O})-\text{R}_{10}$,

$-\text{R}_9$, and

$-\text{C}(\text{O})-\text{C}(\text{O})-\text{OR}_{10}$;

X_5 is CH ;

Y_2 is O ;

T_1 is O or S ;

- 800 -

each R_9 is independently selected from the group consisting of $-Ar_3$ and a $-C_{1-6}$ straight or branched alkyl group optionally substituted with $-Ar_3$, wherein the $-C_{1-6}$ alkyl group is optionally unsaturated;

5 each R_{10} is independently selected from the group consisting of $-H$, $-Ar_3$, a $-C_{3-6}$ cycloalkyl group, and a $-C_{1-6}$ straight or branched alkyl group optionally substituted with $-Ar_3$, wherein the $-C_{1-6}$ alkyl group is optionally unsaturated;

10 R_{13} is H or a C_{1-4} straight or branched alkyl group optionally substituted with $-Ar_3$, $-OH$, $-OR_9$, $-CO_2H$, wherein the R_9 is a C_{1-4} branched or straight chain alkyl group; wherein Ar_3 is morpholinyl or phenyl, wherein the phenyl is optionally substituted with Q_1 ;

15 R_{21} is $-H$ or $-CH_3$;

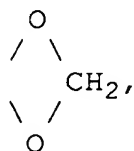
each Ar_3 cyclic group is independently selected from the set consisting of phenyl, naphthyl, thienyl, quinolinyl, isoquinolinyl, pyrazolyl, thiazolyl, isoxazolyl, benzotriazolyl, benzimidazolyl, 20 thienothienyl, imidazolyl, thiadiazolyl, benzo[b]thiophenyl, pyridyl, benzofuranyl, and indolyl, and said cyclic group optionally being singly or multiply substituted by $-Q_1$;

each Ar_4 cyclic group is independently selected from the set consisting of phenyl, tetrazolyl, 25 pyridinyl, oxazolyl, naphthyl, pyrimidinyl, and thienyl, and said cyclic group optionally being singly or multiply substituted by $-Q_1$;

- 801 -

each Q_1 is independently selected from the group consisting of $-NH_2$, $-Cl$, $-F$, $-Br$, $-OH$, $-R_9$, $-NH-R_5$ wherein R_5 is $-C(O)-R_{10}$ or $-S(O)_2-R_9$, $-OR_5$ wherein R_5 is $-C(O)-R_{10}$, $-OR_9$, $-NHR_9$, and

5



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wherein each R_9 and R_{10} are independently a $-C_{1-6}$ straight or branched alkyl group optionally substituted with $-Ar_3$ wherein Ar_3 is phenyl;

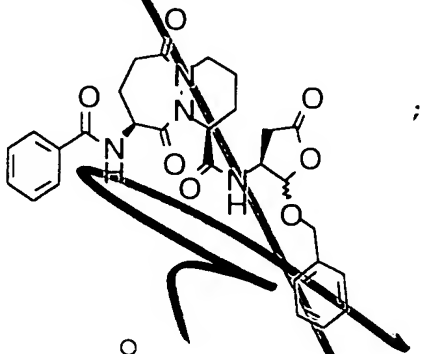
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provided that when $-Ar_3$ is substituted with a Q_1 group which comprises one or more additional $-Ar_3$ groups, said additional $-Ar_3$ groups are not substituted with another $-Ar_3$.

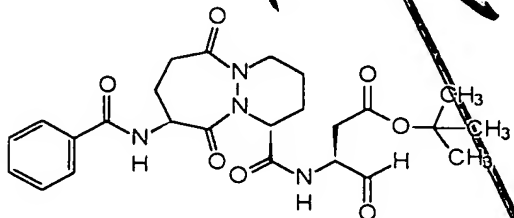
37. The compound according to claim 7 selected from the group consisting of:

20

213e

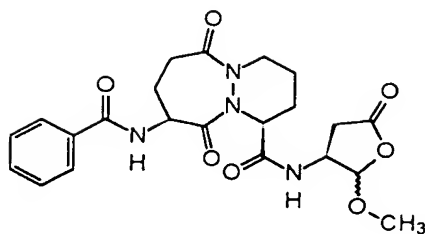


302

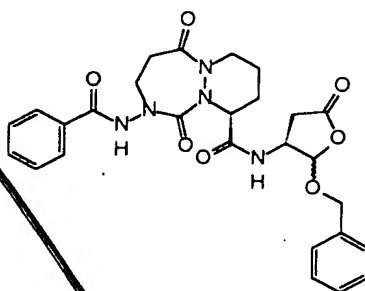


- 802 -

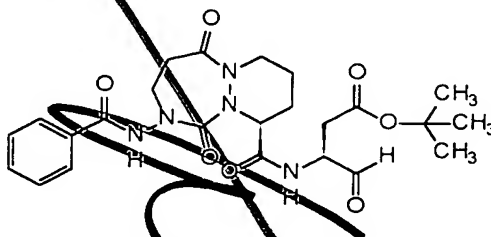
304a



813e

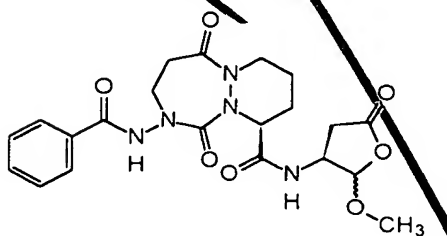


902



; and

904a



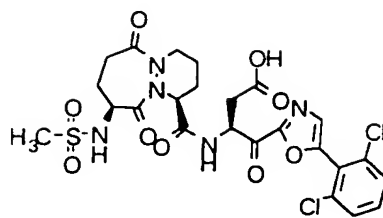
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38. The compound according to claims 8 or 68, selected from the group consisting of:

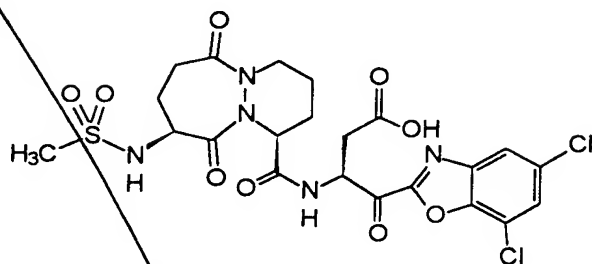
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A22

- 803 -

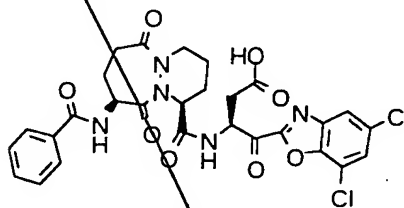
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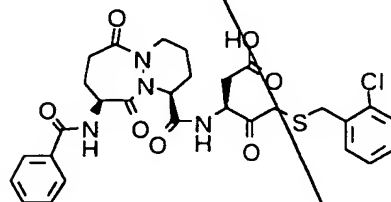
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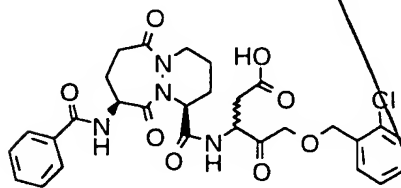
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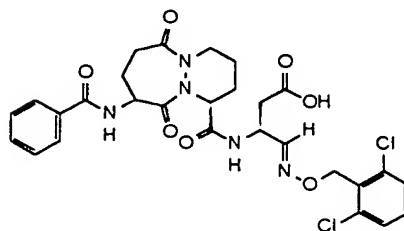
226e



227e



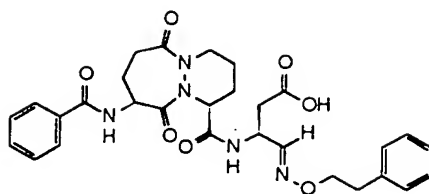
307a

Sch
A22

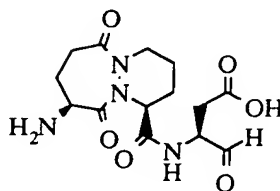
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- 804 -

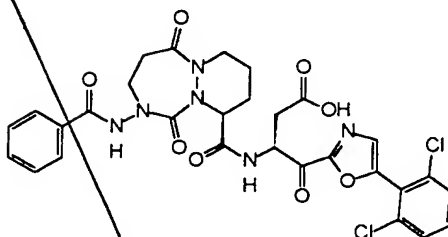
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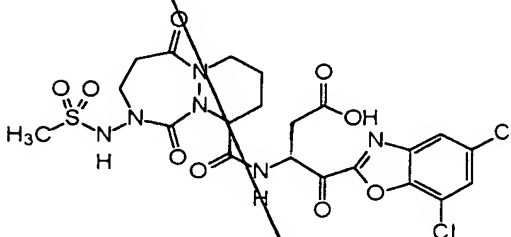
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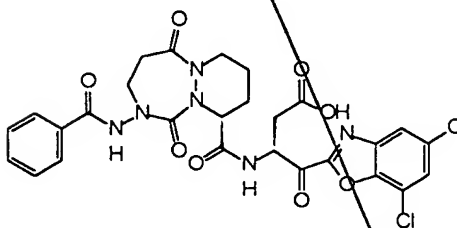
820b



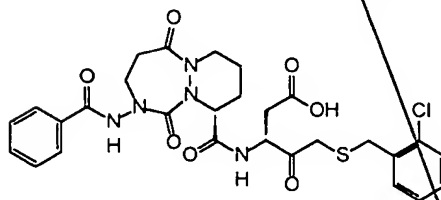
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823e



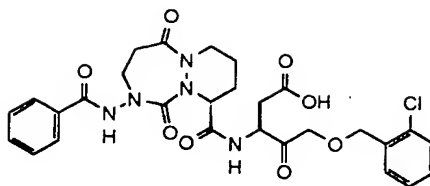
826e



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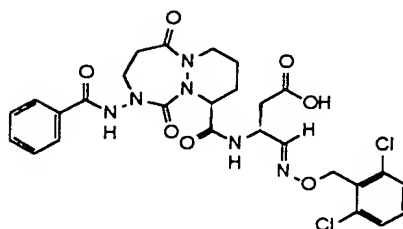
- 805 -

827e



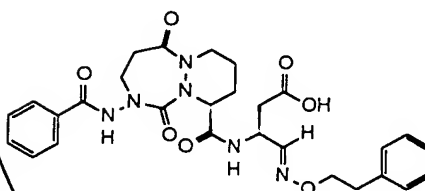
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907a



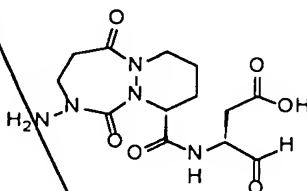
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907b



; and

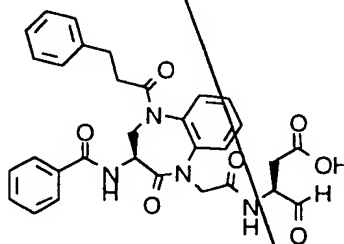
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39. The compound according to claim 15
selected from the group consisting of:

605a

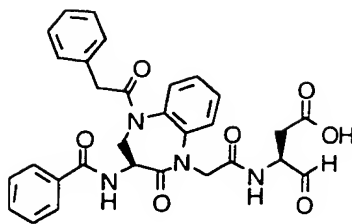


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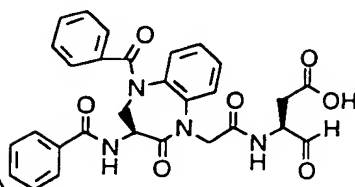
- 806 -

605b



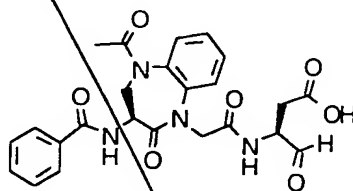
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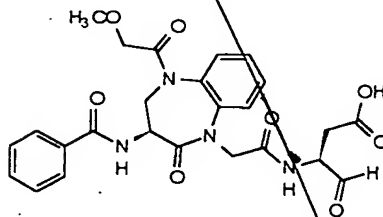
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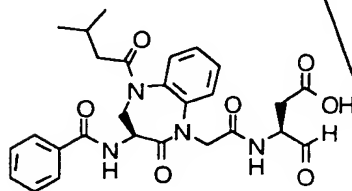
;

605e



;

605f

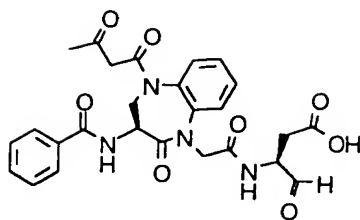


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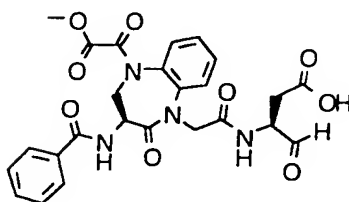
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- 807 -

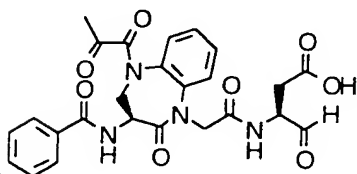
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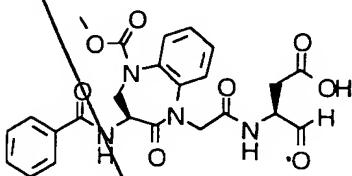
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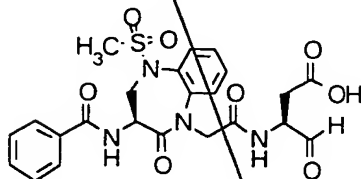
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605j

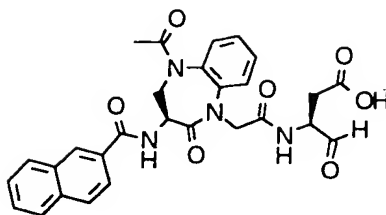


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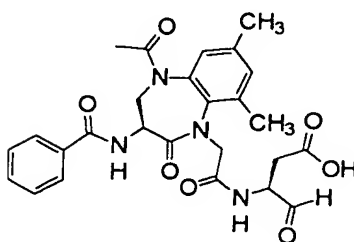
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A22

- 808 -

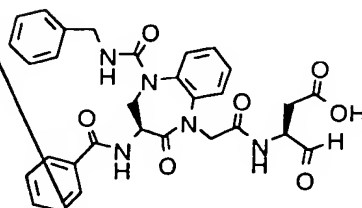
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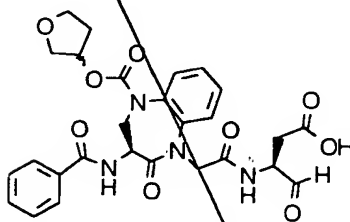
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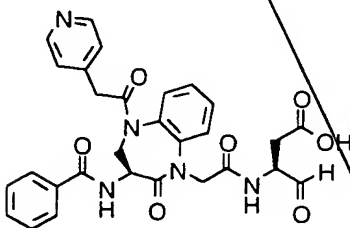
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605q

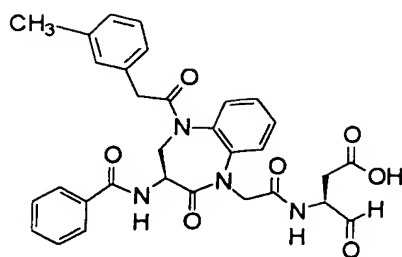


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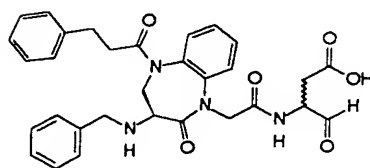
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A2

- 809 -

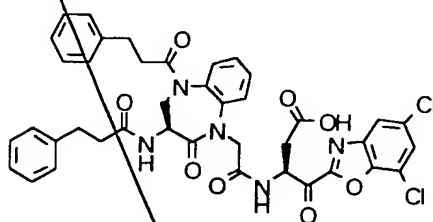
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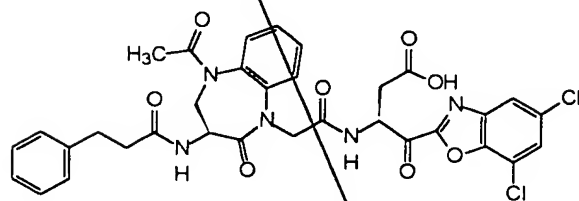
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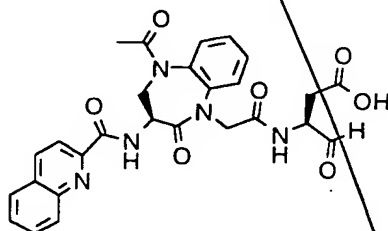
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609b



619

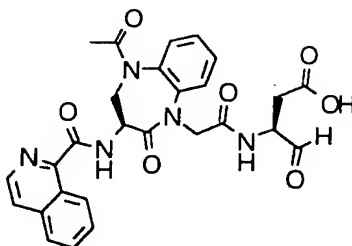


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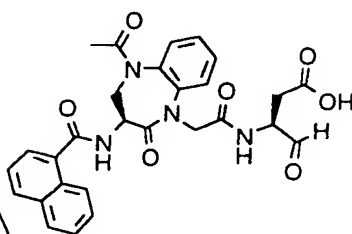
- 810 -

620



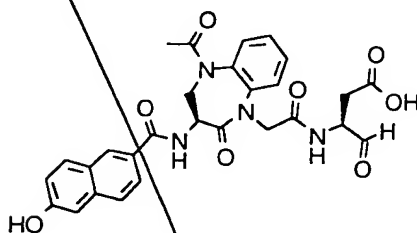
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621



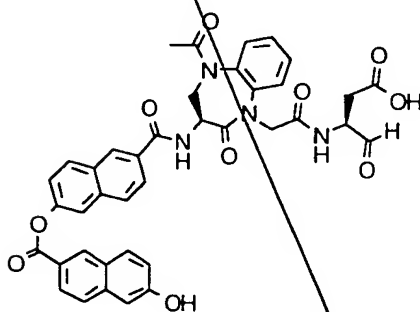
;

622



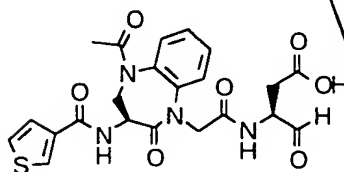
;

623



;

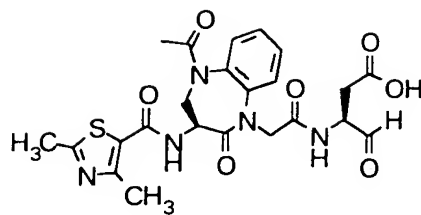
624



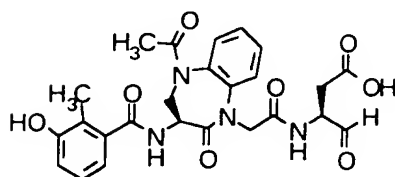
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- 811 -

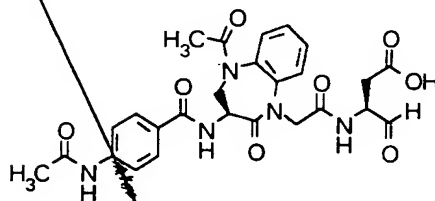
625



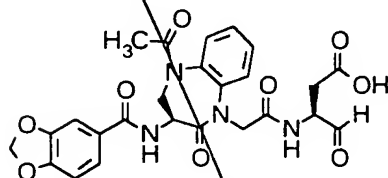
626



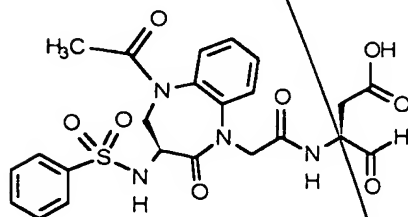
627



628

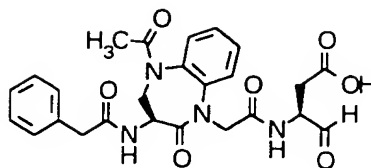


629

Suh
A22

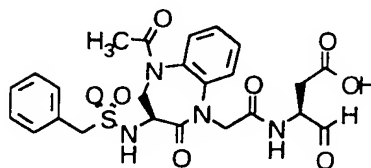
- 812 -

630



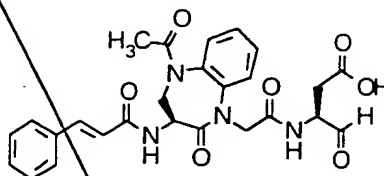
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631



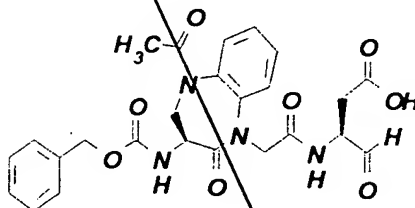
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632



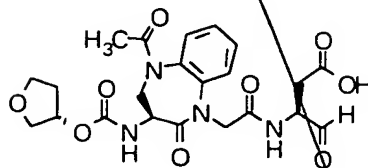
;

633



;

634

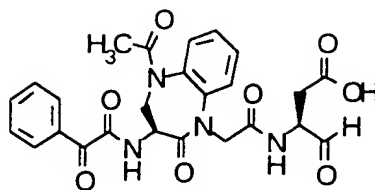


; and

Sub
A22

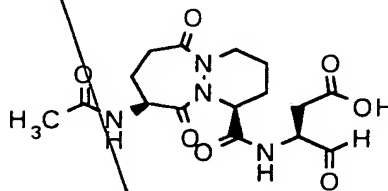
- 813 -

635



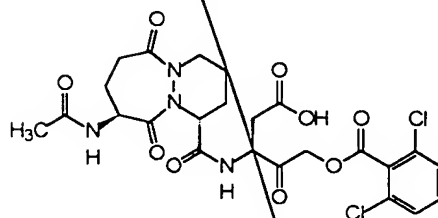
40. The compound according to claims 8 or 68, selected from the group consisting of:

214c



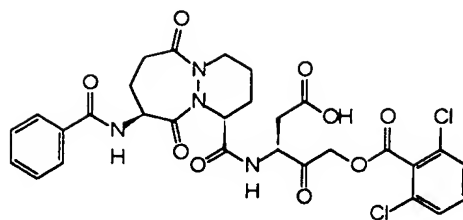
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217c



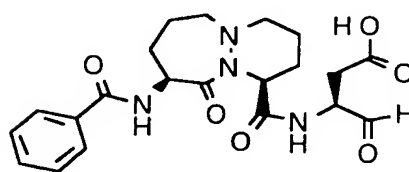
- 814 -

217e



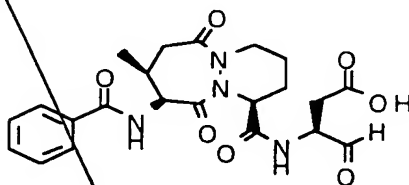
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246



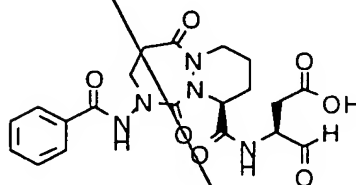
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257



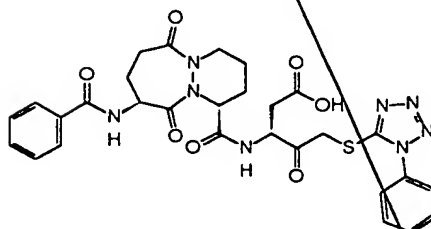
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265



;

280

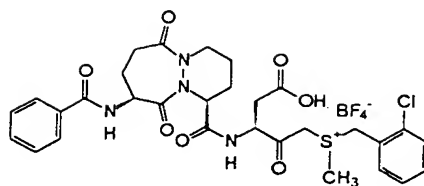


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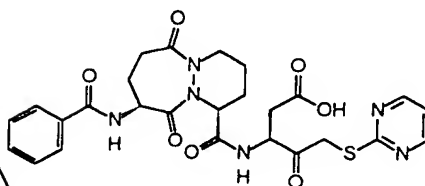
Sch
A22

- 815 -

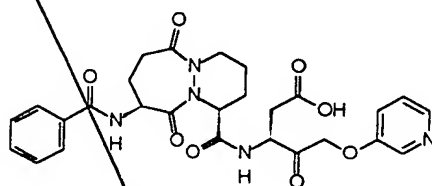
281



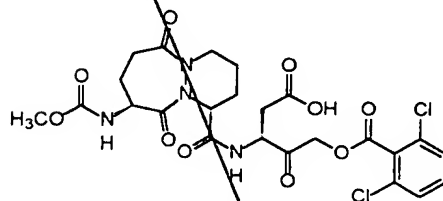
282



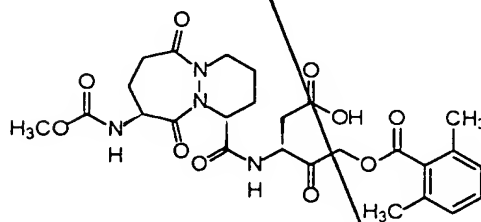
283



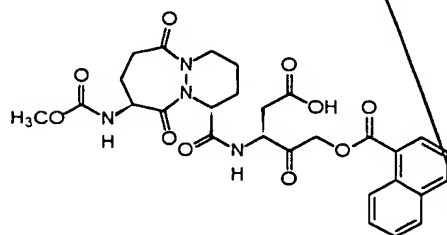
284



285

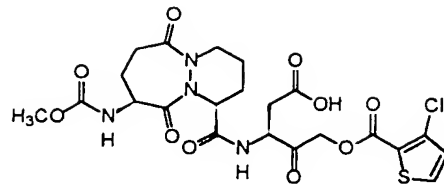


286

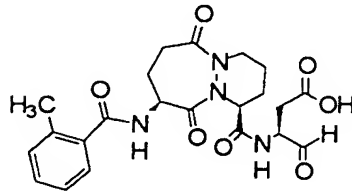
Sub
A22

- 816 -

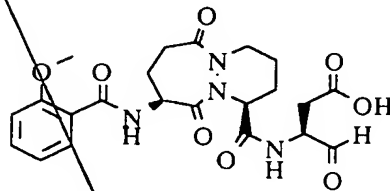
287



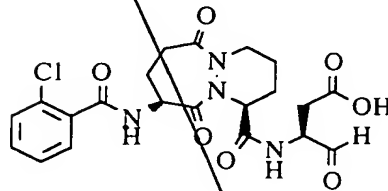
404



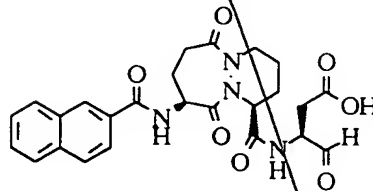
405



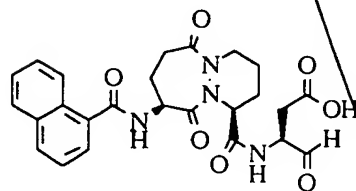
406



407

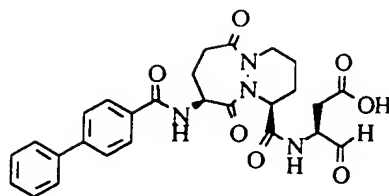


408

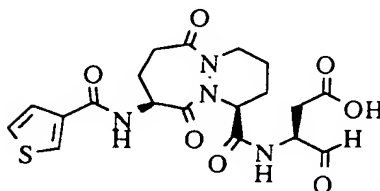
Sub
A22

- 817 -

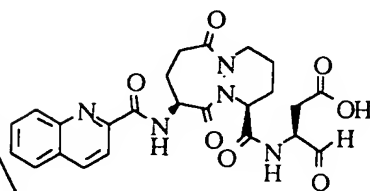
409



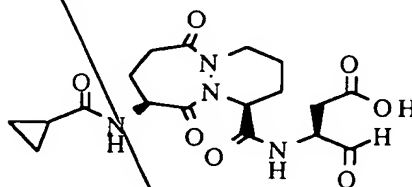
410



411

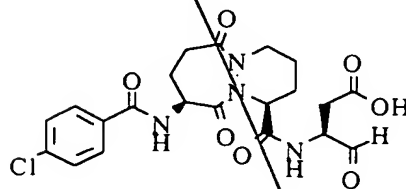


413

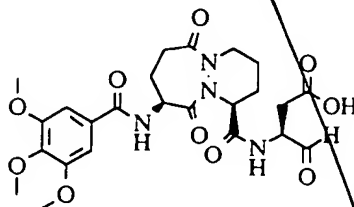


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416

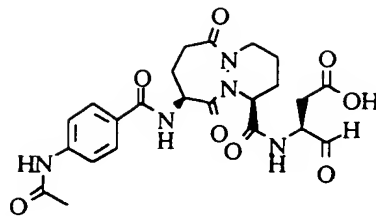


417



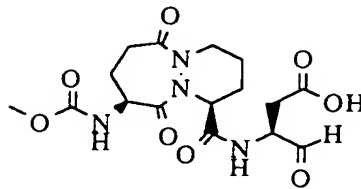
- 818 -

418



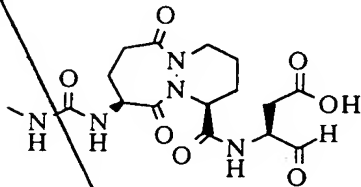
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419



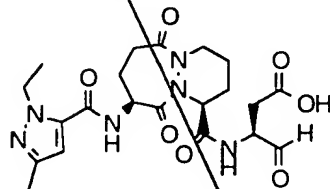
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420



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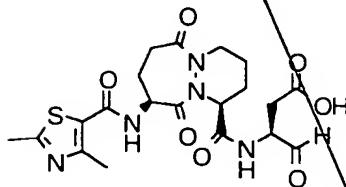
422



;

5

423

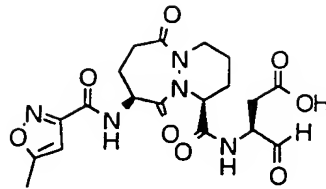


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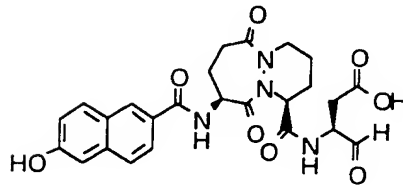
Sub
A22

- 819 -

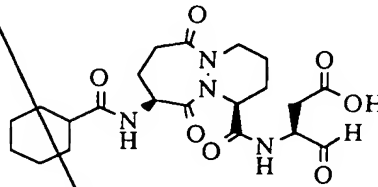
424



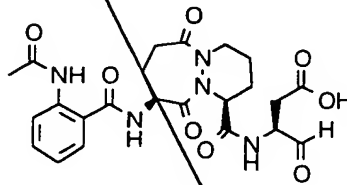
425



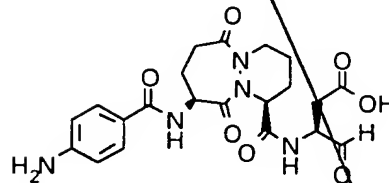
426



430

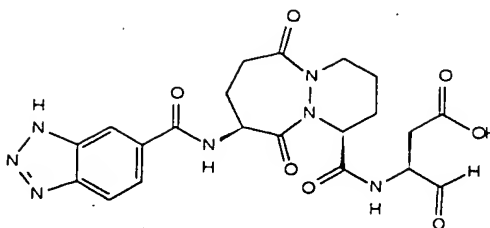


431

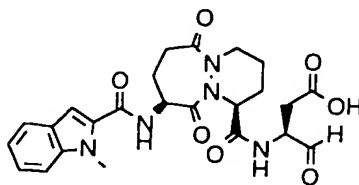
Sub
A22

- 820 -

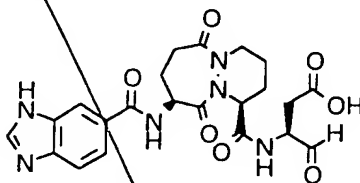
432



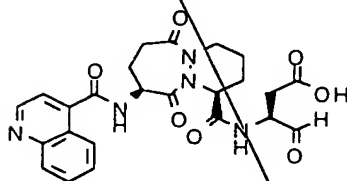
433



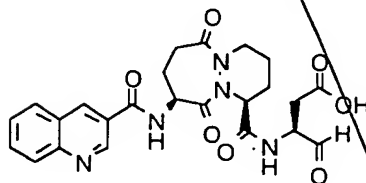
434



435

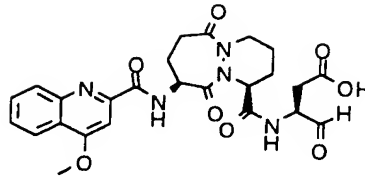


436

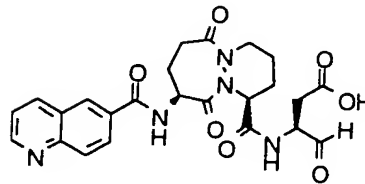
Sub
A22

- 821 -

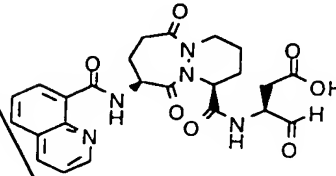
437



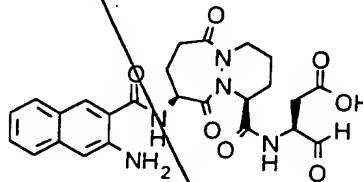
438



439

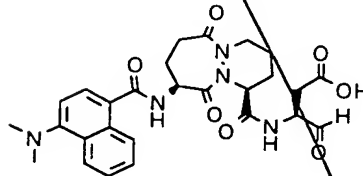


440

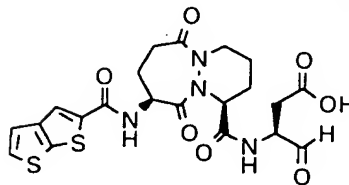


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441

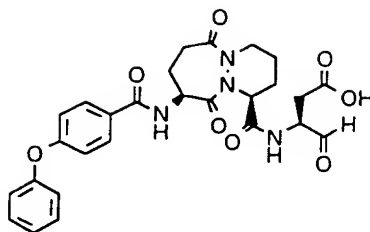


442

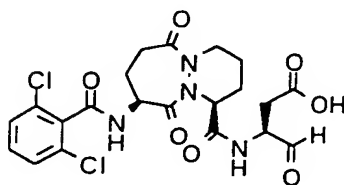


- 822 -

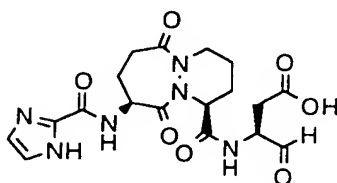
443



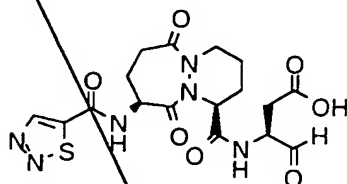
444



445

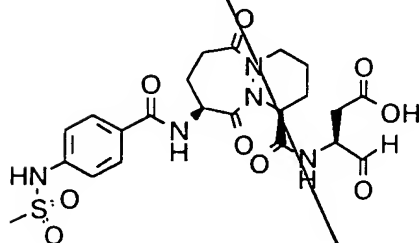


446



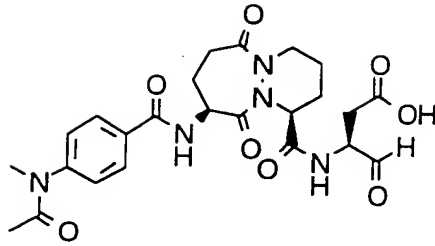
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447

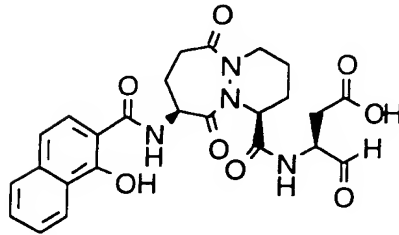


- 823 -

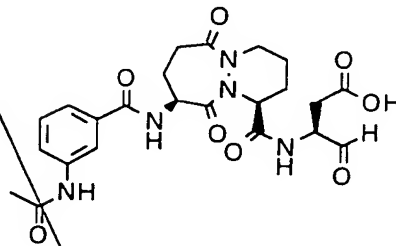
448



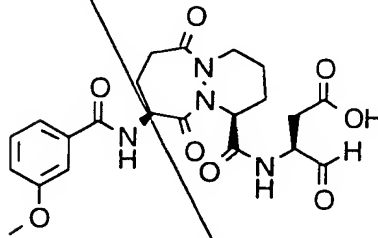
449



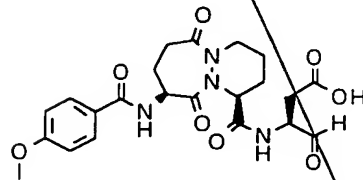
450



451



452

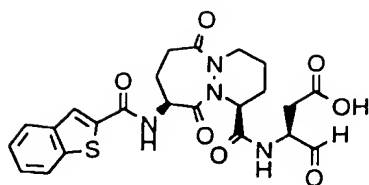


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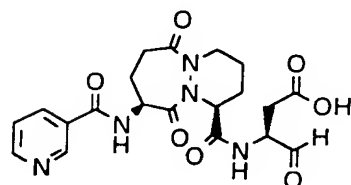
Sub
A22

- 824 -

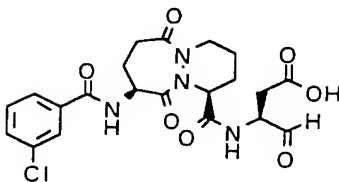
453



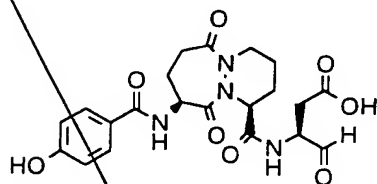
454



455

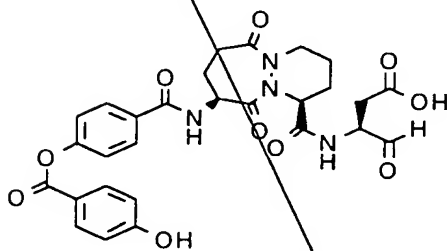


456



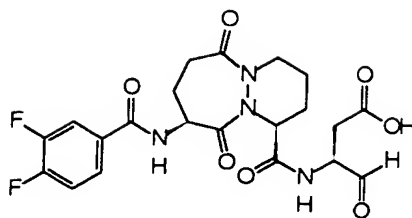
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457

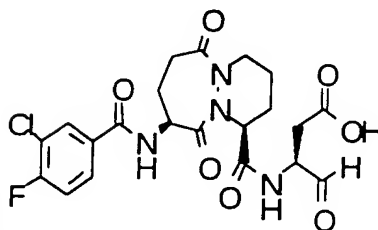


- 825 -

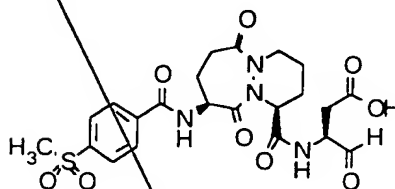
458



459

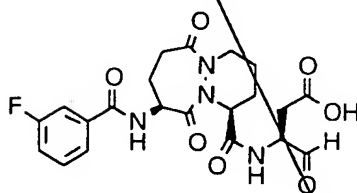


460



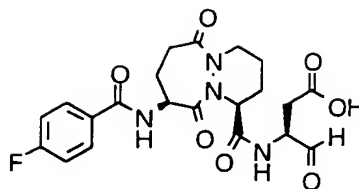
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462

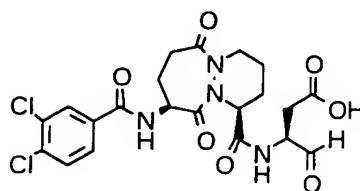


- 826 -

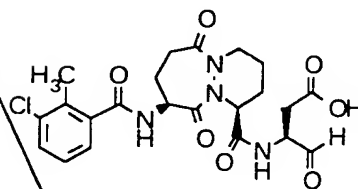
463



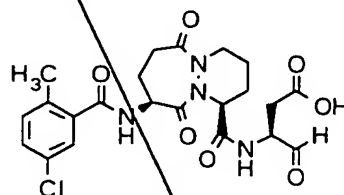
464



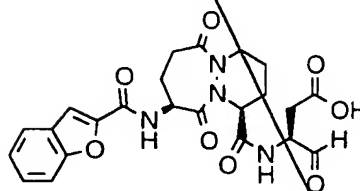
465



466



467

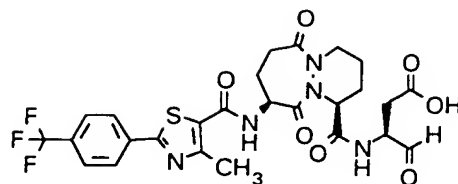


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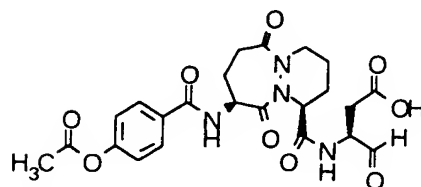
Sub
A22

- 827 -

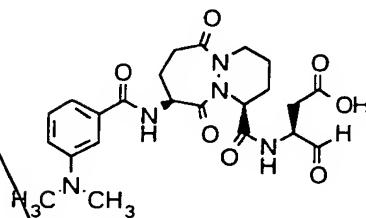
468



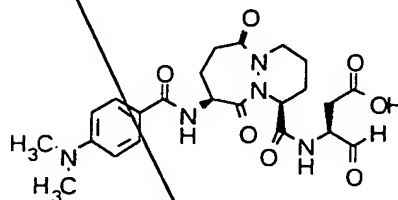
469



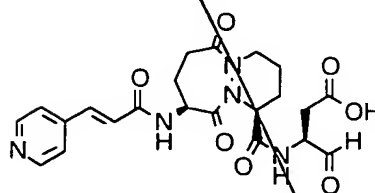
470



471



472

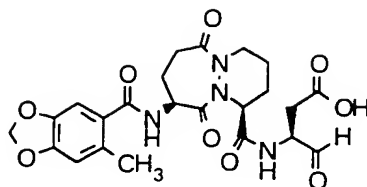


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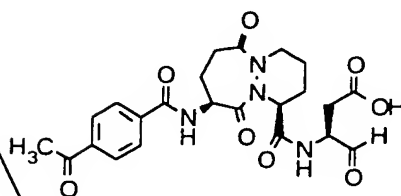
Sub
A22

- 828 -

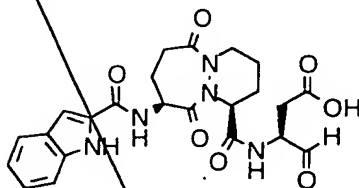
473



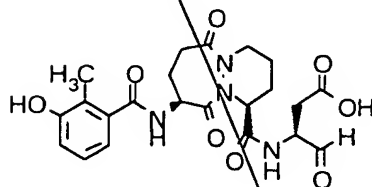
474



475

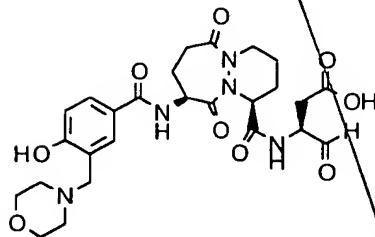


476



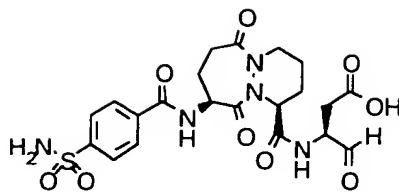
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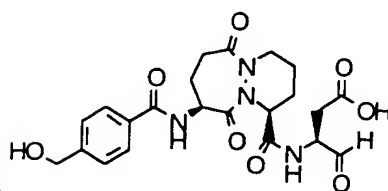


- 829 -

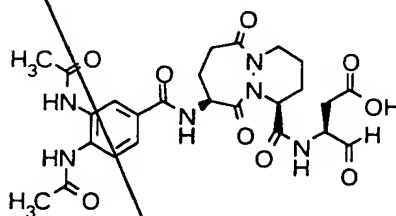
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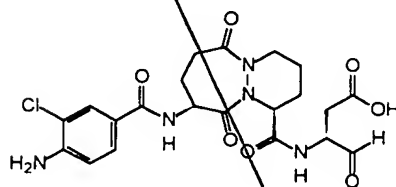
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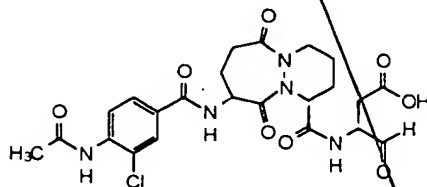


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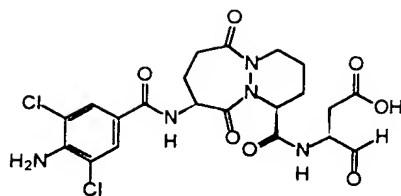
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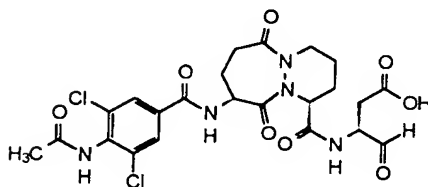


- 830 -

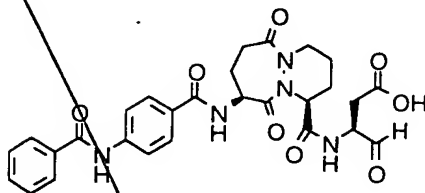
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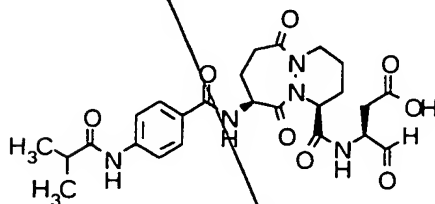
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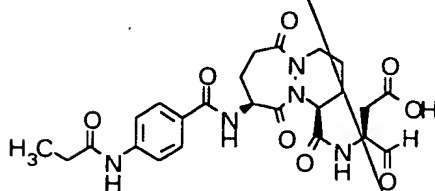


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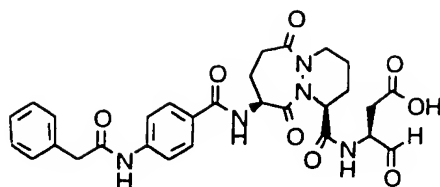
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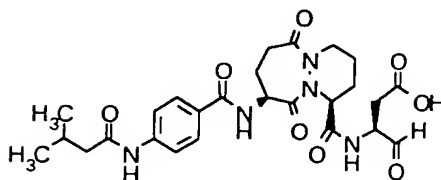


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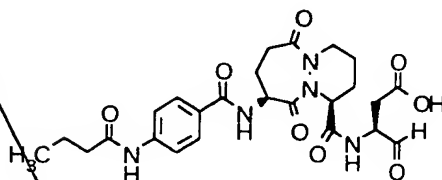
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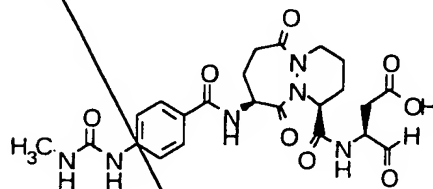
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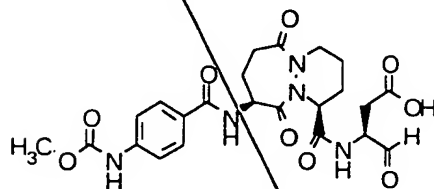
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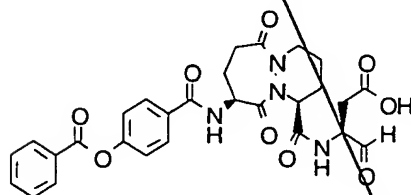
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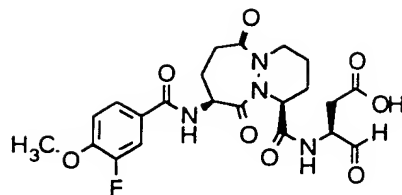


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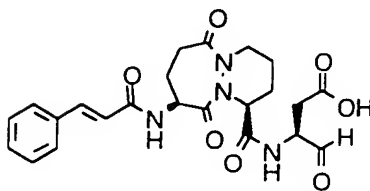
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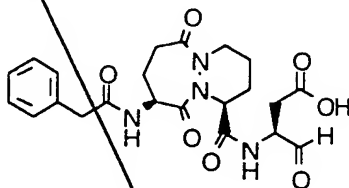
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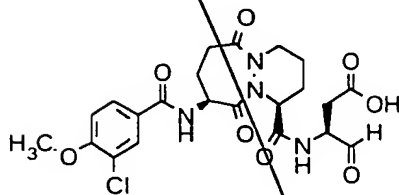
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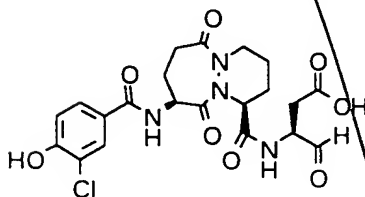
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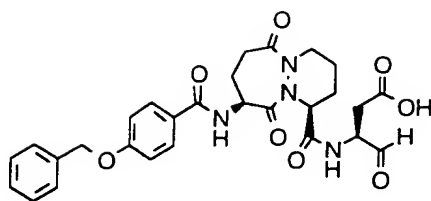


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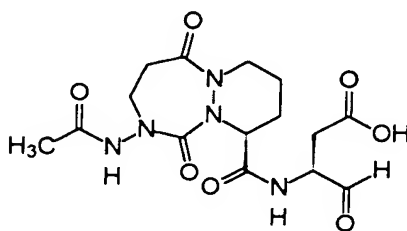
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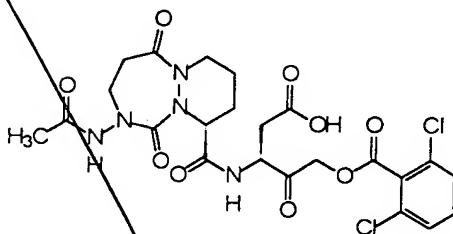
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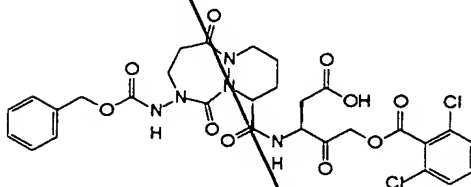
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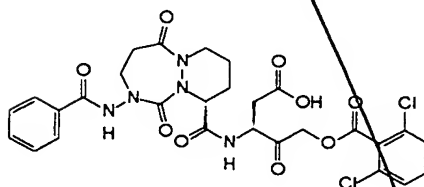
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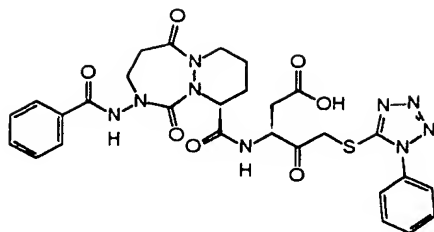


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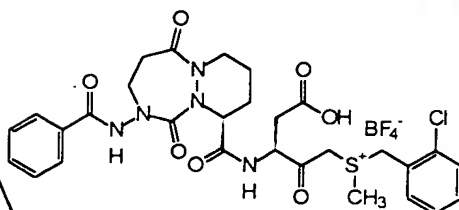
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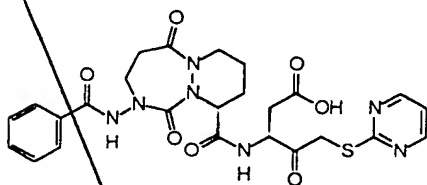
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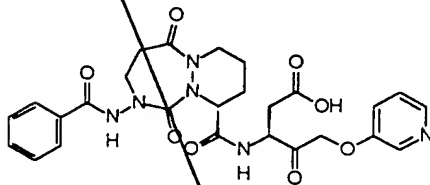
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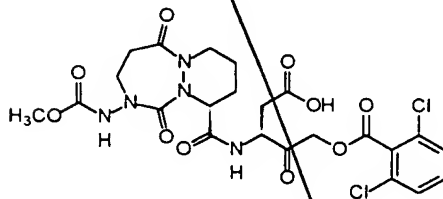
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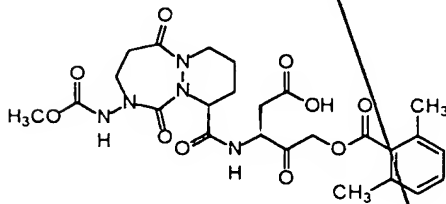
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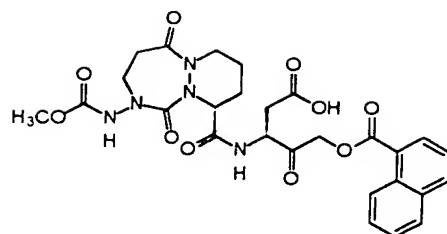


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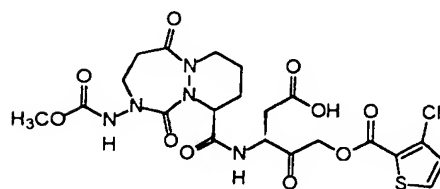
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- 835 -

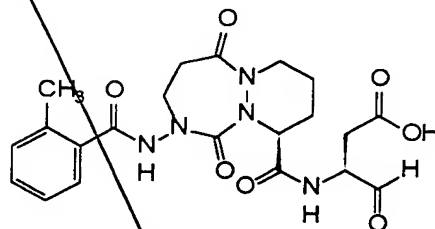
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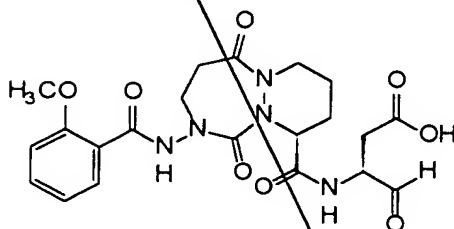
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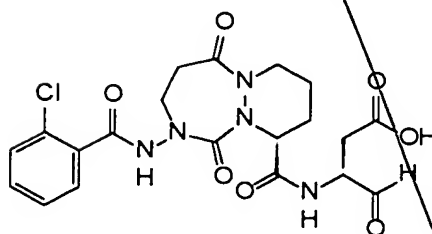
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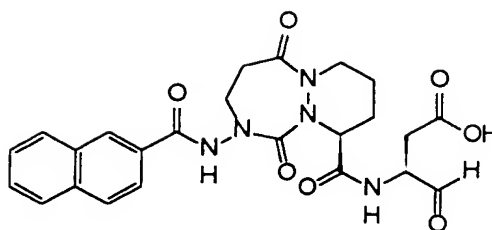


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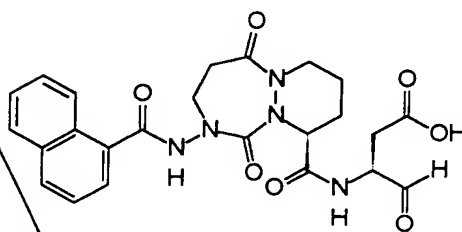
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- 836 -

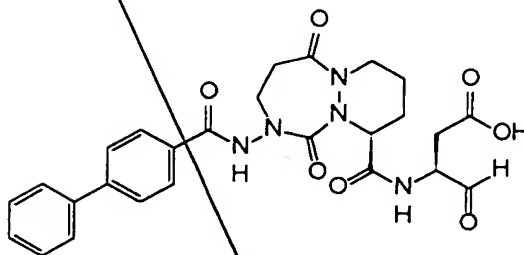
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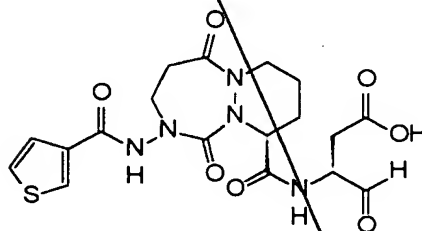
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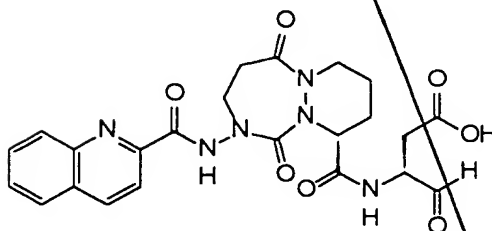
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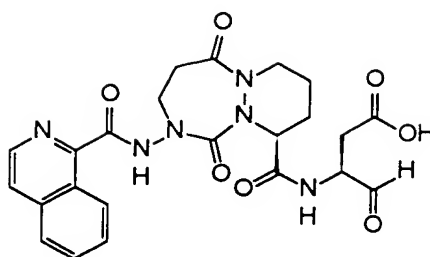


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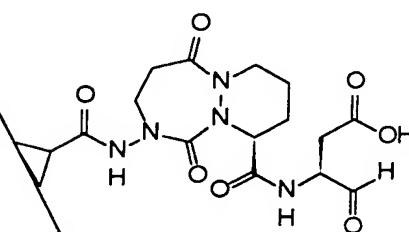
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- 837 -

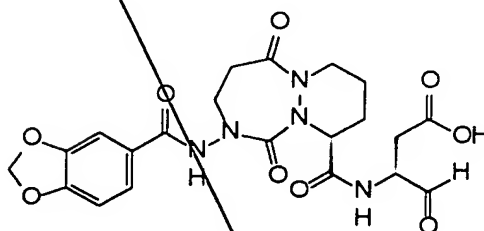
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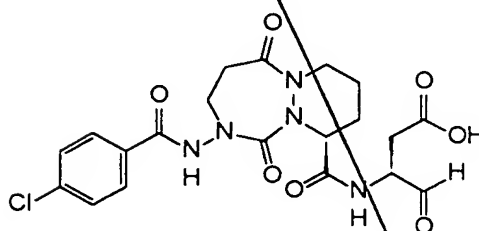
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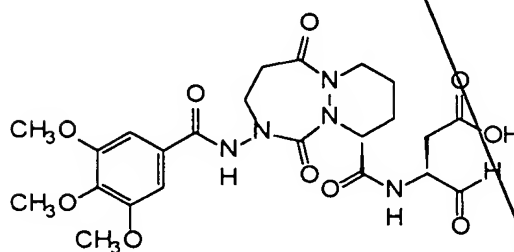
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1016

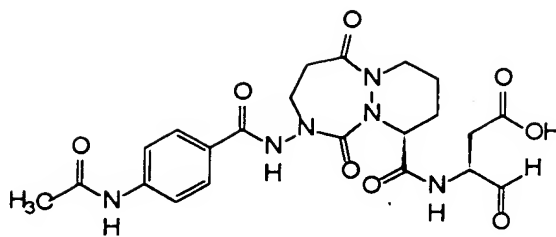


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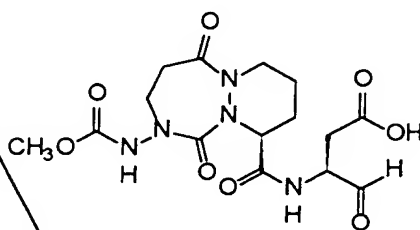
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- 838 -

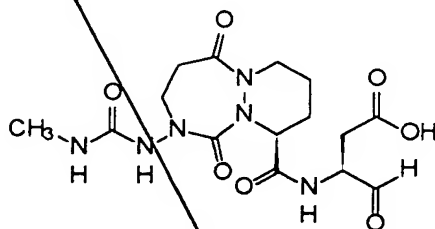
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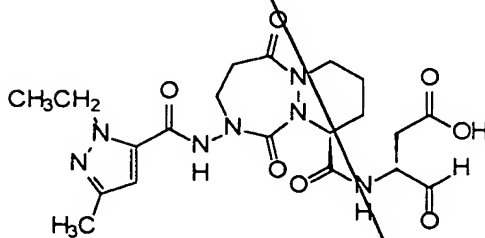
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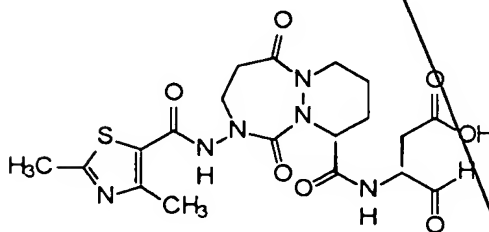
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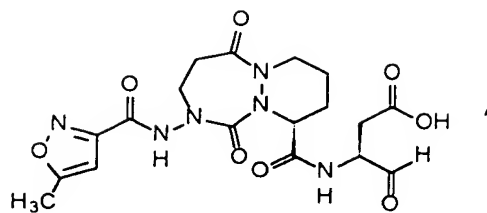


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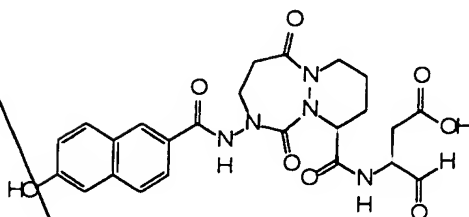
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- 839 -

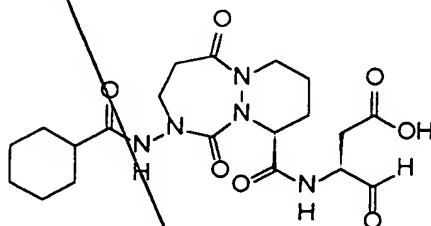
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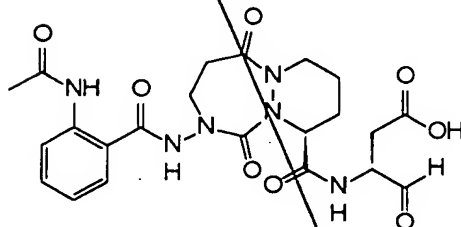


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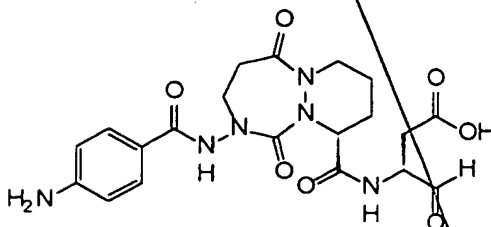


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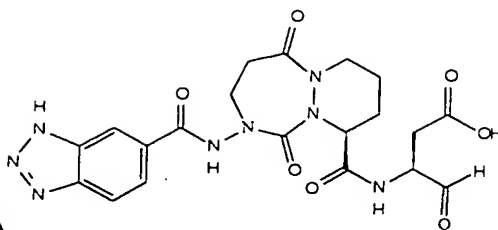


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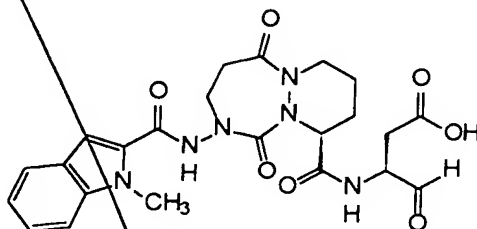


- 840 -

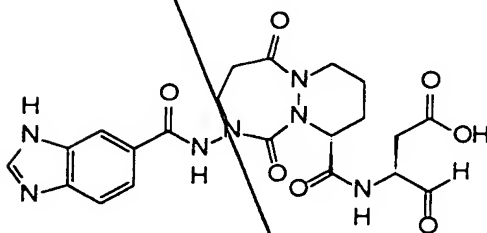
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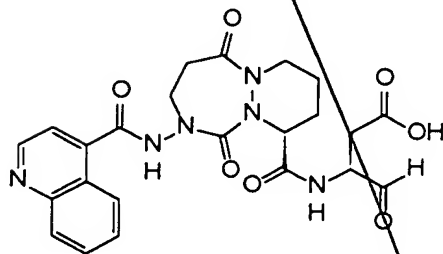
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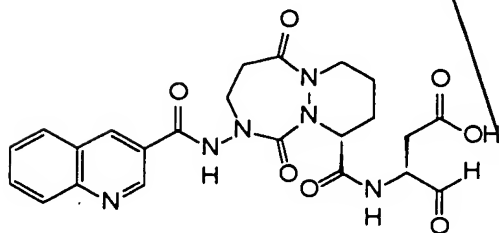


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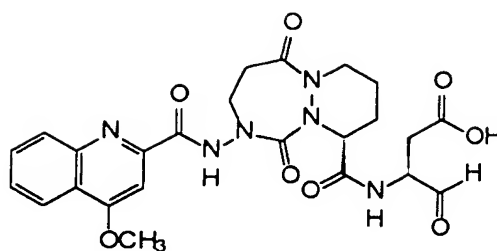
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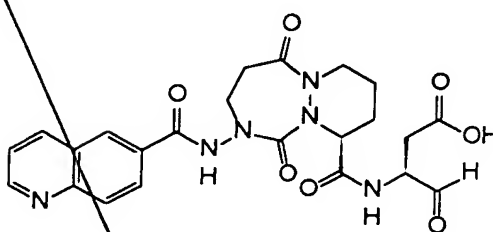
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- 841 -

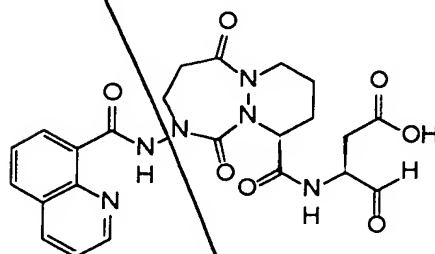
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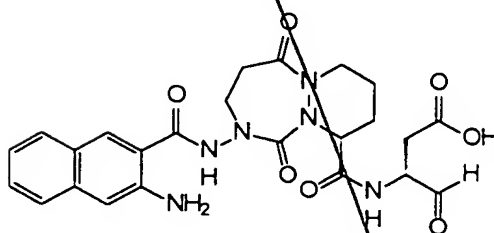
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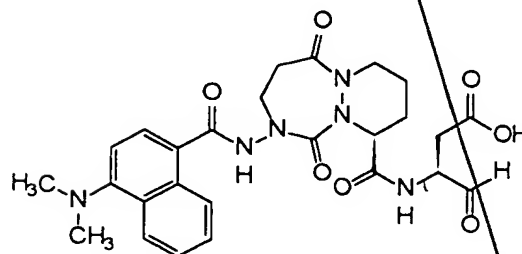


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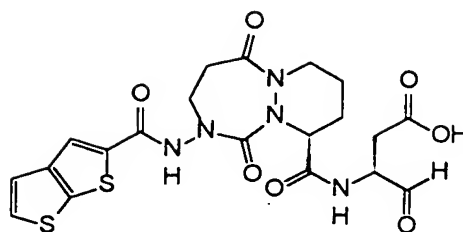
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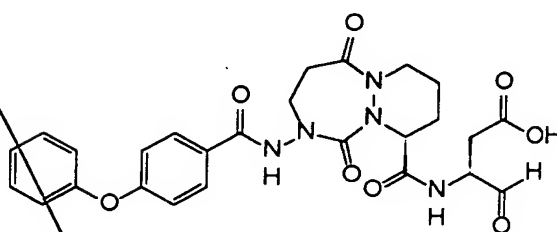


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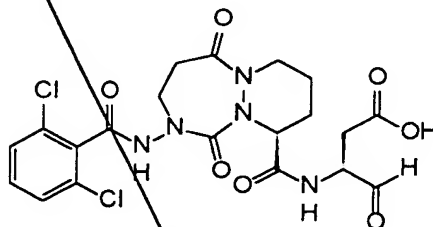
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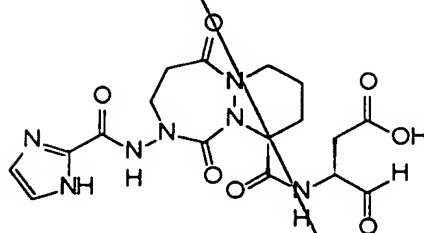
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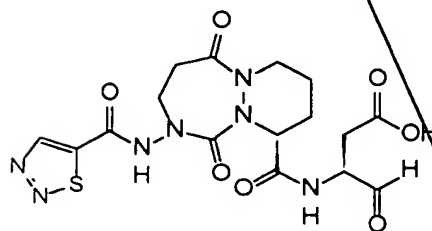
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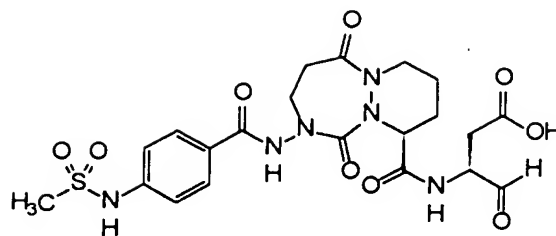


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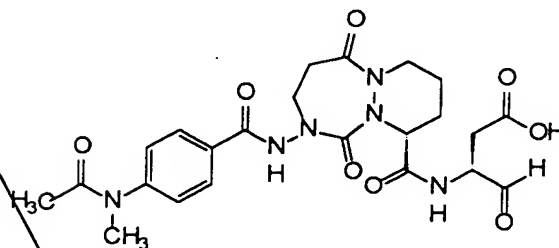
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- 843 -

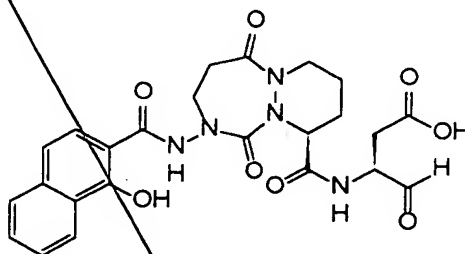
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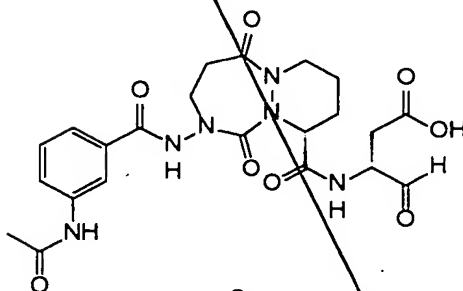
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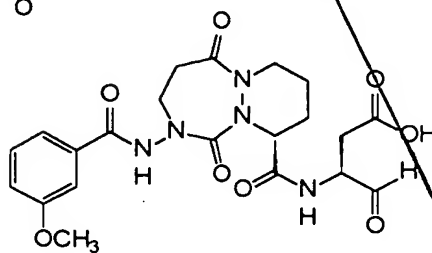
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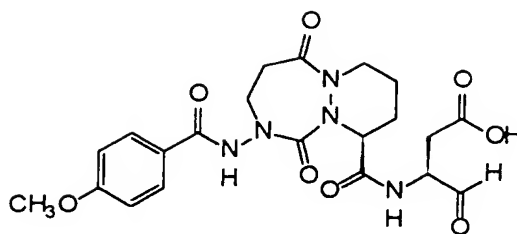


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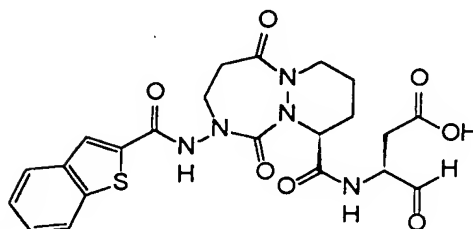
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- 844 -

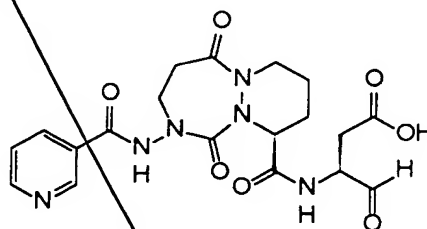
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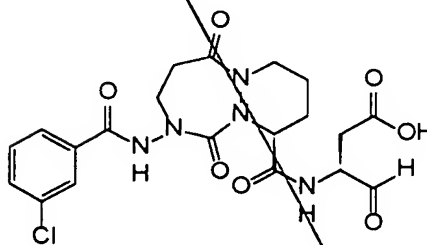
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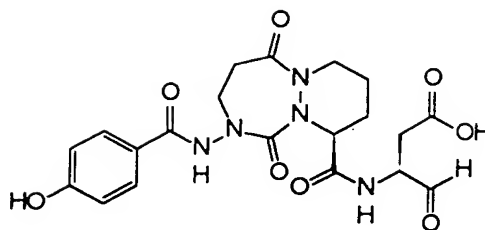


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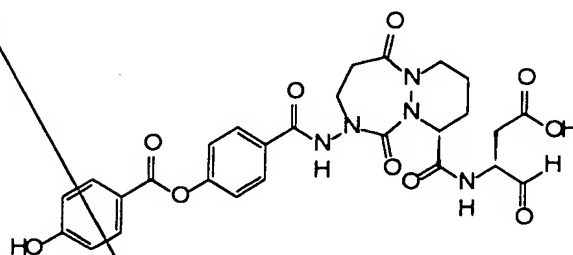
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A22

- 845 -

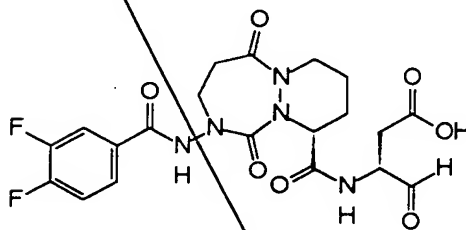
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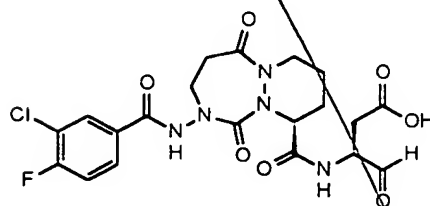
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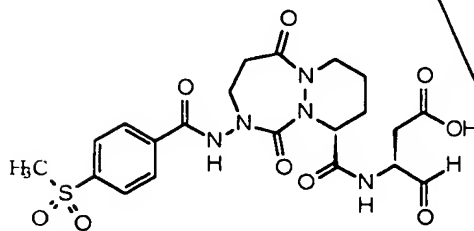
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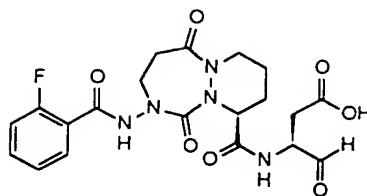


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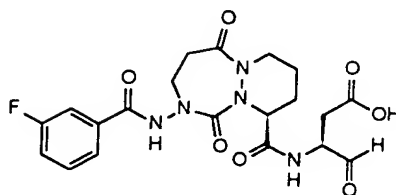


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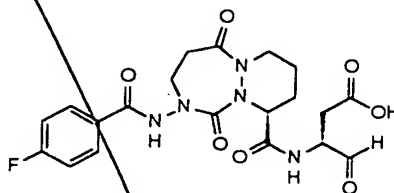
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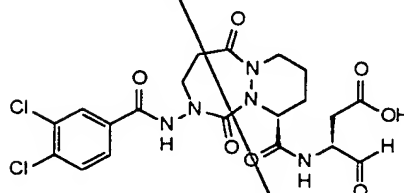
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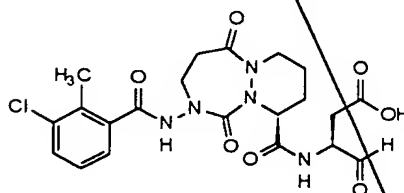


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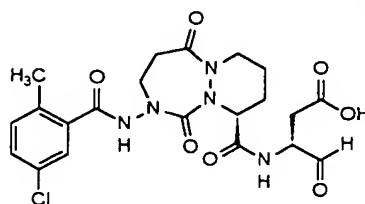


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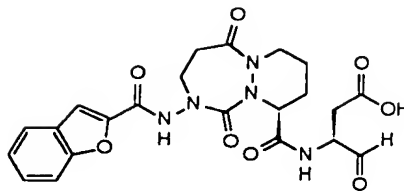


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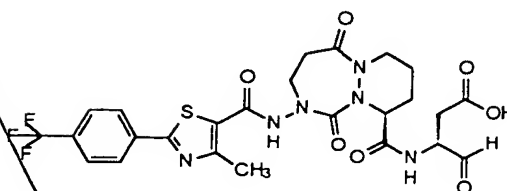


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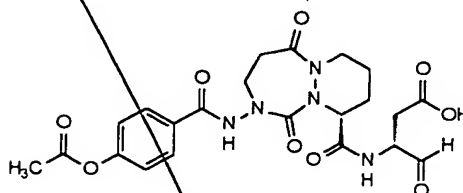
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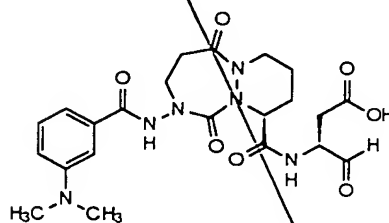
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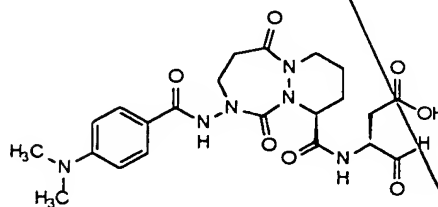
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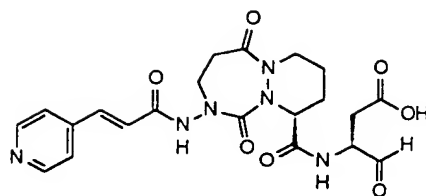


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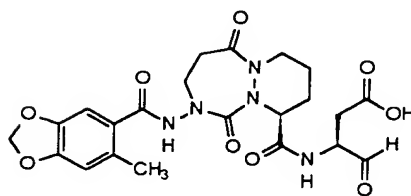
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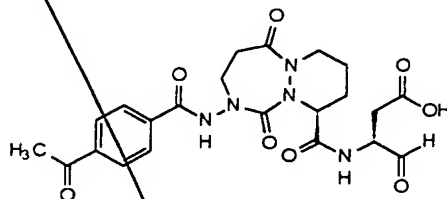
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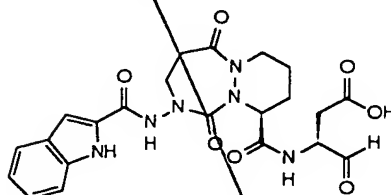
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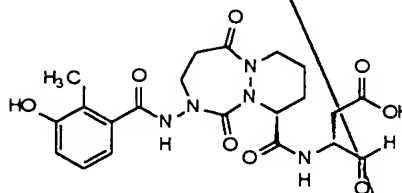
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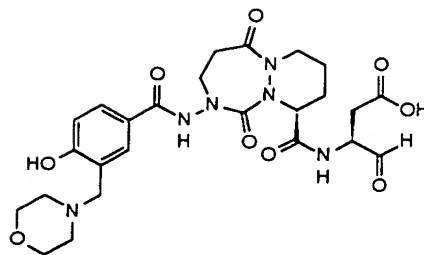


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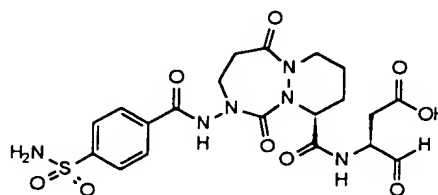
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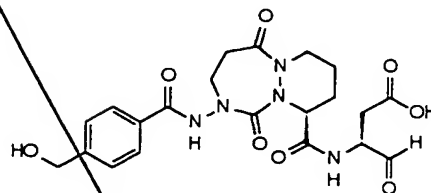
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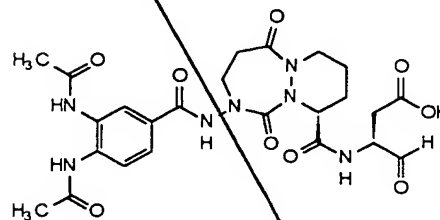
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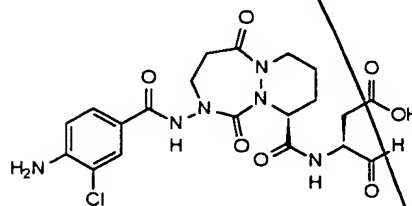


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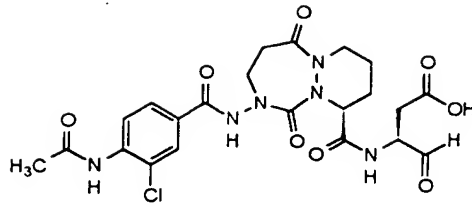
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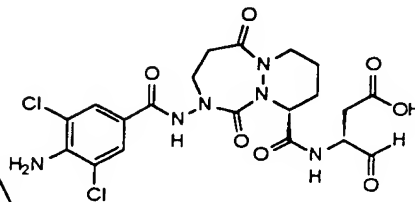


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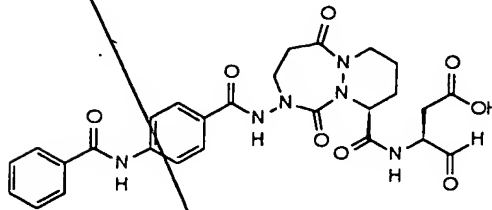
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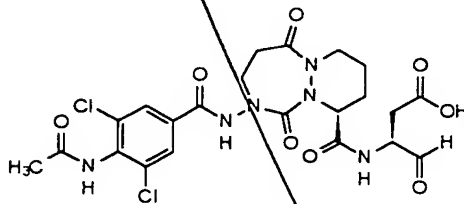
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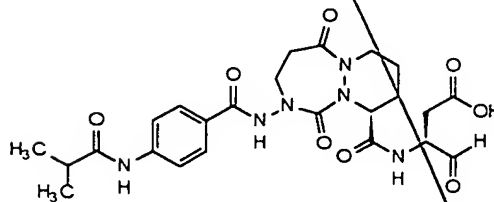


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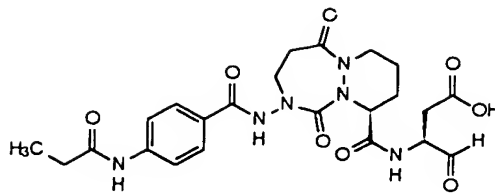
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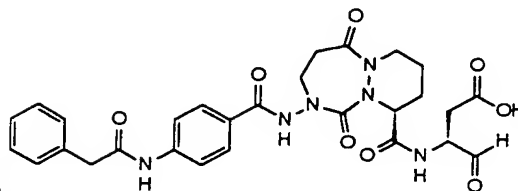


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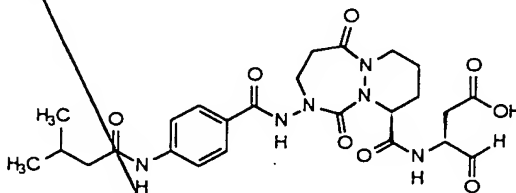
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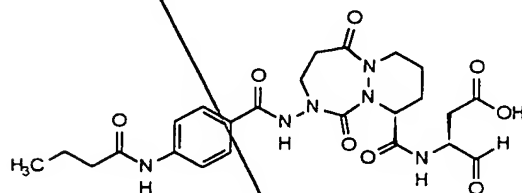
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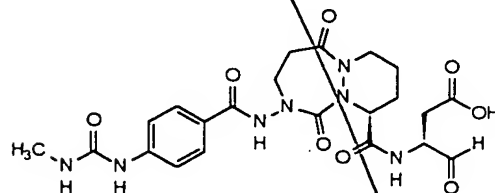
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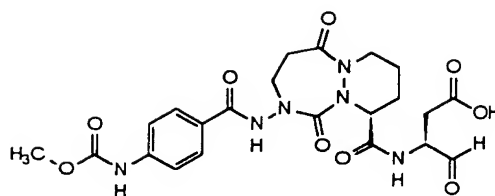


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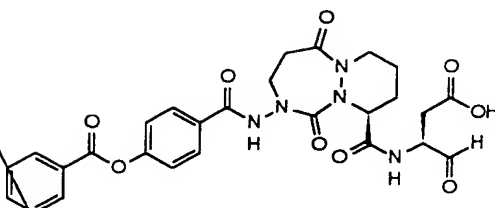
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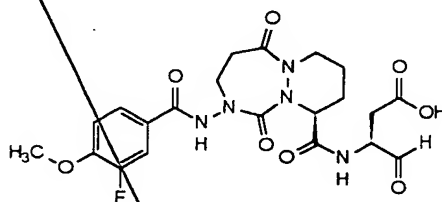
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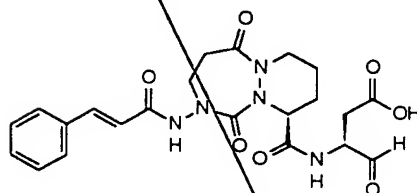
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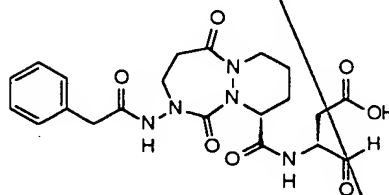
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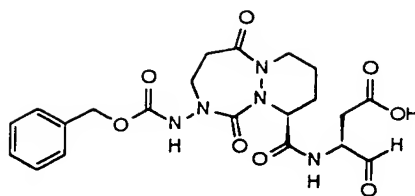


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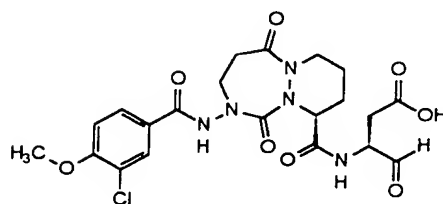
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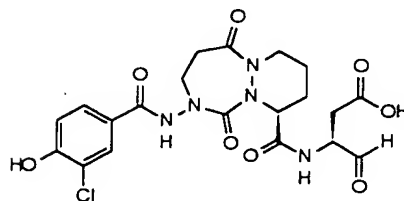
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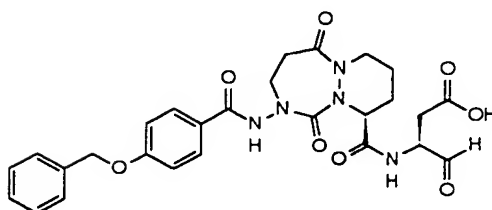
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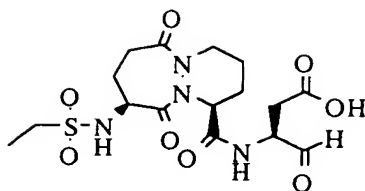


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41. The compound according to claim 33
selected from the group consisting of:

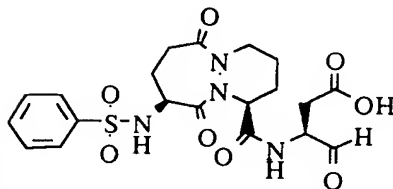
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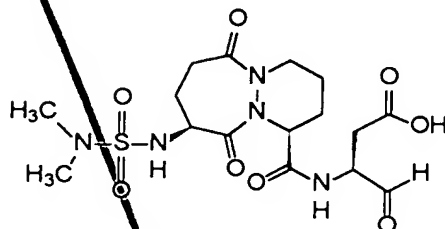
;

427



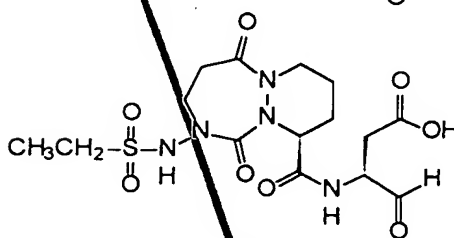
;

428



;

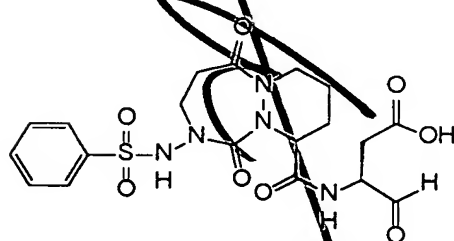
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;

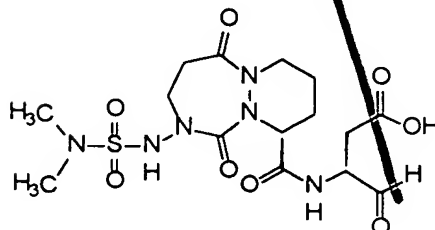
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; and

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42. A pharmaceutical composition comprising

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an ICE inhibitor according to any one of claims 1-41 and 57-135 in an amount effective for treating or preventing an IL-1-mediated disease and a pharmaceutically acceptable carrier.

5 43. A pharmaceutical composition comprising an ICE inhibitor according to any one of claims 1-41 and 57-135 in an amount effective for treating or preventing an apoptosis-mediated disease and a pharmaceutically acceptable carrier.

10 44. The pharmaceutical composition according to claim 42, wherein the IL-1-mediated disease is an inflammatory disease selected from the group consisting of osteoarthritis, acute pancreatitis, chronic pancreatitis, asthma, and adult respiratory distress
15 syndrome.

 45. The pharmaceutical composition according to claim 44, wherein the inflammatory disease is osteoarthritis or acute pancreatitis.

 46. The pharmaceutical composition according
20 to claim 42, wherein the IL-1-mediated disease is an autoimmune disease selected from the group consisting of glomerulonephritis, rheumatoid arthritis, systemic lupus erythematosus, scleroderma, chronic thyroiditis, Grave's disease, autoimmune gastritis, insulin-
25 dependent diabetes mellitus (Type I), autoimmune hemolytic anemia, autoimmune neutropenia, thrombocytopenia, chronic active hepatitis, myasthenia gravis, inflammatory bowel disease, Crohn's disease, psoriasis, and graft vs host disease.

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47. The pharmaceutical composition according to claim 46, wherein the autoimmune disease is rheumatoid arthritis, inflammatory bowel disease, or Crohn's disease, or psoriasis.

5 48. The pharmaceutical composition according to claim 42, wherein the IL-1-mediated disease is a destructive bone disorder selected from the group consisting of osteoporosis or multiple myeloma-related bone disorder.

10 49. The pharmaceutical composition according to claim 42, wherein the IL-1-mediated disease is a proliferative disorder selected from the group consisting of acute myelogenous leukemia, chronic myelogenous leukemia, metastatic melanoma, Kaposi's
15 sarcoma, and multiple myeloma.

50. The pharmaceutical composition according to claim 42, wherein the IL-1-mediated disease is an infectious disease, selected from the group consisting of sepsis, septic shock, and Shigellosis.

20 51. The pharmaceutical composition according to claim 42, wherein the IL-1-mediated disease is a degenerative or necrotic disease, selected from the group consisting of Alzheimer's disease, Parkinson's disease, cerebral ischemia, and myocardial ischemia.

25 52. The pharmaceutical composition according to claim 51, wherein the degenerative disease is Alzheimer's disease.

53. The pharmaceutical composition according

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to claim 43, wherein the apoptosis-mediated disease is a degenerative disease, selected from the group consisting of Alzheimer's disease, Parkinson's disease, cerebral ischemia, myocardial ischemia, spinal muscular atrophy, multiple sclerosis, AIDS-related encephalitis, HIV-related encephalitis, aging, alopecia, and neurological damage due to stroke.

54. A pharmaceutical composition for inhibiting an ICE-mediated function comprising an ICE inhibitor according to any one of claims 1-41 and 57-135 and a pharmaceutically acceptable carrier.

55. A method for treating or preventing a disease selected from the group consisting of an IL-1 mediated disease, an apoptosis mediated disease, an inflammatory disease, an autoimmune disease, a destructive bone disorder, a proliferative disorder, an infectious disease, a degenerative disease, a necrotic disease, osteoarthritis, pancreatitis, asthma, adult respiratory distress syndrome, glomerulonephritis, rheumatoid arthritis, systemic lupus erythematosus, scleroderma, chronic thyroiditis, Grave's disease, autoimmune gastritis, insulin-dependent diabetes mellitus (Type I), autoimmune hemolytic anemia, autoimmune neutropenia, thrombocytopenia, chronic active hepatitis, myasthenia gravis, inflammatory bowel disease, Crohn's disease, psoriasis, graft vs host disease, osteoporosis, multiple myeloma-related bone disorder, acute myelogenous leukemia, chronic myelogenous leukemia, metastatic melanoma, Kaposi's sarcoma, multiple myeloma, sepsis, septic shock, Shigellosis, Alzheimer's disease, Parkinson's disease, cerebral ischemia, myocardial ischemia, spinal muscular

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A24

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A24

atrophy, multiple sclerosis, AIDS-related encephalitis, HIV-related encephalitis, aging, alopecia, and neurological damage due to stroke in a patient comprising the step of administering to said patient a pharmaceutical composition according to any one of claims 42 to 54.

56. The method according to claim 55, wherein the disease is selected from the group consisting of osteoarthritis, acute pancreatitis, rheumatoid arthritis, inflammatory bowel disease, Crohn's disease, psoriasis, and Alzheimer's disease.

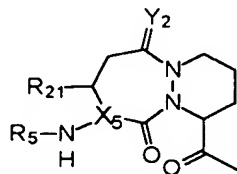
57. A compound represented by the formula:



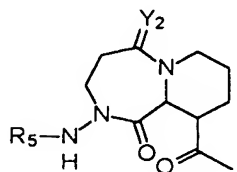
wherein:

R_1 is selected from the group consisting of the following formulae:

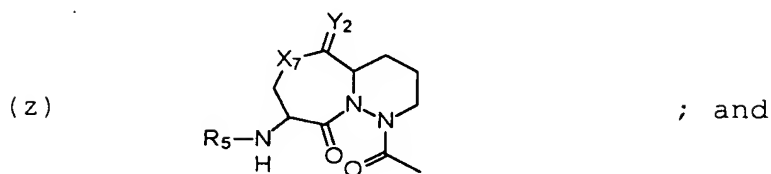
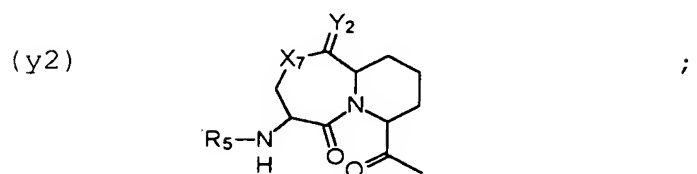
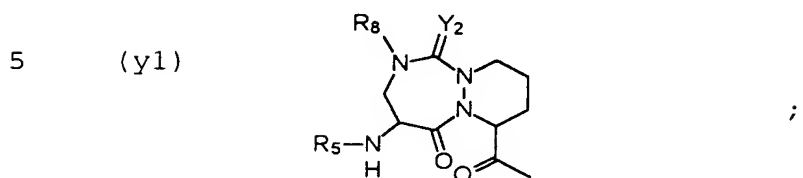
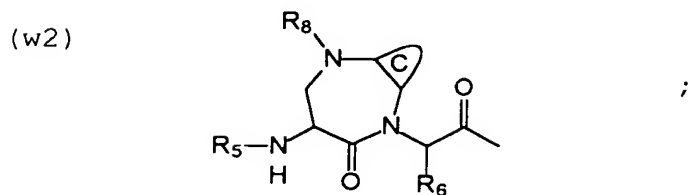
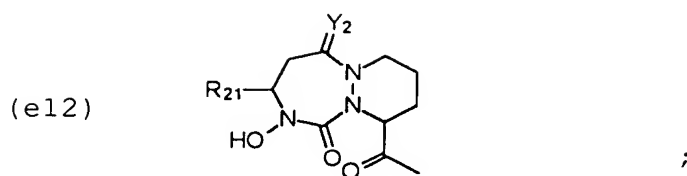
(e10)



(e11)



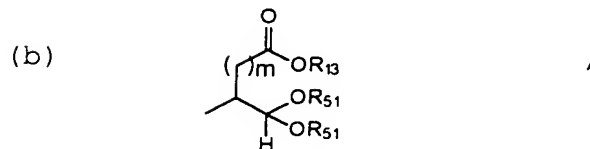
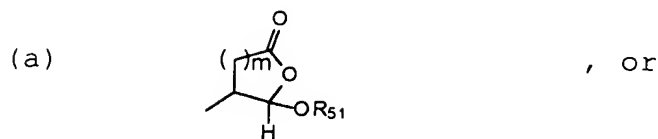
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10 ring C is chosen from the group consisting of benzo, pyrido, thieno, pyrrolo, furano, thiazolo, isothiazolo, oxazolo, isoxazolo, pyrimido, imidazolo, cyclopentyl, and cyclohexyl;

R₂ is:

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m is 1 or 2;

5 each R_5 is independently selected from the group consisting of:

- C(O)- R_{10} ,
 -C(O)O- R_9 ,
 -C(O)-N(R_{10})(R_{10})
 10 -S(O)₂- R_9 ,
 -S(O)₂-NH- R_{10} ,
 -C(O)-CH₂-O- R_9 ,
 -C(O)C(O)- R_{10} ,
 - R_9 ,
 15 -H,
 -C(O)C(O)-OR₁₀, and
 -C(O)C(O)-N(R_9)(R_{10});

X_5 is CH or N;

20

Y_2 is H₂ or O;

X_7 is -N(R_8)- or -O-;

25 R_6 is selected from the group consisting of -H and -CH₃;

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R_8 is selected from the group consisting of:

- C(O)- R_{10} ,
- C(O)O- R_9 ,
- C(O)-N(H)- R_{10} ,
- 5 -S(O)₂- R_9 ,
- S(O)₂-NH- R_{10} ,
- C(O)-CH₂-OR₁₀,
- C(O)C(O)- R_{10} ;
- C(O)-CH₂N(R_{10})(R_{10}),
- 10 -C(O)-CH₂C(O)-O- R_9 ,
- C(O)-CH₂C(O)- R_9 ,
- H, and
- C(O)-C(O)-OR₁₀;

15 each R_9 is independently selected from the group consisting of - Ar_3 and a -C₁₋₆ straight or branched alkyl group optionally substituted with - Ar_3 , wherein the -C₁₋₆ alkyl group is optionally unsaturated;

20 each R_{10} is independently selected from the group consisting of -H, - Ar_3 , a -C₃₋₆ cycloalkyl group, and a -C₁₋₆ straight or branched alkyl group optionally substituted with - Ar_3 , wherein the -C₁₋₆ alkyl group is optionally unsaturated;

25 R_{13} is selected from the group consisting of H, Ar_3 , and a -C₁₋₆ straight or branched alkyl group optionally substituted with - Ar_3 , -CONH₂, -OR₅, -OH, -OR₉, or -CO₂H;

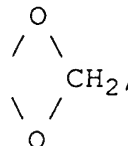
30 each R_{51} is independently selected from the group consisting of R_9 , -C(O)- R_9 , -C(O)-N(H)- R_9 , or each R_{51} taken together forms a saturated 4-8 member carbocyclic ring or heterocyclic ring containing -O-, -S-, or -NH-;

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each R_{21} is independently selected from the group consisting of -H or a $-C_{1-6}$ straight or branched alkyl group;

each Ar_3 is a cyclic group independently selected from the set consisting of an aryl group which contains 6, 10, 12, or 14 carbon atoms and between 1 and 3 rings and an aromatic heterocycle group containing between 5 and 15 ring atoms and between 1 and 3 rings, said heterocyclic group containing at least one heteroatom group selected from -O-, -S-, -SO-, SO_2 , =N-, and -NH-, said heterocycle group optionally containing one or more double bonds, said heterocycle group optionally comprising one or more aromatic rings, and said cyclic group optionally being singly or multiply substituted by $-Q_1$;

each Q_1 is independently selected from the group consisting of $-NH_2$, $-CO_2H$, -Cl, -F, -Br, -I, $-NO_2$, -CN, =O, -OH, -perfluoro C_{1-3} alkyl, R_5 , $-OR_5$, $-NHR_5$, $-OR_9$, $-N(R_9)(R_{10})$, $-R_9$, $-C(O)-R_{10}$, and



provided that when $-Ar_3$ is substituted with a Q_1 group which comprises one or more additional $-Ar_3$ groups, said additional $-Ar_3$ groups are not substituted with another $-Ar_3$.

58. The compound according to claim 57, wherein R_1 is (w2).

59. The compound according to claim 57,

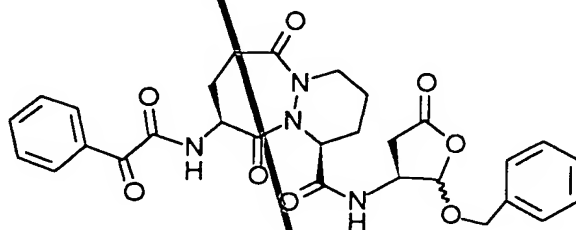
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wherein R_1 is (e10) and X_5 is CH.

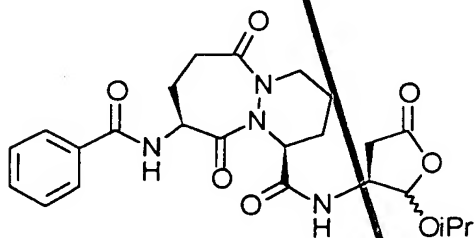
60. The compound according to claim 57,
wherein R_1 is (e10) and X_5 is N.

5 61. The compound according to claim 57,
selected from the group consisting of:

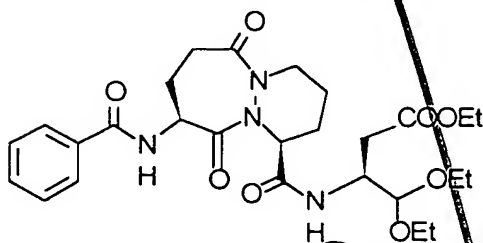
2001



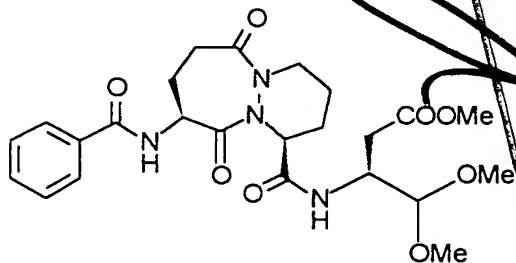
2100a



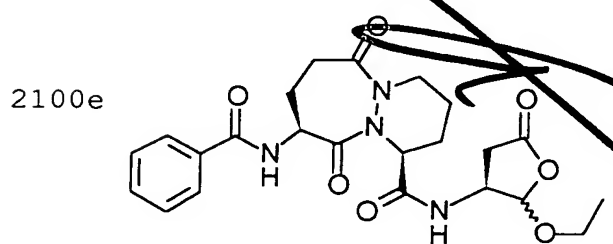
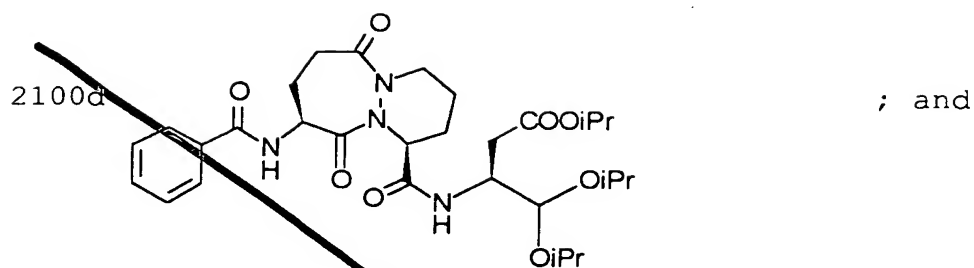
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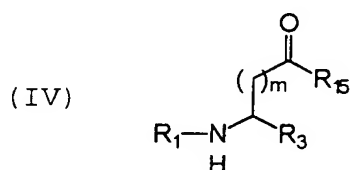
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62. A compound represented by the formula:

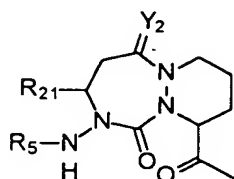


5 wherein:

m is 1 or 2;

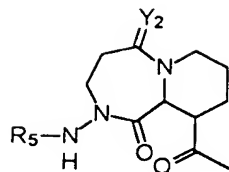
R₁ is selected from the group consisting of the following formulae:

10 (e10-A)



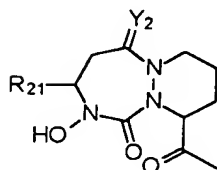
- 865 -

(e11)



;

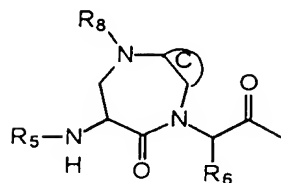
(e12)



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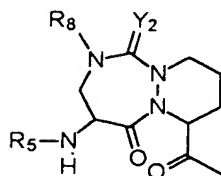
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(w2)



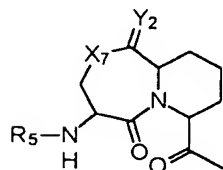
;

(y1)



;

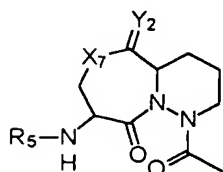
(y2)



; and

10

(z)



;

ring C is chosen from the group consisting of
 15 benzo, pyrido, thieno, pyrrolo, furano, thiazolo,
 isothiazolo, oxazolo, isoxazolo, pyrimido, imidazolo,

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cyclopentyl, and cyclohexyl;

R_3 is selected from the group consisting of:

- CN,
- C(O)-H,
- 5 -C(O)-CH₂-T₁-R₁₁,
- C(O)-CH₂-F,
- C=N-O-R₉, and
- CO-Ar₂;

each R_5 is independently selected from the group
10 consisting of:

- C(O)-R₁₀,
- C(O)O-R₉,
- C(O)-N(R₁₀)(R₁₀)
- S(O)₂-R₉,
- 15 -S(O)₂-NH-R₁₀,
- C(O)-CH₂-O-R₉,
- C(O)C(O)-R₁₀,
- R₉,
- H,
- 20 -C(O)C(O)-OR₁₀, and
- C(O)C(O)-N(R₉)(R₁₀);

Y_2 is H₂ or O;

X_7 is -N(R₈)- or -O-;

25 each T₁ is independently selected from the group
consisting of -O-, -S-, -S(O)-, and -S(O)₂-;

R_6 is selected from the group consisting of -H and
-CH₃;

30 R_8 is selected from the group consisting of:

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5 -C(O)-R₁₀,
 -C(O)O-R₉,
 -C(O)-NH-R₁₀,
 -S(O)₂-R₉,
 -S(O)₂-NH-R₁₀,
 -C(O)-CH₂-OR₁₀,
 -C(O)C(O)-R₁₀,
 -C(O)-CH₂-N(R₁₀)(R₁₀),
 -C(O)-CH₂C(O)-O-R₉,
 10 -C(O)-CH₂C(O)-R₉,
 -H, and
 -C(O)-C(O)-OR₁₀;

15 each R₉ is independently selected from the group
 consisting of -Ar₃ and a -C₁₋₆ straight or branched
 alkyl group optionally substituted with -Ar₃, wherein
 the -C₁₋₆ alkyl group is optionally unsaturated;

20 each R₁₀ is independently selected from the group
 consisting of -H, -Ar₃, a -C₃₋₆ cycloalkyl group, and a
 -C₁₋₆ straight or branched alkyl group optionally
 substituted with -Ar₃, wherein the -C₁₋₆ alkyl group is
 optionally unsaturated;

 each R₁₁ is independently selected from the group
 consisting of:
 25 -Ar₄,
 -(CH₂)₁₋₃-Ar₄,
 -H, and
 -C(O)-Ar₄;

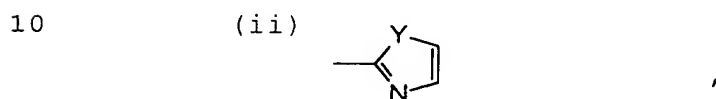
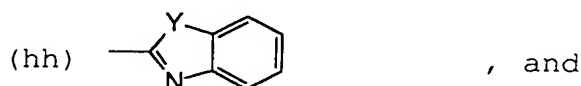
30 R₁₅ is selected from the group consisting of -OH,
 -OAr₃, -N(H)-OH, and -OC₁₋₆, wherein C₁₋₆ is a straight
 or branched alkyl group optionally substituted with

- 868 -

-Ar₃, -CONH₂, -OR₅, -OH, -OR₉, or -CO₂H;

each R₂₁ is independently selected from the group consisting of -H or a -C₁₋₆ straight or branched alkyl group;

5 Ar₂ is independently selected from the following group, in which any ring may optionally be singly or multiply substituted by -Q₁ or phenyl, optionally substituted by Q₁:



wherein each Y is independently selected from the group consisting of O and S;

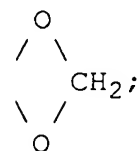
each Ar₃ is a cyclic group independently selected
 15 from the set consisting of an aryl group which contains 6, 10, 12, or 14 carbon atoms and between 1 and 3 rings and an aromatic heterocycle group containing between 5 and 15 ring atoms and between 1 and 3 rings, said heterocyclic group containing at least one heteroatom
 20 group selected from -O-, -S-, -SO-, SO₂, =N-, and -NH-, -N(R₅)-, and -N(R₉)- said heterocycle group optionally containing one or more double bonds, said heterocycle group optionally comprising one or more aromatic rings, and said cyclic group optionally being singly or
 25 multiply substituted by -Q₁;

each Ar₄ is a cyclic group independently selected

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from the set consisting of an aryl group which contains 6, 10, 12, or 14 carbon atoms and between 1 and 3 rings, and a heterocycle group containing between 5 and 15 ring atoms and between 1 and 3 rings, said heterocyclic group containing at least one heteroatom group selected from -O-, -S-, -SO-, SO₂, =N-, -NH-, -N(R₅)-, and -N(R₉)- said heterocycle group optionally containing one or more double bonds, said heterocycle group optionally comprising one or more aromatic rings, and said cyclic group optionally being singly or multiply substituted by -Q₁;

each Q₁ is independently selected from the group consisting of -NH₂, -CO₂H, -Cl, -F, -Br, -I, -NO₂, -CN, =O, -OH, -perfluoro C₁₋₃ alkyl, R₅, -OR₅, -NHR₅, -OR₉, -N(R₉)(R₁₀), -R₉, -C(O)-R₁₀, and



provided that when -Ar₃ is substituted with a Q₁ group which comprises one or more additional -Ar₃ groups, said additional -Ar₃ groups are not substituted with another -Ar₃.

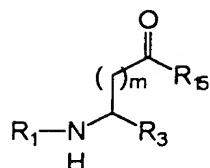
63. The compound according to claim 62, wherein R₁ is (w2).

64. The compound according to claim 62, wherein R₁ is (e10-A).

65. A compound represented by the formula:

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(V)

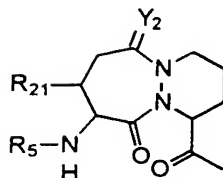


wherein:

m is 1 or 2;

R₁ is:

(e10-B)

R₃ is selected from the group consisting of:

-CN,
 -C(O)-H,
 -C(O)-CH₂-T₁-R₁₁,
 -C(O)-CH₂-F,
 -C=N-O-R₉, and
 -CO-Ar₂;

each R₅ is independently selected from the group
 consisting of:

-C(O)-R₁₀,
 -C(O)O-R₉,
 -C(O)-N(R₁₀)(R₁₀)
 -S(O)₂-R₉,
 -S(O)₂-NH-R₁₀,
 -C(O)-CH₂-O-R₉,
 -C(O)C(O)-R₁₀,
 -R₉,
 -H,

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-C(O)C(O)-OR₁₀, and
-C(O)C(O)-N(R₉)(R₁₀);

Y₂ is H₂ or O;

5 each T₁ is independently selected from the group consisting of -O-, -S-, -S(O)-, and -S(O)₂-;

each R₉ is independently selected from the group consisting of -Ar₃ and a -C₁₋₆ straight or branched
10 alkyl group optionally substituted with -Ar₃, wherein the -C₁₋₆ alkyl group is optionally unsaturated;

each R₁₀ is independently selected from the group consisting of -H, -Ar₃, a -C₃₋₆ cycloalkyl group, and a -C₁₋₆ straight or branched alkyl group optionally
15 substituted with -Ar₃, wherein the -C₁₋₆ alkyl group is optionally unsaturated;

each R₁₁ is independently selected from the group consisting of:

20 -Ar₄,
-(CH₂)₁₋₃-Ar₄,
-H, and
-C(O)-Ar₄;

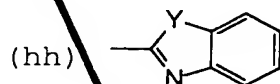
R₁₅ is selected from the group consisting of -OH, -OAr₃, -N(H)-OH, and -OC₁₋₆, wherein C₁₋₆ is a straight
25 or branched alkyl group optionally substituted with -Ar₃, -CONH₂, -OR₅, -OH, -OR₉, or -CO₂H;

R₂₁ is -CH₃;

Ar₂ is independently selected from the following

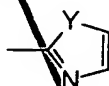
- 872 -

group, in which any ring may optionally be singly or multiply substituted by $-Q_1$ or phenyl, optionally substituted by Q_1 :



, and

5 (ii)



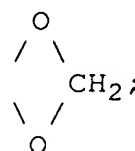
wherein each Y is independently selected from the group consisting of O and S;

each Ar_3 is a cyclic group independently selected from the set consisting of an aryl group which contains 6, 10, 12, or 14 carbon atoms and between 1 and 3 rings and an aromatic heterocycle group containing between 5 and 15 ring atoms and between 1 and 3 rings, said heterocyclic group containing at least one heteroatom group selected from $-O-$, $-S-$, $-SO-$, SO_2 , $=N-$, and $-NH-$, $-N(R_5)-$, and $-N(R_9)-$ said heterocycle group optionally containing one or more double bonds, said heterocycle group optionally comprising one or more aromatic rings, and said cyclic group optionally being singly or multiply substituted by $-Q_1$;

each Ar_4 is a cyclic group independently selected from the set consisting of an aryl group which contains 6, 10, 12, or 14 carbon atoms and between 1 and 3 rings, and a heterocycle group containing between 5 and 15 ring atoms and between 1 and 3 rings, said heterocyclic group containing at least one heteroatom group selected from $-O-$, $-S-$, $-SO-$, SO_2 , $=N-$, $-NH-$, $-N(R_5)-$, and $-N(R_9)-$ said heterocycle group optionally

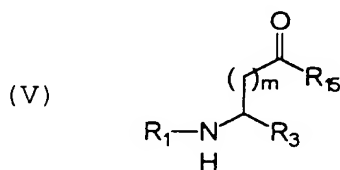
containing one or more double bonds, said heterocycle group optionally comprising one or more aromatic rings, and said cyclic group optionally being singly or multiply substituted by -Q₁;

5 each Q_1 is independently selected from the group
consisting of $-NH_2$, $-CO_2H$, $-Cl$, $-F$, $-Br$, $-I$, $-NO_2$, $-CN$,
 $=O$, $-OH$, $-perfluoro\ C_{1-3}\ alkyl$, R_5 , $-OR_5$, $-NHR_5$, $-OR_9$,
 $-N(R_9)(R_{10})$, $-R_9$, $-C(O)-R_{10}$, and O



provided that when $-Ar_3$ is substituted with a Q_1 group which comprises one or more additional $-Ar_3$ groups, said additional $-Ar_3$ groups are not substituted with another $-Ar_3$.

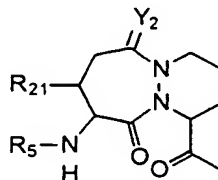
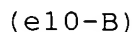
66. A compound represented by the formula:



wherein:

```
20      m is 1 or 2;
```

R_1 is:



25 R₃ is selected from the group consisting of:
-CN,

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5 -C(O)-H,
 -C(O)-CH₂-T₁-R₁₁,
 -C(O)-CH₂-F,
 -C=N-O-R₉, and
 -CO-Ar₂;

each R₅ is -C(O)C(O)-OR₁₀;

Y₂ is H₂ or O;

10 each T₁ is independently selected from the group
consisting of -O-, -S-, -S(O)-, and -S(O)₂-;

each R₉ is independently selected from the group
consisting of -Ar₃ and a -C₁₋₆ straight or branched
alkyl group optionally substituted with -Ar₃, wherein
the -C₁₋₆ alkyl group is optionally unsaturated;

15 each R₁₀ is independently selected from the group
consisting of -H, -Ar₃, a -C₃₋₆ cycloalkyl group, and a
-C₁₋₆ straight or branched alkyl group optionally
substituted with -Ar₃, wherein the -C₁₋₆ alkyl group is
optionally unsaturated;

20 each R₁₁ is independently selected from the group
consisting of:

 -Ar₄,
 -(CH₂)₁₋₃-Ar₄,
 -H, and
25 -C(O)-Ar₄;

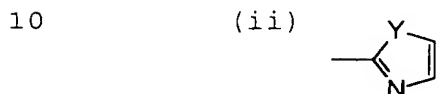
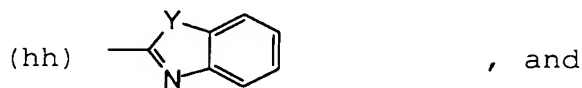
R₁₅ is selected from the group consisting of -OH,
-OAr₃, -N(H)-OH, and -OC₁₋₆, wherein C₁₋₆ is a straight
or branched alkyl group optionally substituted with

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-Ar₃, -CONH₂, -OR₅, -OH, -OR₉, or -CO₂H;

each R₂₁ is independently selected from the group consisting of -H or a -C₁₋₆ straight or branched alkyl group;

5 Ar₂ is independently selected from the following group, in which any ring may optionally be singly or multiply substituted by -Q₁ or phenyl, optionally substituted by Q₁:



wherein each Y is independently selected from the group consisting of O and S;

each Ar₃ is a cyclic group independently selected
 15 from the set consisting of an aryl group which contains 6, 10, 12, or 14 carbon atoms and between 1 and 3 rings and an aromatic heterocycle group containing between 5 and 15 ring atoms and between 1 and 3 rings, said heterocyclic group containing at least one heteroatom
 20 group selected from -O-, -S-, -SO-, SO₂, =N-, and -NH-, -N(R₅)-, and -N(R₉)- said heterocycle group optionally containing one or more double bonds, said heterocycle group optionally comprising one or more aromatic rings, and said cyclic group optionally being singly or
 25 multiply substituted by -Q₁;

each Ar₄ is a cyclic group independently selected

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from the set consisting of an aryl group which contains 6, 10, 12, or 14 carbon atoms and between 1 and 3 rings, and a heterocycle group containing between 5 and 15 ring atoms and between 1 and 3 rings, said
 5 heterocyclic group containing at least one heteroatom group selected from -O-, -S-, -SO-, SO₂, =N-, -NH-, -N(R₅)-, and -N(R₉)- said heterocycle group optionally containing one or more double bonds, said heterocycle group optionally comprising one or more aromatic rings,
 10 and said cyclic group optionally being singly or multiply substituted by -Q₁;

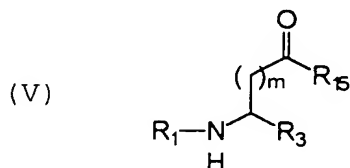
each Q₁ is independently selected from the group consisting of -NH₂, -CO₂H, -Cl, -F, -Br, -I, -NO₂, -CN, =O, -OH, -perfluoro C₁₋₃ alkyl, R₅, -OR₅, -NHR₅, -OR₉,
 15 -N(R₉)(R₁₀), -R₉, -C(O)-R₁₀, and

$$\begin{array}{c} \text{O} \\ / \quad \backslash \\ \quad \text{CH}_2 \\ \backslash \quad / \\ \text{O} \end{array}$$

20 provided that when -Ar₃ is substituted with a Q₁ group which comprises one or more additional -Ar₃ groups, said additional -Ar₃ groups are not substituted with another -Ar₃.

25 67. The compound according to claim 66, wherein R₂₁ is -CH₃.

68. A compound represented by the formula:



wherein:

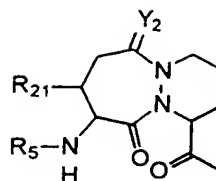
- 877 -

m is 1 or 2;

 R_1 is:

5

(e10-B)



;

 R_3 is selected from the group consisting of:

10

-CN,
 -C(O)-H,
 -C(O)-CH₂-T₁-R₁₁,
 -C(O)-CH₂-F,
 -C=N-O-R₉, and
 -CO-Ar₂;

each R_5 is independently selected from the group
 consisting of:

15

20

25

-C(O)-R₁₀,
 -C(O)O-R₉,
 -C(O)-N(R₁₀)(R₁₀)
 -S(O)₂-R₉,
 -S(O)₂-NH-R₁₀,
 -C(O)-CH₂-O-R₉,
 -C(O)C(O)-R₁₀,
 -R₉,
 -H,
 -C(O)C(O)-OR₁₀, and
 -C(O)C(O)-N(R₉)(R₁₀);

 Y_2 is H₂ or O;

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each T_1 is independently selected from the group consisting of $-O-$, $-S-$, $-S(O)-$, and $-S(O)_2-$;

5 each R_9 is independently selected from the group consisting of $-Ar_3$ and a $-C_{1-6}$ straight or branched alkyl group optionally substituted with $-Ar_3$, wherein the $-C_{1-6}$ alkyl group is optionally unsaturated;

10 each R_{10} is independently selected from the group consisting of $-H$, $-Ar_3$, a $-C_{3-6}$ cycloalkyl group, and a $-C_{1-6}$ straight or branched alkyl group optionally substituted with $-Ar_3$, wherein the $-C_{1-6}$ alkyl group is optionally unsaturated;

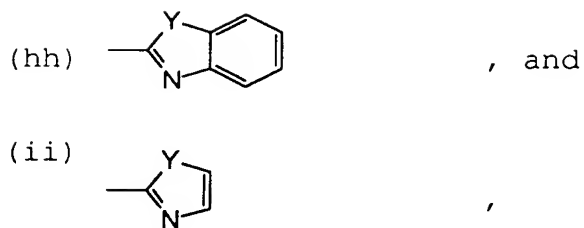
15 each R_{11} is independently selected from the group consisting of:
 $-Ar_4$,
 $-(CH_2)_{1-3}-Ar_4$,
 $-H$, and
 $-C(O)-Ar_4$;

20 R_{15} is selected from the group consisting of $-OH$, $-OAr_3$, $-N(H)-OH$, and $-OC_{1-6}$, wherein C_{1-6} is a straight or branched alkyl group optionally substituted with $-Ar_3$, $-CONH_2$, $-OR_5$, $-OH$, $-OR_9$, or $-CO_2H$;

25 each R_{21} is independently selected from the group consisting of $-H$ or a $-C_{1-6}$ straight or branched alkyl group;

Ar_2 is independently selected from the following group, in which any ring may optionally be singly or multiply substituted by $-Q_1$ or phenyl, optionally substituted by Q_1 :

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wherein each Y is independently selected from the
 5 group consisting of O and S;

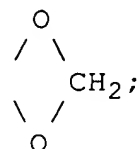
each Ar₃ is a cyclic group independently selected
 from the set consisting of an aryl group which contains
 6, 10, 12, or 14 carbon atoms and between 1 and 3 rings
 and an aromatic heterocycle group containing between 5
 10 and 15 ring atoms and between 1 and 3 rings, said
 heterocyclic group containing at least one heteroatom
 group selected from -O-, -S-, -SO-, SO₂, =N-, and -NH-,
 -N(R₅)-, and -N(R₉)- said heterocycle group optionally
 containing one or more double bonds, said heterocycle
 15 group optionally comprising one or more aromatic rings,
 and said cyclic group optionally being singly or
 multiply substituted by -Q₁;

each Ar₄ is a cyclic group independently selected
 from the set consisting of an aryl group which contains
 20 6, 10, 12, or 14 carbon atoms and between 1 and 3
 rings, and a heterocycle group containing between 5 and
 15 ring atoms and between 1 and 3 rings, said
 heterocyclic group containing at least one heteroatom
 group selected from -O-, -S-, -SO-, SO₂, =N-, -NH-,
 25 -N(R₅)-, and -N(R₉)- said heterocycle group optionally
 containing one or more double bonds, said heterocycle
 group optionally comprising one or more aromatic rings,
 and said cyclic group optionally being singly or
 multiply substituted by -Q₁;

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each Q_1 is independently selected from the group consisting of $-NH_2$, $-CO_2H$, $-Cl$, $-F$, $-Br$, $-I$, $-NO_2$, $-CN$, $=O$, $-OH$, $-perfluoro\ C_{1-3}\ alkyl$, R_5 , $-OR_5$, $-NHR_5$, $-OR_9$, $-N(R_9)(R_{10})$, $-R_9$, $-C(O)-R_{10}$, and

5



provided that when $-Ar_3$ is substituted with a Q_1 group which comprises one or more additional $-Ar_3$ groups, said additional $-Ar_3$ groups are not substituted with another $-Ar_3$;

10

provided that when:

m is 1;

15

R_{15} is $-OH$;

R_{21} is $-H$; and

Y_2 is O and R_3 is $-C(O)-H$, then R_5 cannot be:

$-C(O)-R_{10}$, wherein R_{10} is $-Ar_3$ and the Ar_3 cyclic group is phenyl, unsubstituted by $-Q_1$, 4-(carboxymethoxy)phenyl, 2-fluorophenyl, 2-pyridyl, N-(4-methylpiperazino)methylphenyl, or

20

$-C(O)-OR_9$, wherein R_9 is $-CH_2-Ar_3$, and the Ar_3 cyclic group is phenyl, unsubstituted by $-Q_1$; and when

Y_2 is O , R_3 is $-C(O)-CH_2-T_1-R_{11}$, T_1 is O , and R_{11} is Ar_4 , wherein the Ar_4 cyclic group is 5-(1-(4-chlorophenyl)-3-trifluoromethyl)pyrazolyl), then R_5 cannot be:

25

$-H$;

$-C(O)-R_{10}$, wherein R_{10} is $-Ar_3$ and the Ar_3 cyclic group is 4-(dimethylaminomethyl)phenyl, phenyl, 4-(carboxymethylthio)phenyl, 4-(carboxyethylthio)phenyl,

30

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4-(carboxyethyl)phenyl, 4-(carboxypropyl)phenyl, 2-fluorophenyl, 2-pyridyl, N-(4-methylpiperazino)methylphenyl, or

5 -C(O)-OR₉, wherein R₉ is isobutyl or -CH₂-Ar₃ and the Ar₃ cyclic group is phenyl;

and when R₁₁ is Ar₄, wherein the Ar₄ cyclic group is 5-(1-phenyl-3-trifluoromethyl)pyrazolyl or 5-(1-(4-chloro-2-pyridinyl)-3-trifluoromethyl)pyrazolyl, then R₅ cannot be:

10 -C(O)-OR₉, wherein R₉ is -CH₂-Ar₃, and the Ar₃ cyclic group is phenyl;

and when R₁₁ is Ar₄, wherein the Ar₄ cyclic group is 5-(1-(2-pyridyl)-3-trifluoromethyl)pyrazolyl), then R₅ cannot be:

15 -C(O)-R₁₀, wherein R₁₀ is -Ar₃ and the Ar₃ cyclic group is 4-(dimethylaminomethyl)phenyl, or

-C(O)-OR₉, wherein R₉ is -CH₂-Ar₃, and the Ar₃ cyclic group is phenyl, unsubstituted by -Q₁; and when

20 Y₂ is O, R₃ is -C(O)-CH₂-T₁-R₁₁, T₁ is O, and R₁₁ is -C(O)-Ar₄, wherein the Ar₄ cyclic group is 2,5-dichlorophenyl, then R₅ cannot be:

25 -C(O)-R₁₀, wherein R₁₀ is -Ar₃ and the Ar₃ cyclic group is 4-(dimethylaminomethyl)phenyl, 4-(N-morpholinomethyl)phenyl, 4-(N-methylpiperazino)methyl)phenyl, 4-(N-(2-methyl)imidazolylmethyl)phenyl, 5-benzimidazolyl, 5-benztriazolyl, N-carboethoxy-5-benztriazolyl, N-carboethoxy-5-benzimidazolyl, or

30 -C(O)-OR₉, wherein R₉ is -CH₂-Ar₃, and the Ar₃ cyclic group is phenyl, unsubstituted by -Q₁; and when

Y₂ is H₂, R₃ is -C(O)-CH₂-T₁-R₁₁, T₁ is O, and R₁₁

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is $-C(O)-Ar_4$, wherein the Ar_4 cyclic group is 2,5-dichlorophenyl, then R_5 cannot be:

$-C(O)-OR_9$, wherein R_9 is $-CH_2-Ar_3$ and the Ar_3 cyclic group is phenyl.

5 69. The compound according to claim 68,
wherein R_{21} is $-CH_3$.

70. The compound according to claim 68,
wherein R_5 is $-C(O)-C(O)-OR_{10}$.

10 71. The compound according to claim 68,
wherein R_5 is $-C(O)-C(O)-OR_{10}$ and R_{21} is $-CH_3$.

72. The compound according to any one of
claims 66, 67, 70 and 71, wherein R_3 is $-C(O)-H$.

73. The compound according to any one of
claims 65, 68 and 69, wherein R_3 is $-C(O)-H$.

15 74. The compound according to claim 68,
wherein:

R_3 is $-C(O)-H$, and

R_5 is $-C(O)-R_{10}$, wherein:

20 R_{10} is Ar_3 , wherein the Ar_3 cyclic group is phenyl
optionally being singly or multiply substituted by:

$-F$,

$-Cl$,

25 $-N(H)-R_5$, wherein $-R_5$ is $-H$ or $-C(O)-R_{10}$, wherein
 R_{10} is a $-C_{1-6}$ straight or branched alkyl group
optionally substituted with $-Ar_3$, wherein Ar_3 is

- 883 -

phenyl,

-N(R₉)(R₁₀), wherein R₉ and R₁₀ are independently a
-C₁₋₄ straight or branched alkyl group, or

5 -O-R₅, wherein R₅ is H or a -C₁₋₄ straight or
branched alkyl group.

75. The compound according to claim 74,
wherein Ar₃ is phenyl being optionally singly or
multiply substituted at the 3- or 5-position by -Cl or
at the 4-position by -NH-R₅, -N(R₉)(R₁₀), or -O-R₅.

10 76. The compound according to claim 68,
wherein:

R₃ is -C(O)-H;

15 R₅ is -C(O)-R₁₀, wherein R₁₀ is Ar₃ and the Ar₃
cyclic group is selected from the group consisting of
is indolyl, benzimidazolyl, thienyl, and
benzo[b]thiophenyl, and said cyclic group optionally
being singly or multiply substituted by -Q₁.

77. The compound according to claim 68,
wherein:

20 R₃ is -C(O)-H; and

R₅ is -C(O)-R₁₀, wherein R₁₀ is Ar₃ and the Ar₃
cyclic group is selected from quinolyl and isoquinolyl,
and said cyclic group optionally being singly or
multiply substituted by -Q₁.

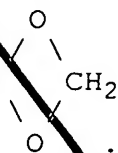
25 78. The compound according to claim 68,
wherein:

- 884 -

R_3 is $-C(O)-H$; and

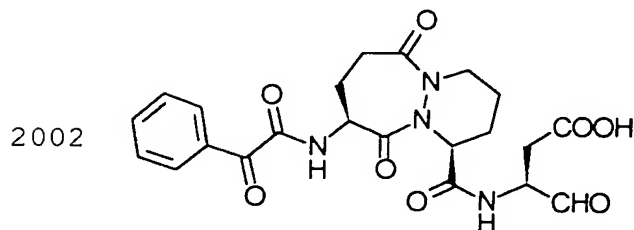
R_5 is $-C(O)-R_{10}$, wherein R_{10} is Ar_3 and the Ar_3 cyclic group is phenyl, substituted by

5

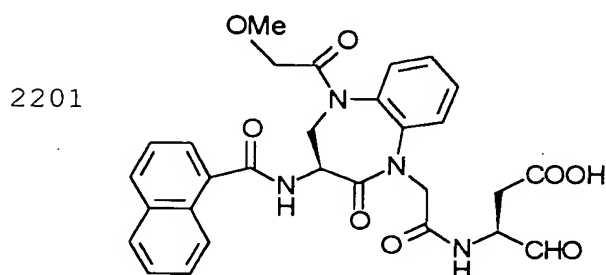


79. The compound according to claim 68,
selected from the group consisting of:

10



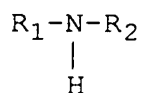
; and



80. A compound represented by the formula:

15

(VI)

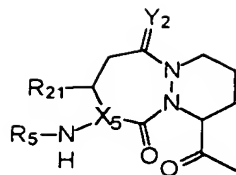


wherein:

R_1 is:

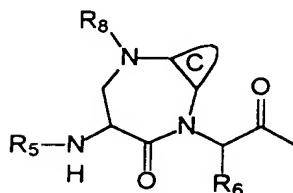
- 885 -

(e10)



, or

(w2)



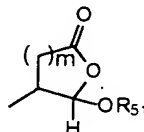
;

- 5 C is a ring chosen from the set consisting of benzo, pyrido, thieno, pyrrolo, furano, thiazolo, isothiazolo, oxazolo, isoxazolo, pyrimido, imidazolo, cyclopentyl, and cyclohexyl; the ring optionally being singly or multiply substituted by $-Q_1$;

10

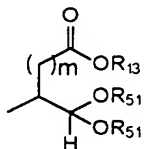
 R_2 is:

(a)



, or

(b)



;

m is 1 or 2;

15

each R_5 is independently selected from the group consisting of:

- $-C(O)-R_{10}$,
- $-C(O)O-R_9$,
- $-C(O)-N(R_{10})(R_{10})$

- 886 -

5 -S(O)₂-R₉,
 -S(O)₂-NH-R₁₀,
 -C(O)-CH₂-O-R₉,
 -C(O)C(O)-R₁₀,
 -R₉,
 -H,
 -C(O)C(O)-OR₁₀, and
 -C(O)C(O)-N(R₉)(R₁₀);

10 X₅ is CH or N;

 Y₂ is H₂ or O;

15 R₆ is selected from the group consisting of -H and
 -CH₃;

 R₈ is selected from the group consisting of:
 -C(O)-R₁₀,
 -C(O)O-R₉,
 -C(O)-N(H)-R₁₀,
 20 -S(O)₂-R₉,
 -S(O)₂-NH-R₁₀,
 -C(O)-CH₂-OR₁₀,
 -C(O)C(O)-R₁₀;
 -C(O)-CH₂N(R₁₀)(R₁₀),
 25 -C(O)-CH₂C(O)-O-R₉,
 -C(O)-CH₂C(O)-R₉,
 -H, and
 -C(O)-C(O)-OR₁₀;

30 each R₉ is independently selected from the group
 consisting of -Ar₃ and a -C₁₋₆ straight or branched
 alkyl group optionally substituted with -Ar₃, wherein
 the -C₁₋₆ alkyl group is optionally unsaturated;

- 887 -

each R_{10} is independently selected from the group consisting of -H, $-Ar_3$, a $-C_{3-6}$ cycloalkyl group, and a $-C_{1-6}$ straight or branched alkyl group optionally substituted with $-Ar_3$, wherein the $-C_{1-6}$ alkyl group is optionally unsaturated;

R_{13} is selected from the group consisting of H, Ar_3 , and a $-C_{1-6}$ straight or branched alkyl group optionally substituted with $-Ar_3$, $-CONH_2$, $-OR_5$, $-OH$, $-OR_9$, or $-CO_2H$;

each R_{51} is independently selected from the group consisting of R_9 , $-C(O)-R_9$, $-C(O)-N(H)-R_9$, or each R_{51} taken together forms a saturated 4-8 member carbocyclic ring or heterocyclic ring containing -O-, -S-, or -NH-;

each R_{21} is independently selected from the group consisting of -H or a $-C_{1-6}$ straight or branched alkyl group;

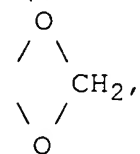
each Ar_3 is a cyclic group independently selected from the set consisting of an aryl group which contains 6, 10, 12, or 14 carbon atoms and between 1 and 3 rings and an aromatic heterocycle group containing between 5 and 15 ring atoms and between 1 and 3 rings, said heterocyclic group containing at least one heteroatom, group selected from -O-, -S-, -SO-, SO_2 , =N-, and -NH-, said heterocycle group optionally containing one or more double bonds, said heterocycle group optionally comprising one or more aromatic rings, and said cyclic group optionally being singly or multiply substituted by $-Q_1$;

each Q_1 is independently selected from the group

- 888 -

consisting of $-\text{NH}_2$, $-\text{CO}_2\text{H}$, $-\text{Cl}$, $-\text{F}$, $-\text{Br}$, $-\text{I}$, $-\text{NO}_2$, $-\text{CN}$,
 $=\text{O}$, $-\text{OH}$, $-\text{perfluoro C}_{1-3}$ alkyl, R_5 , $-\text{OR}_5$, $-\text{NHR}_5$, $-\text{OR}_9$,
 $-\text{N}(\text{R}_9)(\text{R}_{10})$, $-\text{R}_9$, $-\text{C}(\text{O})-\text{R}_{10}$, and

5



provided that when $-\text{Ar}_3$ is substituted with a Q_1
 10 group which comprises one or more additional $-\text{Ar}_3$
 groups, said additional $-\text{Ar}_3$ groups are not substituted
 with another $-\text{Ar}_3$.

81. The compound according to claim 80,
 wherein:

15

m is 1;

C is a ring chosen from the set consisting of
 benzo, pyrido, or thieno the ring optionally being
 singly or multiply substituted by halogen, $-\text{NH}_2$,
 $-\text{NH}-\text{R}_5$, $-\text{NH}-\text{R}_9$, $-\text{OR}_{10}$, or $-\text{R}_9$, wherein R_9 is a straight
 20 or branched C_{1-4} alkyl group, and R_{10} is H or a straight
 or branched C_{1-4} alkyl group;

R_6 is H;

R_{13} is H or a C_{1-4} straight or branched alkyl group
 optionally substituted with $-\text{Ar}_3$, $-\text{OH}$, $-\text{OR}_9$, $-\text{CO}_2\text{H}$,
 25 wherein the R_9 is a C_{1-4} branched or straight chain
 alkyl group; wherein Ar_3 is morpholinyl or phenyl,
 wherein the phenyl is optionally substituted by $-\text{Q}_1$;

R_{21} is $-\text{H}$ or $-\text{CH}_3$;

R_{51} is a C_{1-6} straight or branched alkyl group

- 889 -

optionally substituted with $-\text{Ar}_3$, wherein Ar_3 is phenyl, optionally substituted by $-\text{Q}_1$;

each Ar_3 cyclic group is independently selected from the set consisting of phenyl, naphthyl, thienyl, quinoliny, isoquinoliny, pyrazolyl, thiazolyl, isoxazolyl, benzotriazolyl, benzimidazolyl, thienothienyl, imidazolyl, thiadiazolyl, benzo[b]thiophenyl, pyridyl, benzofuranyl, and indolyl, and said cyclic group optionally being singly or multiply substituted by $-\text{Q}_1$;

each Q_1 is independently selected from the group consisting of $-\text{NH}_2$, $-\text{Cl}$, $-\text{F}$, $-\text{Br}$, $-\text{OH}$, $-\text{R}_9$, $-\text{NH}-\text{R}_5$ wherein R_5 is $-\text{C}(\text{O})-\text{R}_{10}$ or $-\text{S}(\text{O})_2-\text{R}_9$, $-\text{OR}_5$ wherein R_5 is $-\text{C}(\text{O})-\text{R}_{10}$, $-\text{OR}_9$, $-\text{NHR}_9$, and



wherein each R_9 and R_{10} are independently a $-\text{C}_{1-6}$ straight or branched alkyl group optionally substituted with $-\text{Ar}_3$ wherein Ar_3 is phenyl;

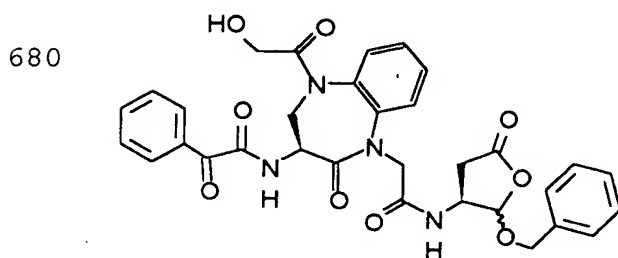
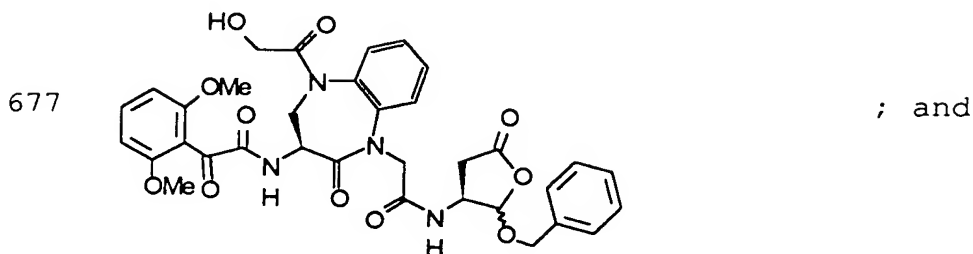
provided that when $-\text{Ar}_3$ is substituted with a Q_1 group which comprises one or more additional $-\text{Ar}_3$ groups, said additional $-\text{Ar}_3$ groups are not substituted with another $-\text{Ar}_3$.

82. The compound according to claim 81, wherein R_1 is (w2).

83. The compound according to claim 82,

- 890 -

selected from the group consisting of:



84. The compound according to claim 82,
5 wherein R_8 is selected from the group consisting of:

- C(O)- R_{10} ,
- C(O)O- R_9 ,
- C(O)-CH₂-O R_{10} , and
- C(O)-CH₂C(O)- R_9 .

85. The compound according to claim 84,
10 wherein R_8 is -C(O)-CH₂-O R_{10} and R_{10} is -H or -CH₃.

86. The compound according to claim 81,
wherein R_1 is (e10) and X_5 is CH.

87. The compound according to claim 81,
15 wherein R_1 is (e10) and X_5 is N.

88. The compound according to any one of
claims 80-87 wherein R_5 is -C(O)- R_{10} or -C(O)-C(O)- R_{10} .

Sub
A2S

- 891 -

89. The compound according to claim 88,
wherein R_{10} is Ar_3 .

90. The compound according to claim 89,
wherein:

5 R_5 is $-C(O)-R_{10}$ and R_{10} is Ar_3 , wherein the Ar_3
cyclic group is phenyl optionally being singly or
multiply substituted by:

$-R_9$, wherein R_9 is a C_{1-4} straight or branched
alkyl group;

10 $-F$,

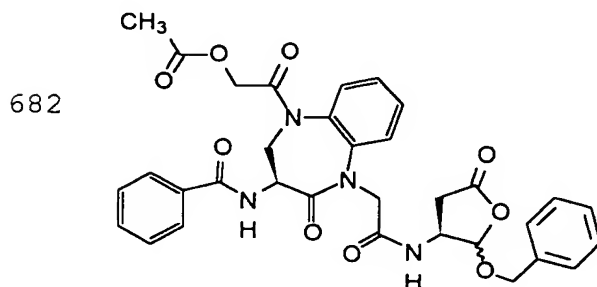
$-Cl$,

$-N(H)-R_5$, wherein $-R_5$ is $-H$ or $-C(O)-R_{10}$, wherein
 R_{10} is a $-C_{1-6}$ straight or branched alkyl group
optionally substituted with $-Ar_3$, wherein Ar_3 is
15 phenyl,

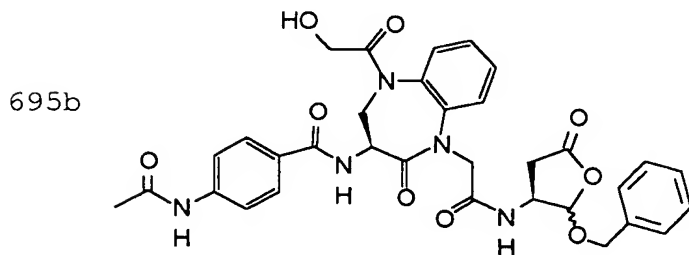
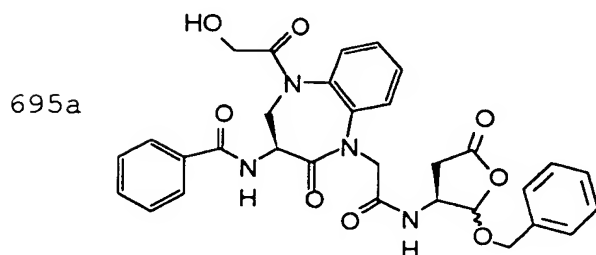
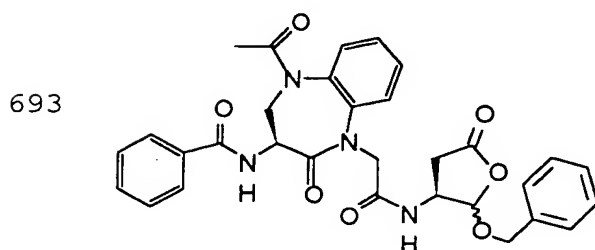
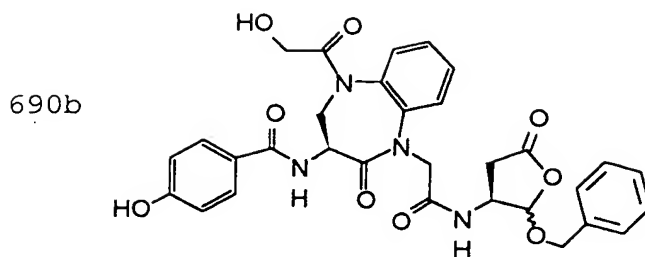
$-N(R_9)(R_{10})$, wherein R_9 and R_{10} are independently a
 $-C_{1-4}$ straight or branched alkyl group, or

$-O-R_5$, wherein R_5 is H or a $-C_{1-4}$ straight or
branched alkyl group.

20 91. The compound according to claim 90,
selected from the group consisting of:



- 892 -



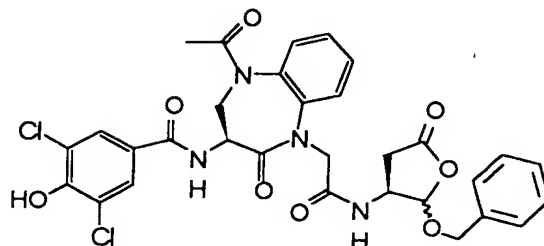
5

92. The compound according to claim 90, wherein Ar_3 is phenyl being singly or multiply substituted at the 3- or 5-position by -Cl or at the 4-position by -NH- R_5 , -N(R_9) (R_{10}), or -O- R_5 .

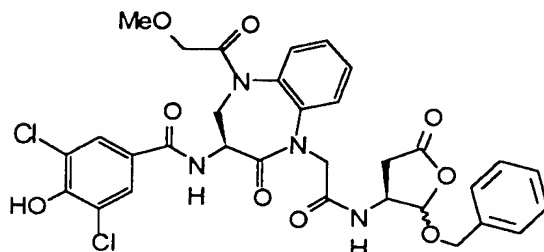
- 893 -

93. The compound according to claim 92,
selected from the group consisting of:

655

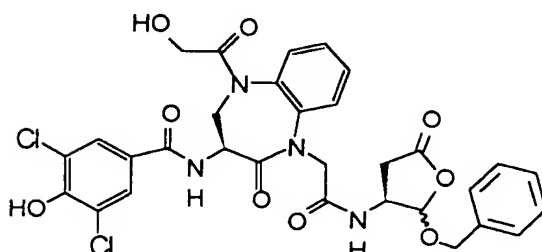


688a



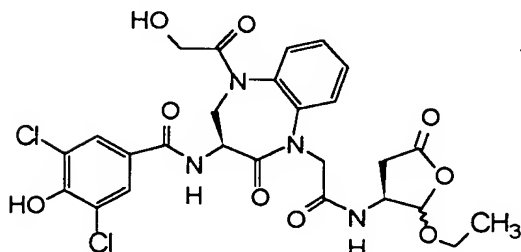
5

692a



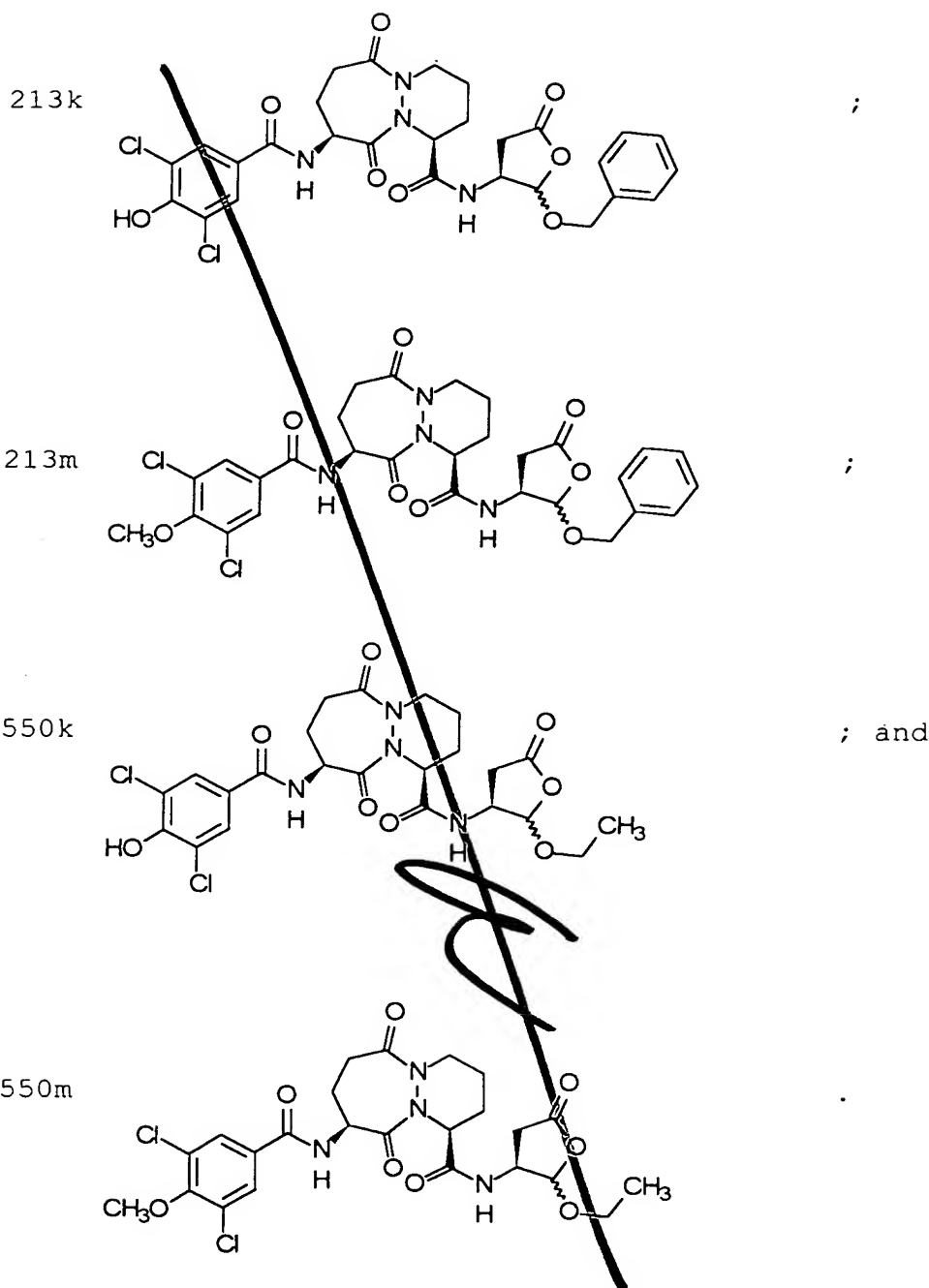
; and

692b



94. The compound according to claim 92,
selected from the group consisting of:

- 894 -



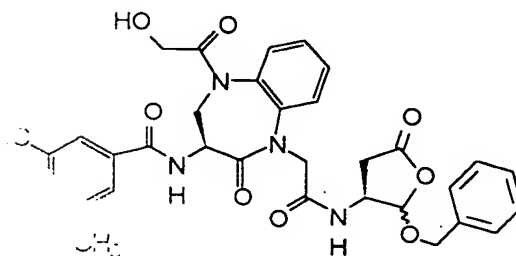
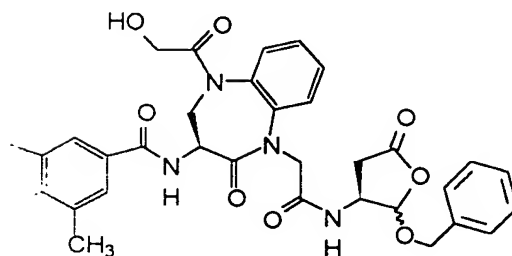
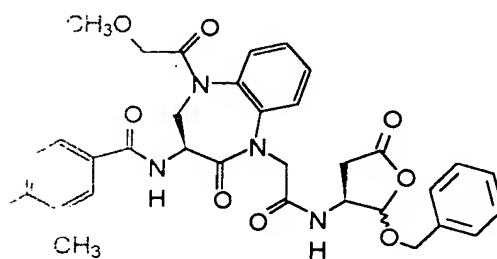
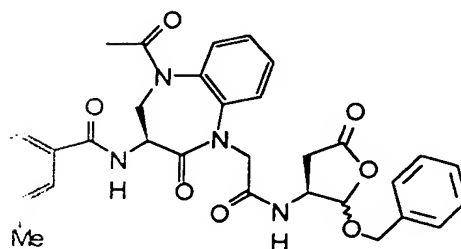
5

95. The compound according to claim 90, wherein Ar_3 is phenyl being singly or multiply substituted at the 3- or 5-position by $-\text{R}_9$, wherein R_9 is a C_{1-4} straight or branched alkyl group;

- 895 -

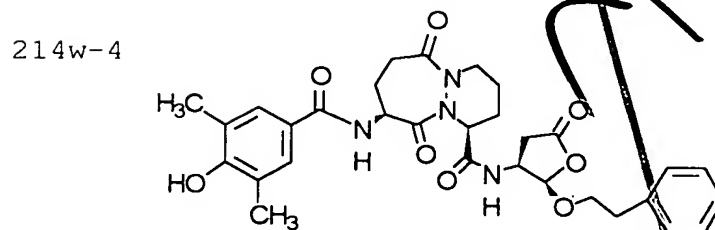
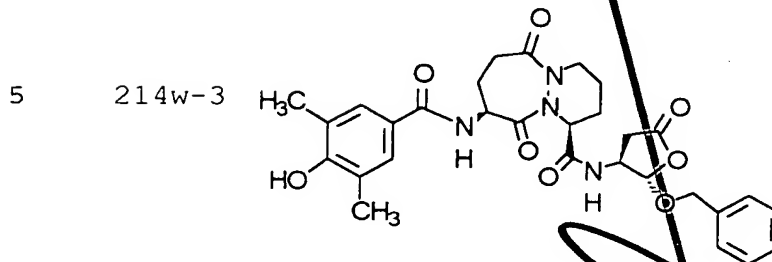
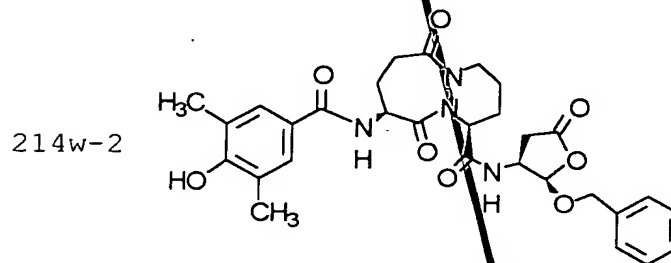
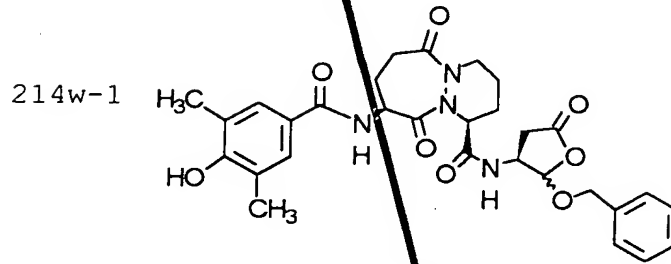
position by $-O-R_5$.

2. The compound according to claim 95,
from the group consisting of:

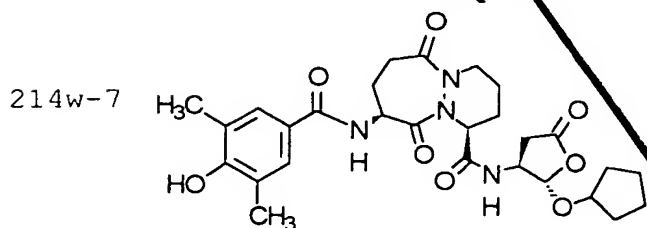
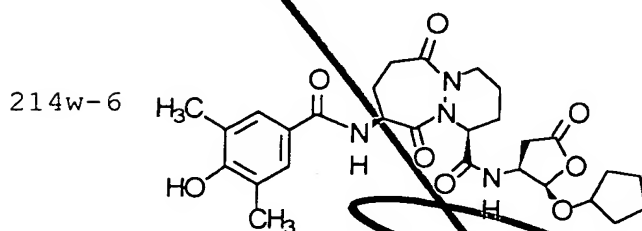
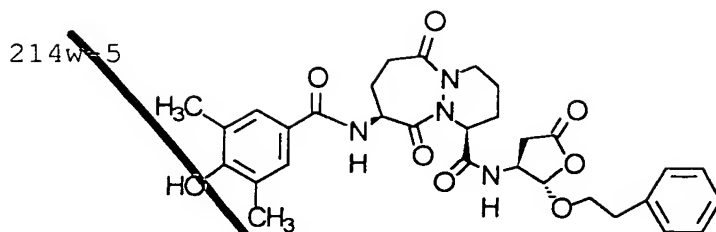


- 896 -

97. The compound according to claim 95,
selected from the group consisting of:



- 897 -



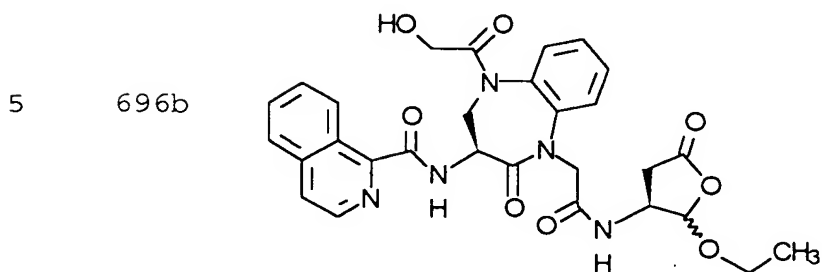
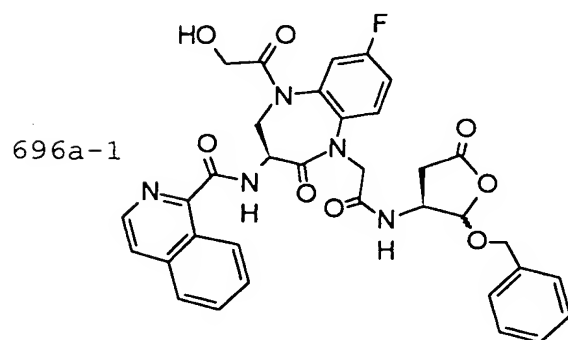
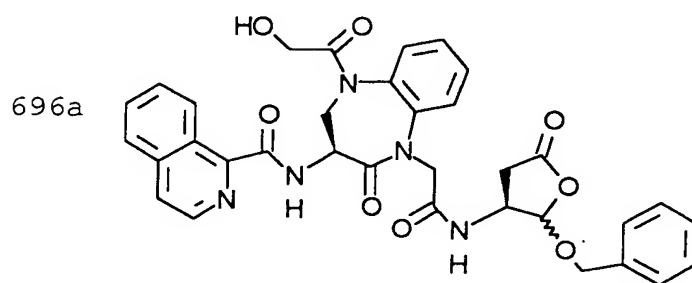
; and

98. The compound according to claim 89,
 5 wherein:

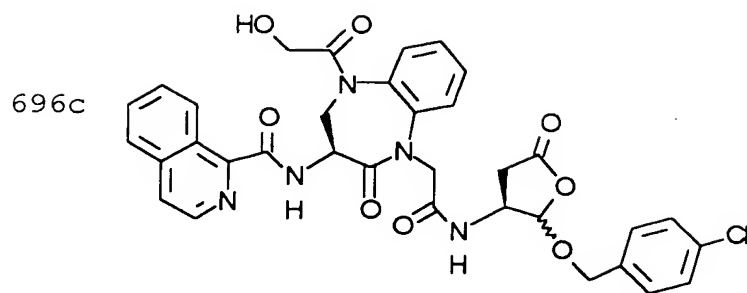
R_5 is $-C(O)-R_{10}$, wherein R_{10} is Ar_3 and the Ar_3
 cyclic group is selected from the group consisting of
 is indolyl, benzimidazolyl, thienyl, quinolyl,
 isoquinolyl and benzo[b]thiophenyl, and said cyclic
 10 group optionally being singly or multiply substituted
 by $-Q_1$.

99. The compound according to claim 98,
 wherein the Ar_3 cyclic group is isoquinolyl, and said
 cyclic group optionally being singly or multiply
 15 substituted by $-Q_1$.

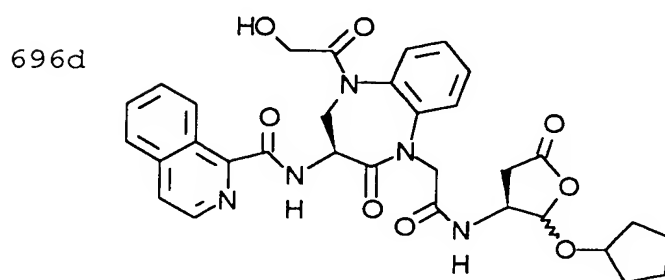
100. The compound according to claim 99
selected from the group consisting of:



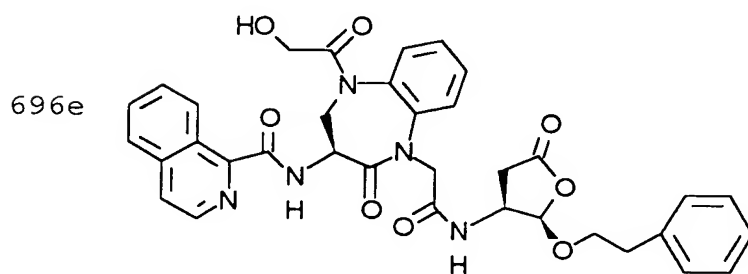
- 899 -



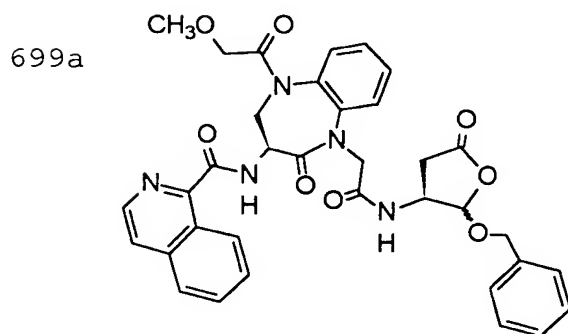
;



;

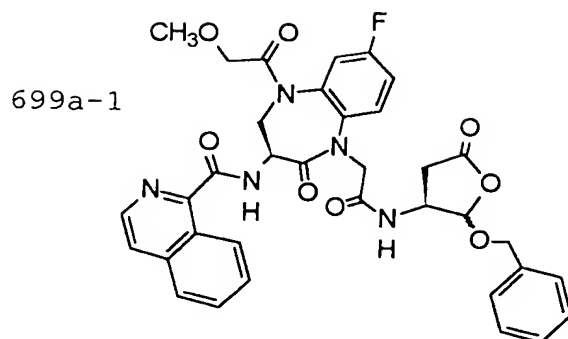


;



; and

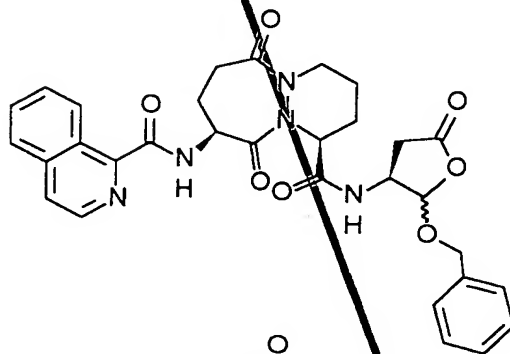
- 900 -



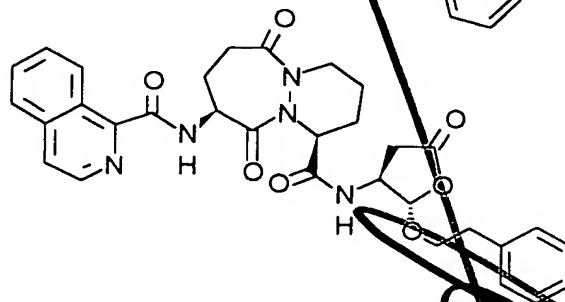
101. The compound according to claim 99,
selected from the group consisting of:

5

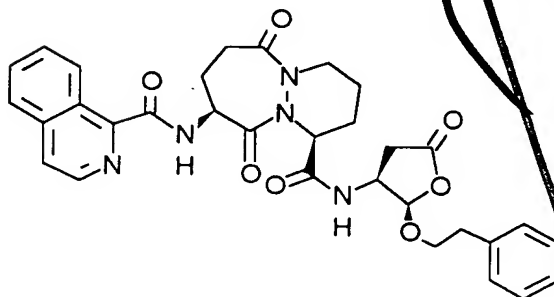
213y



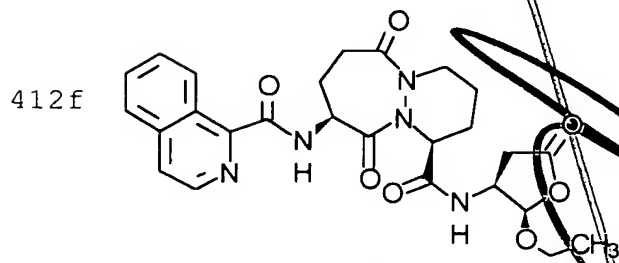
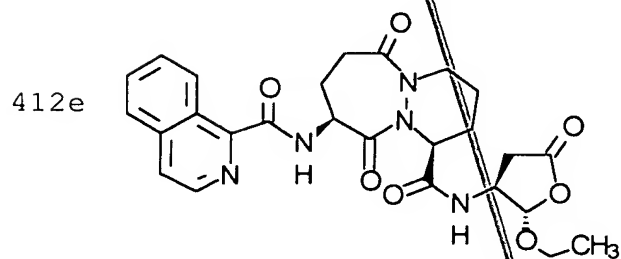
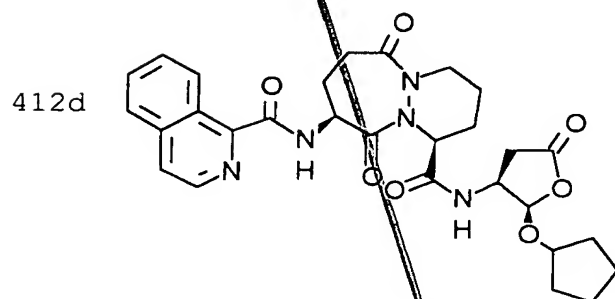
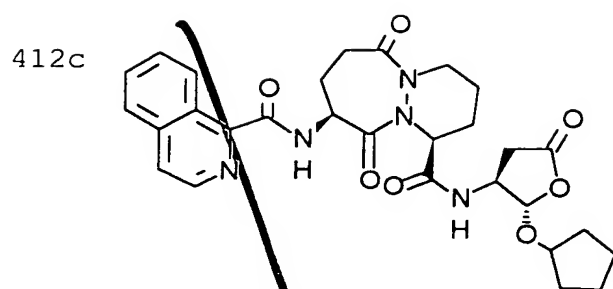
412a



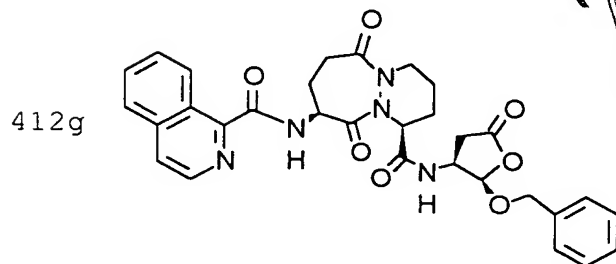
412b



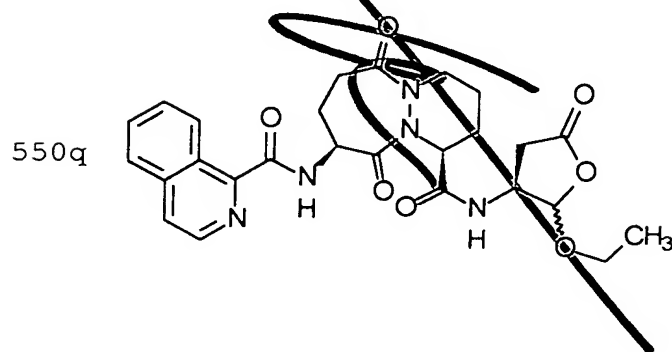
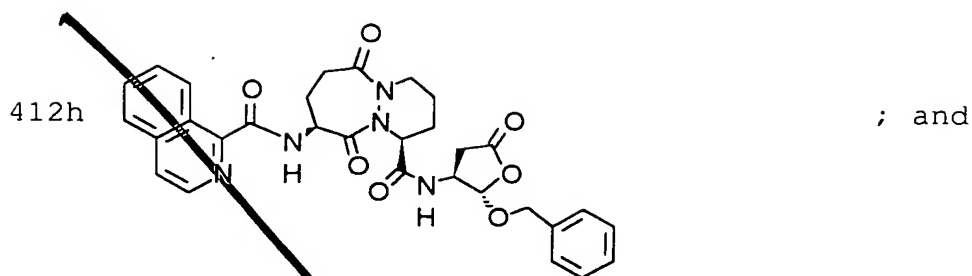
- 901 -



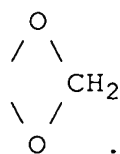
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- 902 -



102. The compound according to claim 89,
 wherein R_5 is $-C(O)-R_{10}$, wherein R_{10} is Ar_3 and the Ar_3
 5 cyclic group is phenyl, substituted by



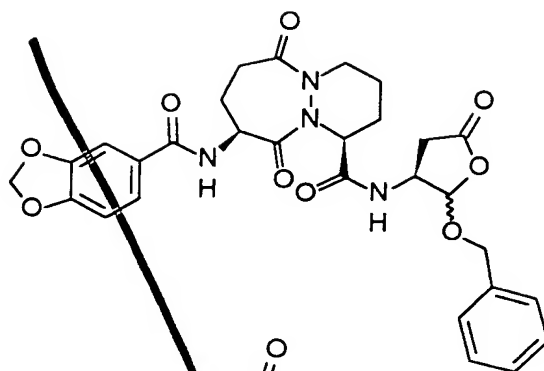
10

103. The compound according to claim 102,
 selected from the group consisting of:

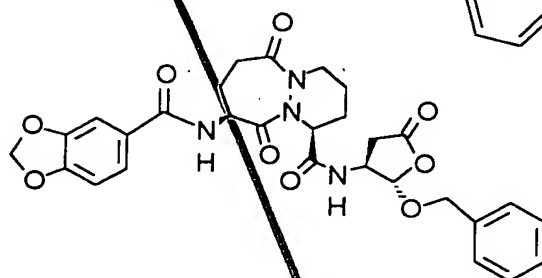


- 903 -

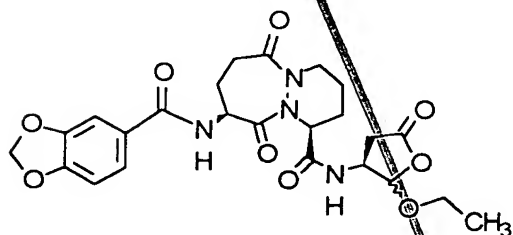
213n



415a

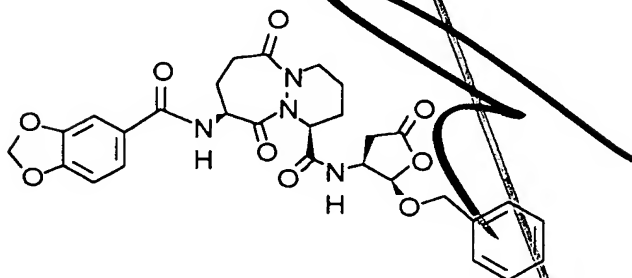


415b



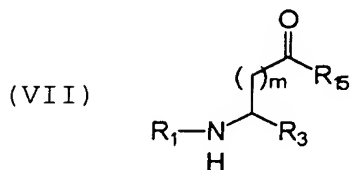
5

415c



- 904 -

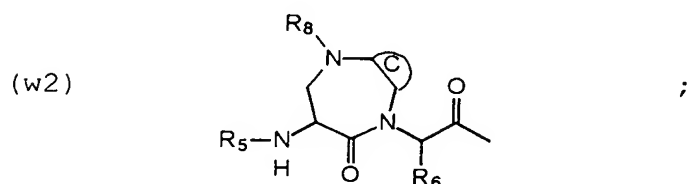
104. A compound represented by the formula:



wherein:

m is 1 or 2;

R₁ is selected from the group consisting of the following formulae:



C is a ring chosen from the set consisting of benzo, pyrido, thieno, pyrrolo, furano, thiazolo, isothiazolo, oxazolo, isoxazolo, pyrimido, imidazolo, cyclopentyl, and cyclohexyl, the ring optionally being singly or multiply substituted by -Q₁;

R₃ is selected from the group consisting of:

-CN,
 -C(O)-H,
 -C(O)-CH₂-T₁-R₁₁,
 -C(O)-CH₂-F,
 -C=N-O-R₉, and
 -CO-Ar₂;

each R₅ is independently selected from the group consisting of:

-C(O)-R₁₀,

- 905 -

$-C(O)O-R_9,$
 $-C(O)-N(R_{10})(R_{10})$
 $-S(O)_2-R_9,$
 $-S(O)_2-NH-R_{10},$
5 $-C(O)-CH_2-O-R_9,$
 $-C(O)C(O)-R_{10},$
 $-R_9,$
 $-H,$
 $-C(O)C(O)-OR_{10},$ and
10 $-C(O)C(O)-N(R_9)(R_{10});$

each T_1 is independently selected from the group consisting of $-O-$, $-S-$, $-S(O)-$, and $-S(O)_2-$;

15 R_6 is selected from the group consisting of $-H$ and $-CH_3$;

R_8 is selected from the group consisting of:

$-C(O)-R_{10},$
 $-C(O)O-R_9,$
20 $-C(O)-NH-R_{10},$
 $-S(O)_2-R_9,$
 $-S(O)_2-NH-R_{10},$
 $-C(O)-CH_2-OR_{10},$
 $-C(O)C(O)-R_{10},$
25 $-C(O)-CH_2-N(R_{10})(R_{10}),$
 $-C(O)-CH_2C(O)-O-R_9,$
 $-C(O)-CH_2C(O)-R_9,$
 $-H,$ and
 $-C(O)-C(O)-OR_{10};$

30 each R_9 is independently selected from the group consisting of $-Ar_3$ and a $-C_{1-6}$ straight or branched

- 906 -

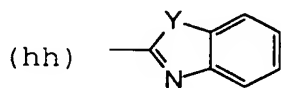
alkyl group optionally substituted with $-\text{Ar}_3$, wherein the $-\text{C}_{1-6}$ alkyl group is optionally unsaturated;

5 each R_{10} is independently selected from the group consisting of $-\text{H}$, $-\text{Ar}_3$, a $-\text{C}_{3-6}$ cycloalkyl group, and a $-\text{C}_{1-6}$ straight or branched alkyl group optionally substituted with $-\text{Ar}_3$, wherein the $-\text{C}_{1-6}$ alkyl group is optionally unsaturated;

10 each R_{11} is independently selected from the group consisting of:
 $-\text{Ar}_4$,
 $-(\text{CH}_2)_{1-3}-\text{Ar}_4$,
 $-\text{H}$, and
 $-\text{C}(\text{O})-\text{Ar}_4$;

15 R_{15} is selected from the group consisting of $-\text{OH}$, $-\text{OAr}_3$, $-\text{N}(\text{H})-\text{OH}$, and $-\text{OC}_{1-6}$, wherein C_{1-6} is a straight or branched alkyl group optionally substituted with $-\text{Ar}_3$, $-\text{CONH}_2$, $-\text{OR}_5$, $-\text{OH}$, $-\text{OR}_9$, or $-\text{CO}_2\text{H}$;

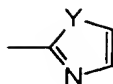
20 Ar_2 is independently selected from the following group, in which any ring may optionally be singly or multiply substituted by $-\text{Q}_1$ or phenyl, optionally substituted by Q_1 :



, and

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(ii)



wherein each Y is independently selected from the group consisting of O and S;

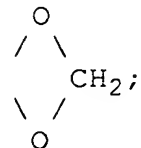
5 each Ar₃ is a cyclic group independently selected from the set consisting of an aryl group which contains 6, 10, 12, or 14 carbon atoms and between 1 and 3 rings and an aromatic heterocycle group containing between 5 and 15 ring atoms and between 1 and 3 rings, said
 10 heterocyclic group containing at least one heteroatom group selected from -O-, -S-, -SO-, SO₂, =N-, and -NH-, -N(R₅)-, and -N(R₉)- said heterocycle group optionally containing one or more double bonds, said heterocycle group optionally comprising one or more aromatic rings,
 15 and said cyclic group optionally being singly or multiply substituted by -Q₁;

each Ar₄ is a cyclic group independently selected from the set consisting of an aryl group which contains 6, 10, 12, or 14 carbon atoms and between 1 and 3
 20 rings, and a heterocycle group containing between 5 and 15 ring atoms and between 1 and 3 rings, said heterocyclic group containing at least one heteroatom group selected from -O-, -S-, -SO-, SO₂, =N-, -NH-, -N(R₅)-, and -N(R₉)- said heterocycle group optionally
 25 containing one or more double bonds, said heterocycle group optionally comprising one or more aromatic rings, and said cyclic group optionally being singly or multiply substituted by -Q₁;

each Q₁ is independently selected from the group
 30 consisting of -NH₂, -CO₂H, -Cl, -F, -Br, -I, -NO₂, -CN,

- 908 -

=O, -OH, -perfluoro C₁₋₃ alkyl, R₅, -OR₅, -NHR₅, -OR₉,
 -N(R₉)(R₁₀), -R₉, -C(O)-R₁₀, and



5

provided that when -Ar₃ is substituted with a Q₁
 group which comprises one or more additional -Ar₃
 groups, said additional -Ar₃ groups are not substituted
 10 with another -Ar₃.

105. The compound according to claim 104,
 wherein:

m is 1;

15 C is a ring chosen from the set consisting of
 benzo, pyrido, and thieno, the ring optionally being
 singly or multiply substituted by halogen, -NH₂,
 -NH-R₅, or -NH-R₉, -OR₁₀, or -R₉, wherein R₉ is a
 straight or branched C₁₋₄ alkyl group, and R₁₀ is H or a
 straight or branched C₁₋₄ alkyl group;

20

T₁ is O or S;

R₆ is H;

R₁₁ is selected from the group consisting of -Ar₄,
 -(CH₂)₁₋₃-Ar₄, and -C(O)-Ar₄;

25

Ar₂ is (hh);

Y is O;

- 909 -

each Ar₃ cyclic group is independently selected from the set consisting of phenyl, naphthyl, thienyl, quinolinyl, isoquinolinyl, thiazolyl, benzimidazolyl, thienothienyl, thiadiazolyl, benzotriazolyl, benzo[b]thiophenyl, benzofuranyl, and indolyl, and said cyclic group optionally being singly or multiply substituted by -Q₁;

each Ar₄ cyclic group is independently selected from the set consisting of phenyl, tetrazolyl, naphthyl, pyridinyl, oxazolyl, pyrimidinyl, or indolyl, and said cyclic group optionally being singly or multiply substituted by -Q₁;

each Q₁ is independently selected from the group consisting of -NH₂, -Cl, -F, -Br, -OH, -R₉, -NH-R₅ wherein R₅ is -C(O)-R₁₀ or -S(O)₂-R₉, -OR₅ wherein R₅ is -C(O)-R₁₀, -OR₉, -NHR₉, and



wherein each R₉ and R₁₀ are independently a -C₁₋₆ straight or branched alkyl group optionally substituted with -Ar₃ wherein Ar₃ is phenyl;

provided that when -Ar₃ is substituted with a Q₁ group which comprises one or more additional -Ar₃ groups, said additional -Ar₃ groups are not substituted with another -Ar₃.

106. The compound according to claim 105, wherein R₈ is selected from the group consisting of:

- 910 -

$-C(O)-R_{10}$,
 $-C(O)O-R_9$,
 $-C(O)-CH_2-OR_{10}$, and
 $-C(O)-CH_2C(O)-R_9$.

5 107. The compound according to claim 106,
 wherein R_8 is $-C(O)-CH_2-OR_{10}$ and R_{10} is $-H$ or $-CH_3$.

108. The compound according to claim 105,
 wherein R_3 is $-C(O)-Ar_2$,

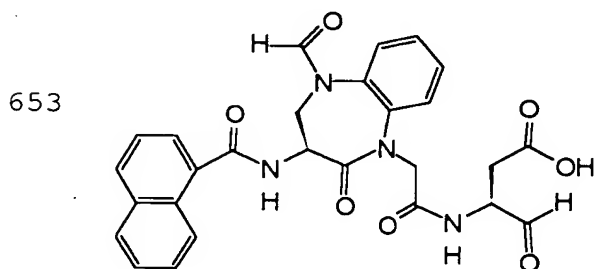
109. The compound according to claim 105,
 10 wherein R_3 is $-C(O)CH_2-T_1-R_{11}$;

110. The compound according to claim 105,
 wherein R_3 is $-C(O)-H$.

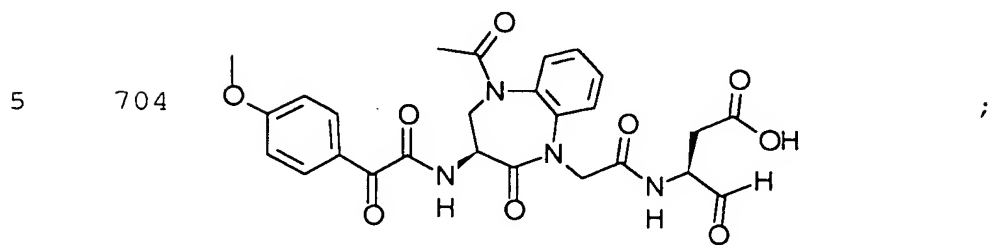
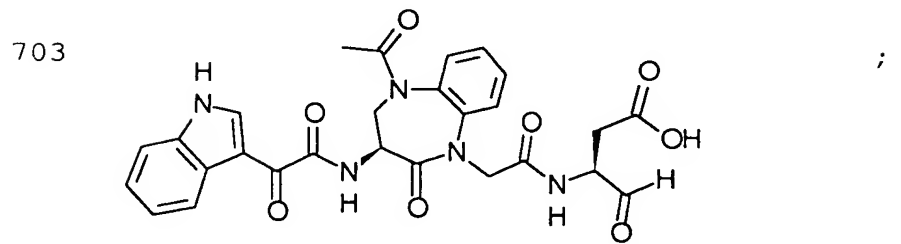
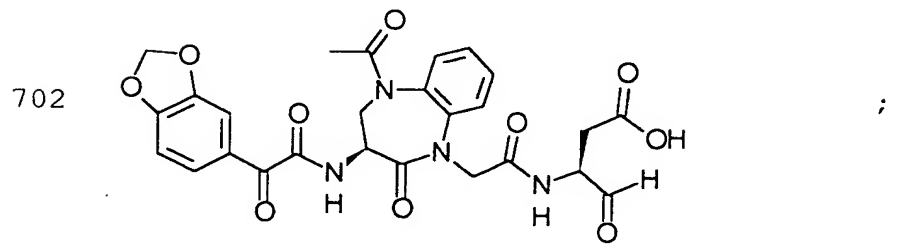
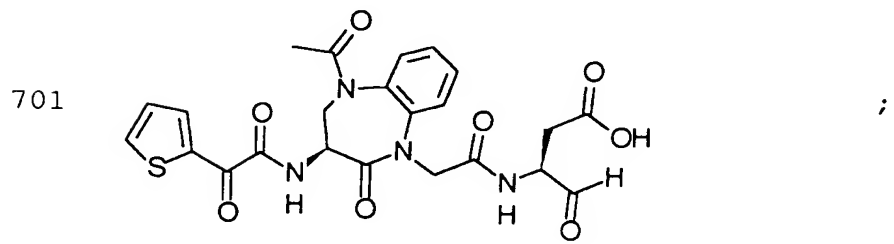
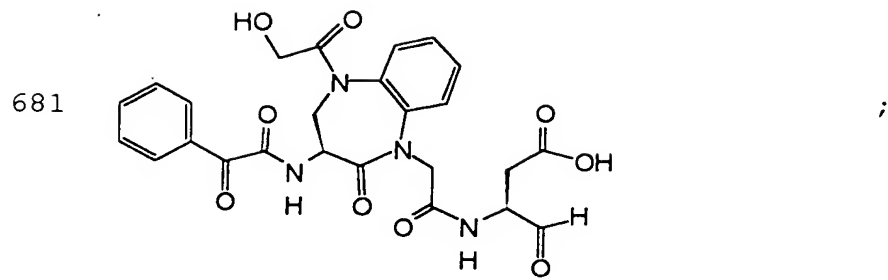
111. The compound according to claim 110,
 wherein R_8 is selected from the group consisting of:

15 $-C(O)-R_{10}$,
 $-C(O)O-R_9$,
 $-C(O)-CH_2-OR_{10}$, and
 $-C(O)-CH_2C(O)-R_9$.

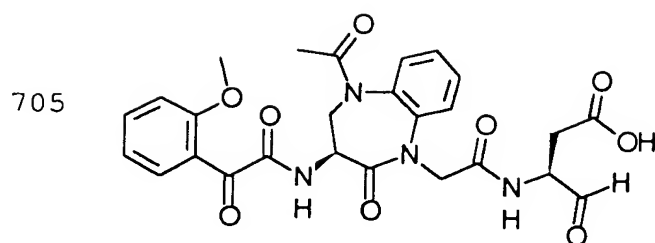
112. The compound according to claim 111,
 20 selected from the group consisting of:



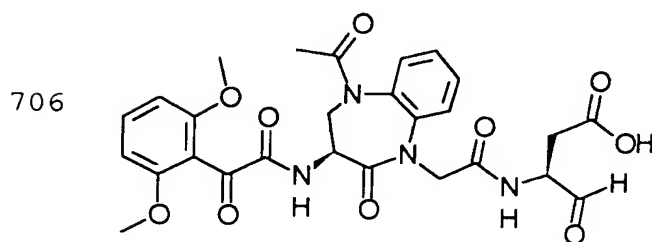
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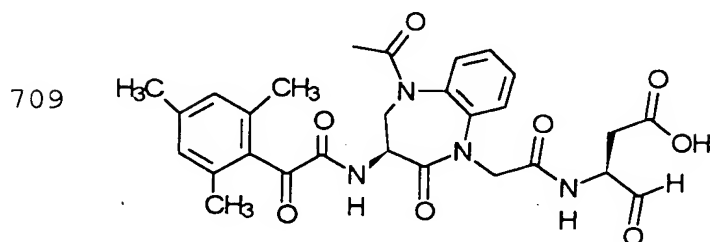
- 912 -



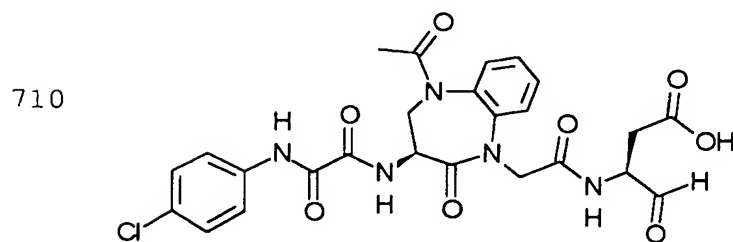
;



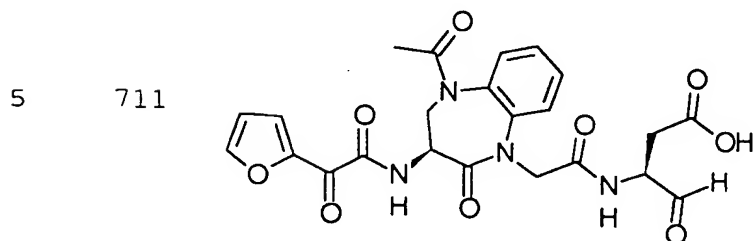
;



;

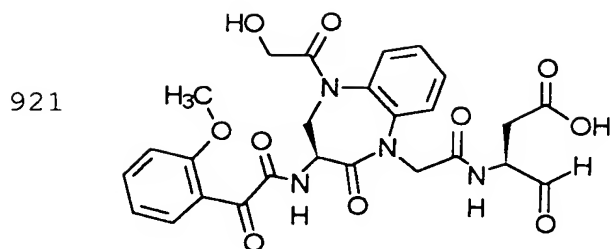


;



; and

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113. The compound according to claim 111,
 wherein R_8 is $-C(O)-CH_2-OR_{10}$ and R_{10} is $-H$ or $-CH_3$.

114. The compound according to claim 68,
 wherein:

m is 1;

T_1 is O or S ;

R_{21} is $-H$ or $-CH_3$;

10 Ar_2 is (hh);

Y is O ;

each Ar_3 cyclic group is independently selected
 from the set consisting of phenyl, naphthyl, thienyl,
 15 quinolinyl, isoquinolinyl, pyrazolyl, thiazolyl,
 isoxazolyl, benzotriazolyl, benzimidazolyl,
 thienothienyl, imidazolyl, thiadiazolyl,
 benzo[b]thiophenyl, pyridyl, benzofuranyl, and indolyl
 and said cyclic group being singly or multiply
 20 substituted by $-Q_1$;

each Ar_4 cyclic group is independently selected
 from the set consisting of phenyl, tetrazolyl,
 pyridinyl, oxazolyl, naphthyl, pyrimidinyl, and thienyl

- 914 -

and said cyclic group being singly or multiply substituted by

$-Q_1$;

each Q_1 is independently selected from the group
 5 consisting of $-NH_2$, $-Cl$, $-F$, $-Br$, $-OH$, $-R_9$, $-NH-R_5$
 wherein R_5 is $-C(O)-R_{10}$ or $-S(O)_2-R_9$, $-OR_5$ wherein R_5 is
 $-C(O)-R_{10}$, $-OR_9$, $-NHR_9$, and



wherein each R_9 and R_{10} are independently a $-C_{1-6}$
 straight or branched alkyl group optionally substituted
 15 with $-Ar_3$ wherein Ar_3 is phenyl;

provided that when $-Ar_3$ is substituted with a Q_1
 group which comprises one or more additional $-Ar_3$
 groups, said additional $-Ar_3$ groups are not substituted
 20 with another $-Ar_3$.

115. The compound according to claim 114,
 wherein R_3 is $-C(O)-Ar_2$,

116. The compound according to claim 114,
 wherein R_3 is $-C(O)CH_2-T_1-R_{11}$;

25 117. The compound according to claim 114,
 wherein R_3 is $-C(O)-H$.

118. The compound according to any one of
 claims 104-117, wherein R_5 is $-C(O)-R_{10}$ or
 $-C(O)C(O)-R_{10}$.

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119. The compound according to claim 118,
wherein R_{10} is Ar_3 .

120. The compound according to claim 119,
wherein:

5 R_5 is $-C(O)-R_{10}$ and R_{10} is Ar_3 , wherein the Ar_3
cyclic group is phenyl optionally being singly or
multiply substituted by:

$-R_9$, wherein R_9 is a C_{1-4} straight or branched
alkyl group;

10 $-F$,

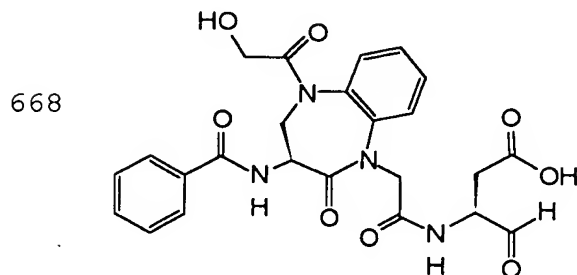
$-Cl$,

$-N(H)-R_5$, wherein $-R_5$ is $-H$ or $-C(O)-R_{10}$, wherein
 R_{10} is a $-C_{1-6}$ straight or branched alkyl group
optionally substituted with $-Ar_3$, wherein Ar_3 is
15 phenyl,

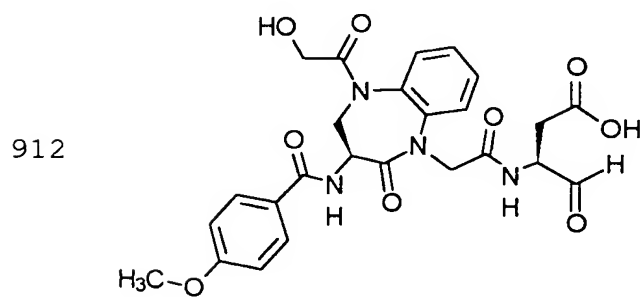
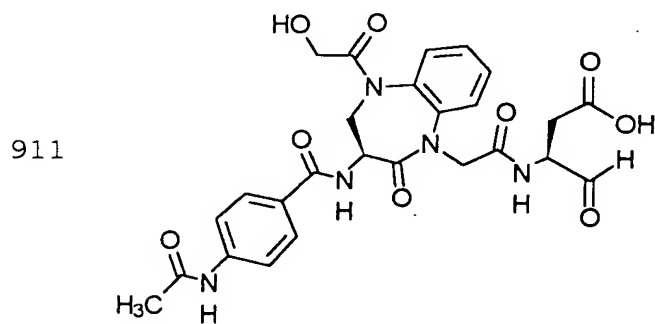
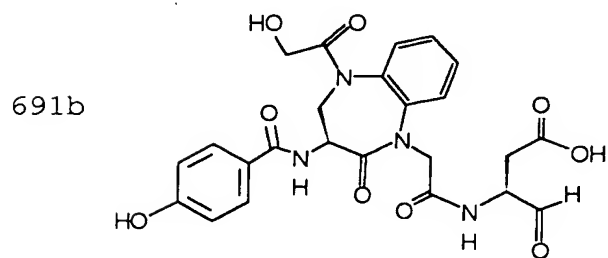
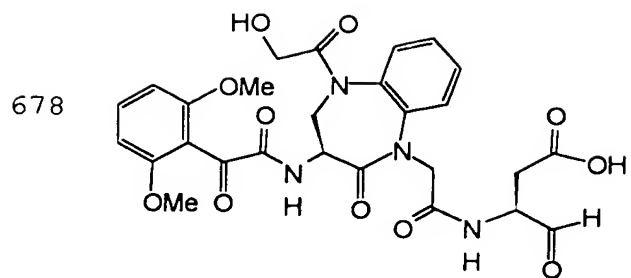
$-N(R_9)(R_{10})$, wherein R_9 and R_{10} are independently a
 $-C_{1-4}$ straight or branched alkyl group, or

$-O-R_5$, wherein R_5 is H or a $-C_{1-4}$ straight or
branched alkyl group.

20 121. The compound according to claim 120,
selected from the group consisting of:

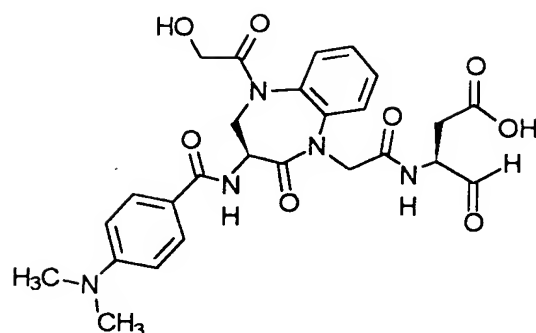


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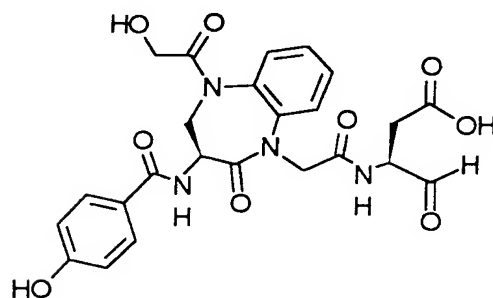
- 917 -

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; and

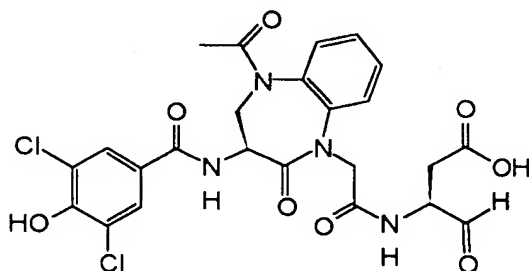
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122. The compound according to claim 120,
 wherein Ar_3 is phenyl being singly or multiply
 5 substituted at the 3- or 5-position by $-Cl$ or at the 4-
 position by $-NH-R_5$, $-N(R_9)(R_{10})$, or $-O-R_5$.

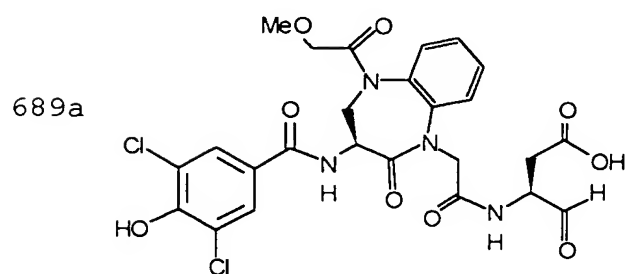
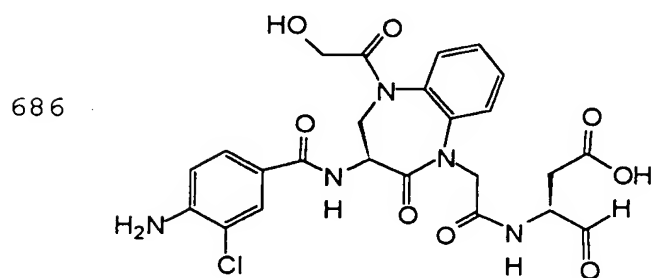
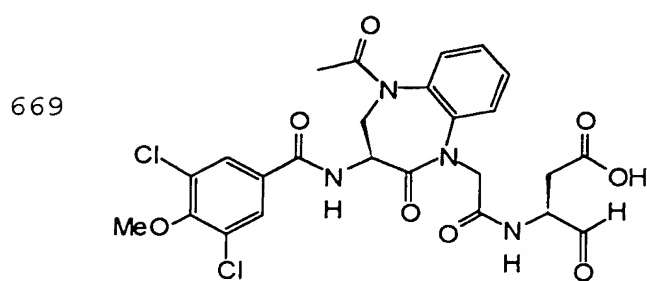
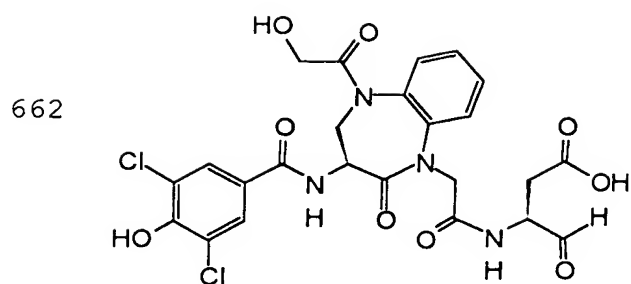
123. The compound according to claim 122,
 selected from the group consisting of:

656



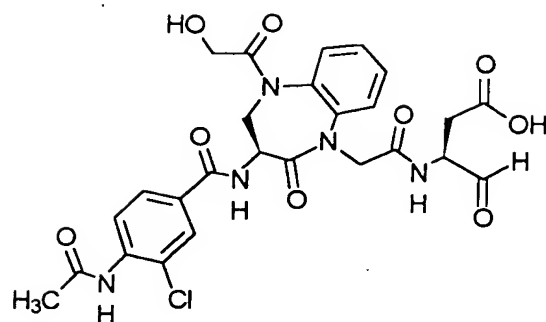
;

- 918 -



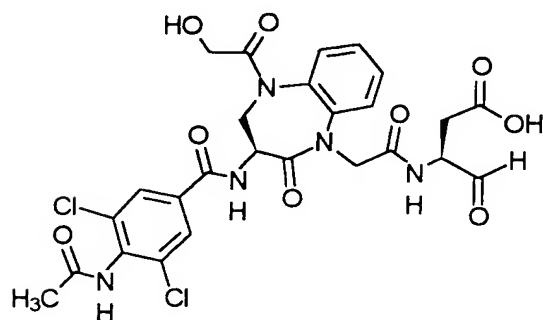
- 919 -

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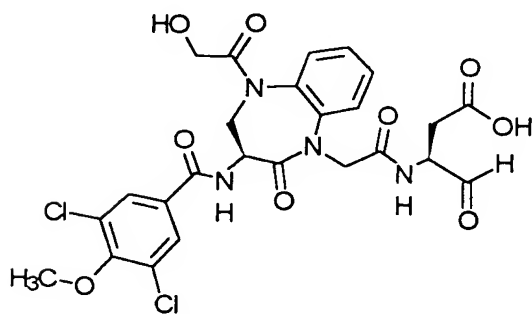
;

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; and

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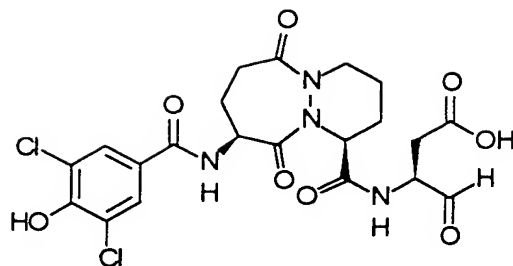


124. The compound according to claim 122,
 5 selected from the group consisting of:

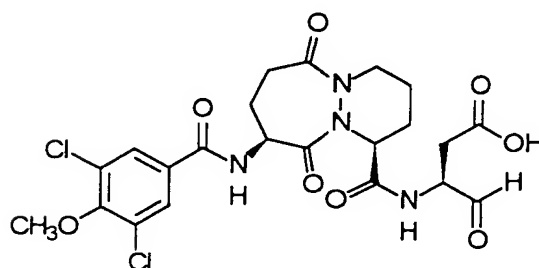
- 920 -

214k

; and



214m

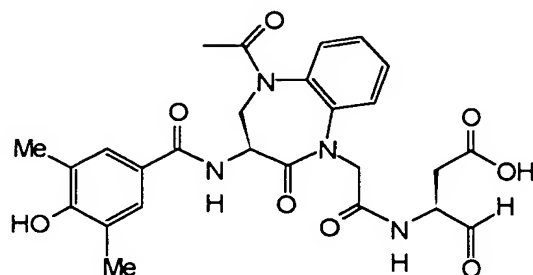


125. The compound according to claim 120,
wherein Ar₃ is phenyl being singly or multiply
5 substituted at the 3- or 5-position by -R₉, wherein R₉
is a C₁₋₄ straight or branched alkyl group;
and at the 4-position by -O-R₅.

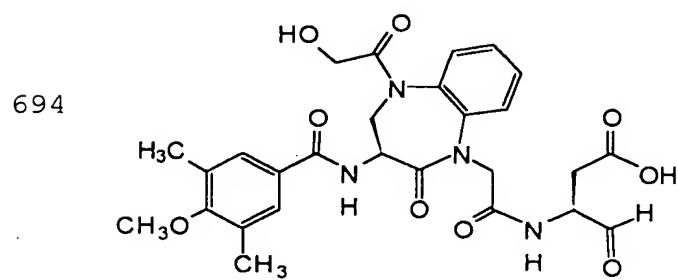
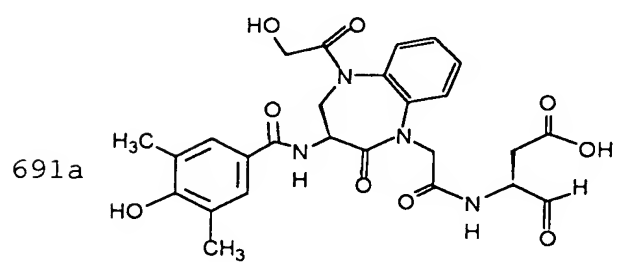
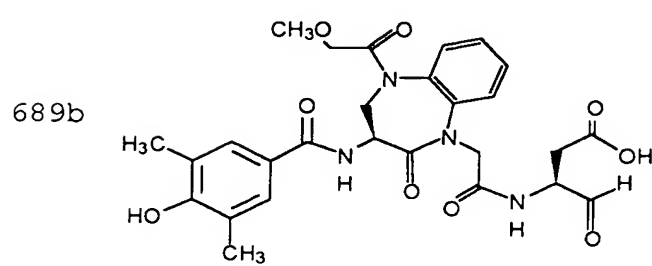
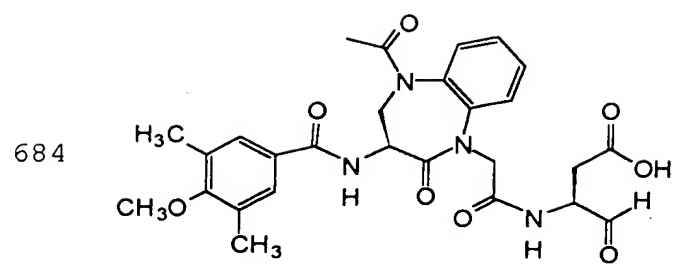
126. The compound according to claim 125,
selected from the group consisting of:

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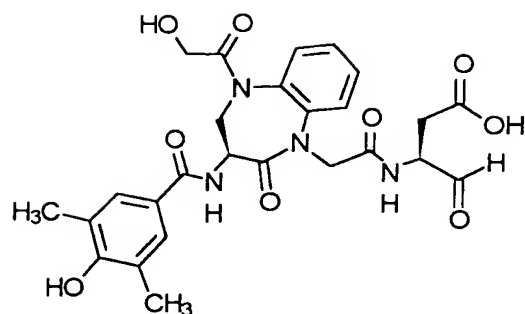


- 921 -



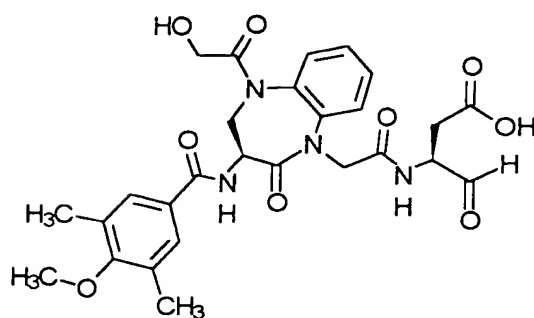
- 922 -

917



; and

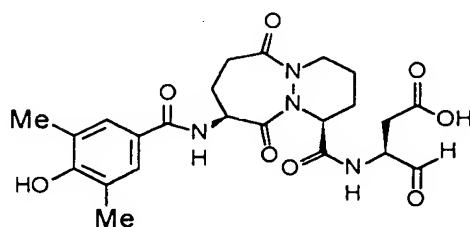
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127. The compound according to claim 125,
wherein the compound is:

5

214w



128. The compound according to claim 119,
wherein:

10

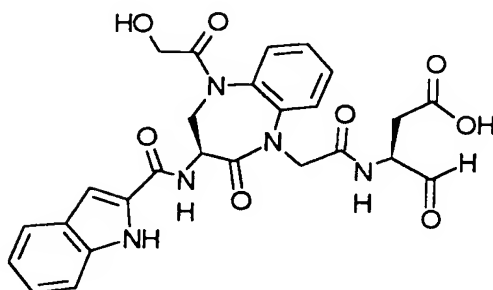
R_5 is $-C(O)-R_{10}$, wherein R_{10} is Ar_3 and the Ar_3 cyclic group is selected from the group consisting of is indolyl, benzimidazolyl, thienyl, quinolyl, isoquinolyl and benzo[b]thiophenyl, and said cyclic group optionally being singly or multiply substituted

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by $-Q_1$.

129. The compound according to claim 128,
selected from the group consisting of:

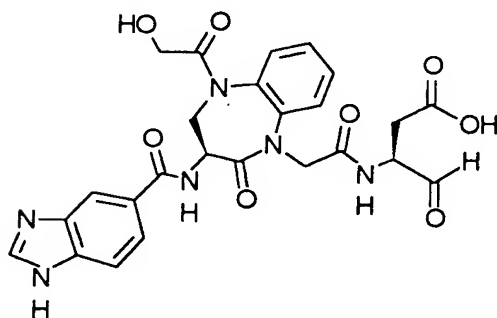
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; and

5

920

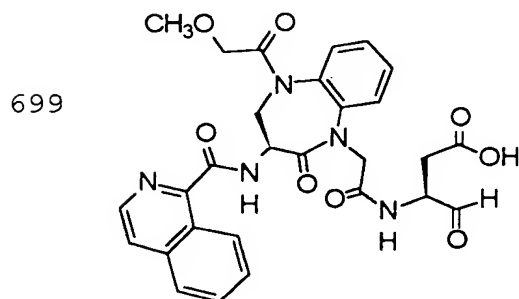
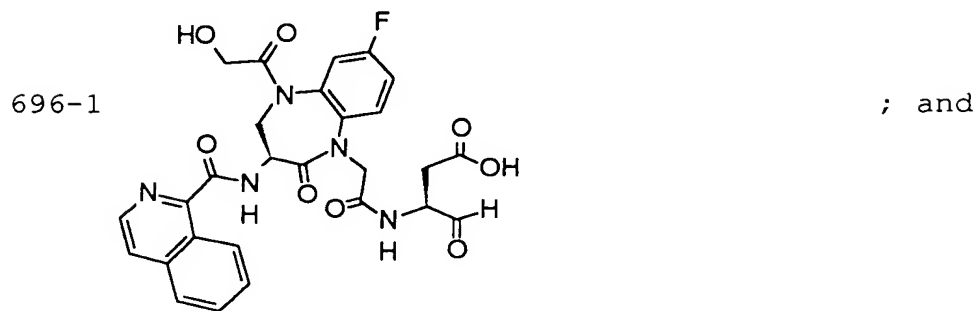
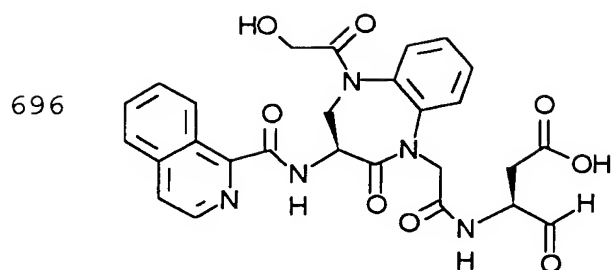


130. The compound according to claim 128,
wherein the Ar_3 cyclic group is isoquinolyl, and said
cyclic group optionally being singly or multiply
substituted by $-Q_1$.

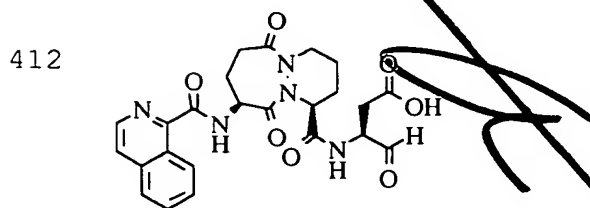
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131. The compound according to claim 130,
wherein the compound is:

- 924 -



132. The compound according to claim 130,
 5 wherein the compound is:

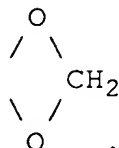


133. The compound according to claim 119,
 wherein R_5 is $-C(O)-R_{10}$, wherein R_{10} is Ar_3 and the Ar_3

- 925 -

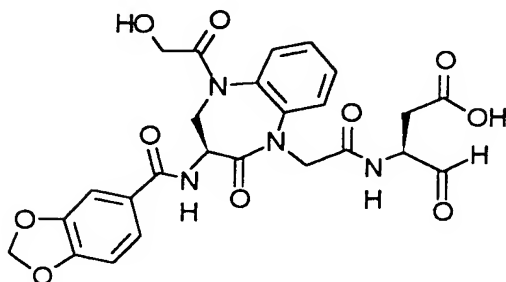
cyclic group is phenyl, substituted by

5



134. The compound according to claim 133, wherein the compound is:

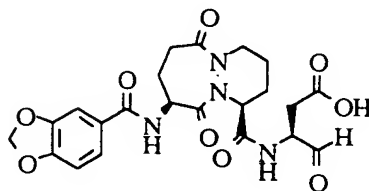
910



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135. The compound according to claim 133, wherein the compound is:

415



15

136. A pharmaceutical composition, comprising a compound according to any one of claims 1-41 and 57-135 in an amount effective for decreasing IGIF production and a pharmaceutically acceptable carrier.

137. A pharmaceutical composition comprising a compound according to any one of claims 1-41 and 57-135 in an amount effective for decreasing IFN- γ

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production and a pharmaceutically acceptable carrier.

Sub
A27

138. A method for treating or preventing a disease selected from an IGIF mediated disease, an IFN- γ mediated disease, an inflammatory disease, an autoimmune disease, an infectious disease, a proliferative disease, a neurodegenerative disease, a necrotic disease, osteoarthritis, acute pancreatitis, chronic pancreatitis, asthma, rheumatoid arthritis, inflammatory bowel disease, Crohn's disease, ulcerative collitis, cerebral ischemia, myocardial ischemia, adult respiratory distress syndrome, infectious hepatitis, sepsis, septic shock, Shigellosis, glomerulonephritis, systemic lupus erythematosus, scleroderma, chronic thyroiditis, Graves' disease, autoimmune gastritis, insulin-dependent diabetes mellitus (Type I), juvenile diabetes, autoimmune hemolytic anemia, autoimmune neutropenia, thrombocytopenia, myasthenia gravis, multiple sclerosis, psoriasis, lichenplanus, graft vs. host disease, acute dermatomyositis, eczema, primary cirrhosis, hepatitis, uveitis, Behcet's disease, acute dermatomyositis, atopic skin disease, pure red cell aplasia, aplastic anemia, amyotrophic lateral sclerosis and nephrotic syndrome comprising the step of administering to said patient a pharmaceutical composition according to claims 136 or 137.

139. The method according to claim 138, wherein the disease is selected from an inflammatory disease, an autoimmune disease, an infectious disease, rheumatoid arthritis, ulcerative collitis, Crohn's disease, hepatitis, adult respiratory distress syndrome, glomerulonephritis, insulin-dependent

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diabetes mellitus (Type I), juvenile diabetes, psoriasis, graft vs. host disease, and hepatitis.

140. A process for preparing an N-acylamino compound, comprising the steps of:

- 5 a) mixing a carboxylic acid with an N-alloc-protected amine in the presence of an inert solvent, triphenylphosphine, a nucleophilic scavenger, and tetrakis-triphenyl phosphine palladium(0) at ambient temperature under an inert atmosphere; and
- 10 b) adding to the step a) mixture, HOBT and EDC; and optionally comprising the further step of:
- 15 c) hydrolyzing the step b) mixture in the presence of a solution comprising an acid and H₂O, wherein the step b) mixture is optionally concentrated.

141. The process according to claim 140, wherein the inert solvent is CH₂Cl₂, DMF, or a mixture of CH₂Cl₂ and DMF.

20 142. The process according to claim 140, wherein the nucleophilic scavenger is dimedone, morpholine, trimethylsilyl dimethylamine or dimethyl barbituric acid.

25 143. The process according to claim 142, wherein the nucleophilic scavenger is trimethylsilyl dimethylamine or dimethyl barbituric acid.

144. The process according to claim 142, wherein the inert solvent is CH₂Cl₂, DMF, or a mixture

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of CH_2Cl_2 and DMF.

145. The process according to claim 144, wherein the nucleophilic scavenger is dimethyl barbituric acid.

5 146. The process according to claim 145, wherein the solution comprises trifluoroacetic acid in about 1-90% by weight.

10 147. The process according to claim 146, wherein the solution comprises trifluoroacetic acid in about 20-50% by weight.

148. The process according to claim 145, wherein the solution comprises hydrochloric acid in about 0.1-30% by weight.

15 149. The process according to claim 148, wherein the solution comprises hydrochloric acid in about 5-15% by weight.

150. The process according to any one of claims 140-149, wherein the N-acylamino compound is represented by formula (VIII).

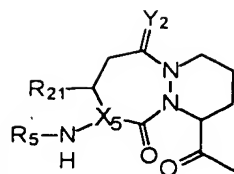
20 (VIII)
$$\begin{array}{c} \text{R}_1\text{-N-R}_2 \\ | \\ \text{H} \end{array}$$

wherein:

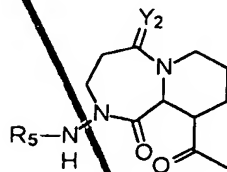
25 R_1 is selected from the group consisting of the following formulae:

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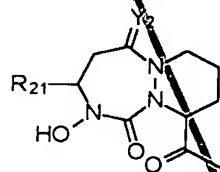
(e10)



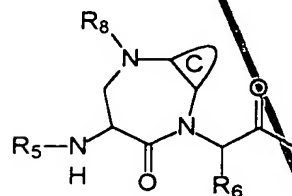
(e11)



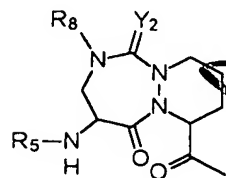
(e12)



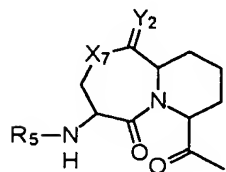
(w2)



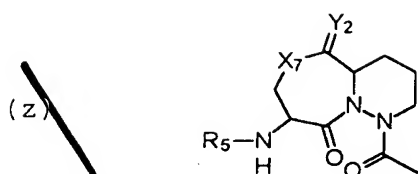
(y1)



(y2)



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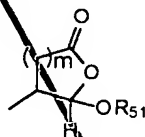
; and

C is a ring chosen from the set consisting of benzo, pyrido, thieno, pyrrolo, furano, thiazolo, isothiazolo, oxazolo, isoxazolo, pyrimido, imidazolo, cyclopentyl, and cyclohexyl, the ring optionally being singly or multiply substituted by halogen, $-NH_2$, or $-NH-R_9$;

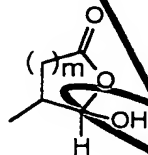
R_2 is:

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(a)

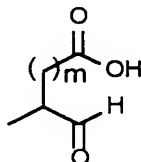


(b)



, or

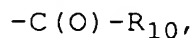
(c)



15

m is 1 or 2;

each R_5 is independently selected from the group consisting of:



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$-C(O)O-R_9$,
 $-C(O)-N(R_{10})(R_{10})$
 $-S(O)_2-R_9$,
 $-S(O)_2-NH-R_{10}$,
 $-C(O)-CH_2-O-R_9$,
 $-C(O)C(O)-R_{10}$,
 $-R_9$,
 $-H$,
 $-C(O)C(O)-OR_{10}$, and
 $-C(O)C(O)-N(R_9)(R_{10})$;

X_5 is CH or N;

Y_2 is H_2 or O;

X_7 is $-N(R_8)-$ or $-O-$;

R_6 is selected from the group consisting of $-H$ and $-CH_3$;

R_8 is selected from the group consisting of:

$-C(O)-R_{10}$,
 $-C(O)O-R_9$,
 $-C(O)-N(H)-R_{10}$,
 $-S(O)_2-R_9$,
 $-S(O)_2-NH-R_{10}$,
 $-C(O)-CH_2-OR_{10}$,
 $-C(O)C(O)-R_{10}$;
 $-C(O)-CH_2N(R_{10})(R_{10})$,
 $-C(O)-CH_2C(O)-O-R_9$,
 $-C(O)-CH_2C(O)-R_9$,
 $-H$, and
 $-C(O)-C(O)-OR_{10}$;

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each R_9 is independently selected from the group consisting of $-Ar_3$ and a $-C_{1-6}$ straight or branched alkyl group optionally substituted with $-Ar_3$, wherein the $-C_{1-6}$ alkyl group is optionally unsaturated;

5 each R_{10} is independently selected from the group consisting of $-H$, $-Ar_3$, a $-C_{3-6}$ cycloalkyl group, and a $-C_{1-6}$ straight or branched alkyl group optionally substituted with $-Ar_3$, wherein the $-C_{1-6}$ alkyl group is optionally unsaturated;

10 R_{13} is selected from the group consisting of H , Ar_3 , and a $-C_{1-6}$ straight or branched alkyl group optionally substituted with $-Ar_3$, $-CONH_2$, $-OR_5$, $-OH$, $-OR_9$, or $-CO_2H$;

15 each R_{51} is independently selected from the group consisting of R_9 , $-C(O)-R_9$, $-C(O)-N(H)-R_9$, or each R_{51} taken together forms a saturated 4-8 member carbocyclic ring or heterocyclic ring containing $-O-$, $-S-$, or $-NH-$;

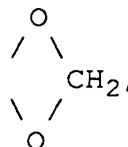
20 each R_{21} is independently selected from the group consisting of $-H$ or a $-C_{1-6}$ straight or branched alkyl group;

25 each Ar_3 is a cyclic group independently selected from the set consisting of an aryl group which contains 6, 10, 12, or 14 carbon atoms and between 1 and 3 rings and an aromatic heterocycle group containing between 5 and 15 ring atoms and between 1 and 3 rings, said heterocyclic group containing at least one heteroatom group selected from $-O-$, $-S-$, $-SO-$, SO_2 , $=N-$, and $-NH-$, said heterocycle group optionally containing one or more double bonds, said heterocycle group optionally

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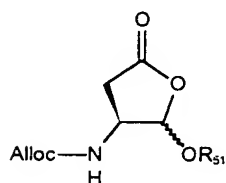
comprising one or more aromatic rings, and said cyclic group optionally being singly or multiply substituted by $-Q_1$;

each Q_1 is independently selected from the group consisting of $-NH_2$, $-CO_2H$, $-Cl$, $-F$, $-Br$, $-I$, $-NO_2$, $-CN$, $=O$, $-OH$, $-perfluoro\ C_{1-3}\ alkyl$, R_5 , $-OR_5$, $-NHR_5$, $-OR_9$, $-N(R_9)(R_{10})$, $-R_9$, $-C(O)-R_{10}$, and



provided that when $-Ar_3$ is substituted with a Q_1 group which comprises one or more additional $-Ar_3$ groups, said additional $-Ar_3$ groups are not substituted with another $-Ar_3$;

151. The process according to any one of claims 140 -149 wherein the N-alloc protected amine is:

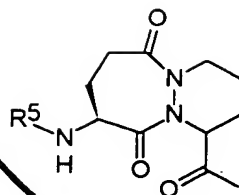


, wherein:

R_{51} is independently selected from the group consisting of R_9 , $-C(O)-R_9$, $-C(O)-N(H)-R_9$, or each R_{51} taken together forms a saturated 4-8 member carbocyclic ring or heterocyclic ring containing $-O-$, $-S-$, or $-NH-$;

152. The process according to any one of claims 140-149, wherein R_1 is:

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~~(A-e10)~~

153. The process according to any one of claims 140-149, wherein R₁ is:

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~~(A-w2)~~